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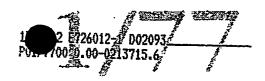
13 March 2003

Patents Form 1/77
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A JUN 2002

Request for grant of a patent





The Patent Office

Cardiff Road Newport South Wales NP10 8QQ

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Your reference

PPD 50698/GB/P

2. Patent application number (The Patent Office will fill in this part)

0213715.6

14 JUN 2002

3. Full name, address and postcode of the or of SYNGENTA Limited each applicant (underline all surnames)

Furnment Regional Co

European Regional Centre
Priestley Road
Surrey Research Park, Guildfo

Surrey Research Park, Guildford, Surrey, GU2 7YH, United Kingdom

Patents ADP number (if you know tt)

6254007002

If the applicant is a corporate body, give the country/state of its incorporation

° 8336748col UNITED KINGDOM

4. Title of the invention

CHEMICAL COMPOUNDS

5. Name of your agent (if you have one)

"Address for service" in the United Kingdom to which all correspondence should be sent (including the postcode) John Richard WATERMAN
Intellectual Property Department
Syngenta Limited
Jealott's Hill International Research Centre
PO Box 3538
Bracknell, Berkshire, RG42 6YA
UNITED KINGDOM

Patents ADP number (if you know it)

6791537000

6. If you are declaring priority from one or more earlier patent applications, give the country and the date of filing of the or of each of these earlier applications and (If you know it) the or each application number

Country

Priority application number (if you know it)

Date of filing (day / montb / year)

7. If this application is divided or otherwise derived from an earlier UK application, give the number and the filing date of the earlier application

Number of earlier application

Date of filing (day / month / year)

- 8. Is a statement of inventorship and of right to grant of a patent required in support of this request? (Answer Yes' tf:
 - a) any applicant named in part 3 is not an inventor, or
 - there is an inventor who is not named as an applicant, or

YES (b)

c) any named applicant is a corporate body.See note (d))

Patents Form 1/77

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> Continuation sheets of this form Description 91

> > Claim(s)

Abstract01

Drawing(s)00



10. If you are also filing any of the following, state how many against each item.

Priority documents

Translations of priority documents

Statement of inventorship and right to grant of a patent (Patents Form 7/77)

Request for preliminary examination and search (Patents Form 9/77)

Request for substantive examination (Patents Form 10/77)

> Any other documents (please specify)

I/We request the grant of a patent on the basis of this application. Syngenta Limited Date 14/6/02 1) ABandreh

Signature Authorised Signatory

12. Name and daytime telephone number of person to contact in the United Kingdom

Joanna Carmen CHANDLER = 01344 414365

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CHEMICAL COMPOUNDS

The present invention relates to spiroindoline derivatives, to processes for preparing them, to insecticidal, acaricidal, molluscicidal and nematicidal compositions comprising them and to methods of using them to combat and control insect, acarine, mollusc and nematode pests.

Spiroindoline derivatives are disclosed in WO9825605, WO9429309, WO9828297 and WO9964002. Synthetic routes to compounds with pharmaceutical properties are described in Proc. Natl. Acad. Sci. USA (1995), 92, 7001, Tetrahedron (1997), 53, 10983 and Tetrahedron Letters (1997), 38, 1497. It has now surprisingly been found that certain spiroindolines have insecticideal properties.

The present invention therefore provides a method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying to a pest, to a locus of a pest, or to a plant susceptible to attack by a pest an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I):

$$(R^{4})_{n} \xrightarrow{R^{9}} R^{10}$$

$$(R^{4})_{n} \xrightarrow{R^{3}} R^{3}$$

$$Y - R^{1}$$

$$(I)$$

wherein Y is a single bond, C=O, C=S or S(O)_q where q is 0, 1 or 2; R¹ is hydrogen, optionally substituted alkyl, optionally substituted alkoxycarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heterocyclyloxy, cyano, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally

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substituted alkylthio or $NR^{13}R^{14}$ where R^{13} and R^{14} are independently hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or optionally substituted heterocyclyl; R² and R³ are independently hydrogen, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryl or C(O)NR¹⁵R¹⁶ where R¹⁵ and R¹⁶ are independently hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or optionally substituted heterocyclyl, or R2 and R³ together are =0, or R² and R³ together with the atoms to which they are attached form a 4, 5, 6, or 7 membered carbocylic or heterocyclic ring; each R4 is independently halogen, nitro, cyano, optionally substituted C₁₋₈ alkyl, optionally substituted C₂₋₆ alkenyl, optionally substituted C_{2-6} alkynyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted C₃₋₇ cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted alkylthio or R¹⁹R²⁰N where R¹⁹ and R²⁰ are, independently, hydrogen, C₁₋₈ alkyl, C_{3-7} cycloalkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{3-7} cycloalkyl(C_{1-4})alkyl, C_{2-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{1-6} alkoxycarbonyl or R^{19} and R^{20} together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two C₁₋₆ alkyl groups, or 2 adjacent groups R⁴ together with the carbon atoms to which they are attached form a 4, 5, 6, or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2, 3 or 4; R⁸ is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl or optionally substituted alkenylcarbonyl; R9 and R10 are independently hydrogen, halogen, optionally substituted alkyl, optionally substituted aryl or R⁹ and R¹⁰ together form a group -CH₂-, -CH=CH- or -CH₂CH₂-; or salts or N-oxides thereof.

The compounds of formula (I) may exist in different geometric or optical isomers or tautomeric forms. This invention covers all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds.

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Each alkyl moiety either alone or as part of a larger group (such as alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl) is a straight or branched chain and is, for example, methyl, ethyl, n-propyl, n-butyl, n-pentyl, n-hexyl, iso-propyl, n-butyl, sec-butyl, iso-butyl, tert-butyl or neo-pentyl.

When present, the optional substituents on an alkyl moiety (alone or as part of a larger group such as alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl) include one or more of halogen, nitro, cyano, NCS-, C₃₋₇ cycloalkyl (itself optionally substituted with C₁₋₆ alkyl or halogen), C₅₋₇ cycloalkenyl (itself optionally substituted with C_{1-6} alkyl or halogen), hydroxy, C_{1-10} alkoxy, C_{1-10} alkoxy, C_{1-10} alkoxy, $tri(C_{1-4})$ alkylsilyl (C_{1-6}) alkoxy, C_{1-6} alkoxycarbonyl (C_{1-10}) alkoxy, C_{1-10} haloalkoxy, aryl (C_{1-4}) alkoxy (where the aryl group is optionally substituted), C₃₋₇ cycloalkyloxy (where the cycloalkyl group is optionally substituted with C_{1-6} alkyl or halogen), C_{1-10} alkenyloxy, C_{1-10} alkynyloxy, SH, C_{1-10} alkylthio, C_{1-10} haloalkylthio, aryl(C_{1-4})alkylthio (where the aryl group is optionally substituted), C₃₋₇ cycloalkylthio (where the cycloalkyl group is optionally substituted with C₁₋₆ alkyl or halogen), tri(C₁₋₄)alkylsilyl(C₁₋₆)alkylthio, arylthio (where the aryl group is optionally substituted), C₁₋₆ alkylsulfonyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ haloalkylsulfinyl, arylsulfonyl (where the aryl group may be optionally substituted), tri(C₁₋₄)alkylsilyl, aryldi(C₁₋₄)alkylsilyl, (C₁₋₄)alkyldiarylsilyl, triarylsilyl, C₁₋₁₀ alkylcarbonyl, HO_2C , C_{1-10} alkoxycarbonyl, aminocarbonyl, C_{1-6} alkylaminocarbonyl, $di(C_{1-6})$ alkyl)aminocarbonyl, N- $(C_{1-3}$ alkyl)-N- $(C_{1-3}$ alkoxy)aminocarbonyl, C_{1-6} alkylcarbonyloxy, arylcarbonyloxy (where the aryl group is optionally substituted), di(C₁₋₆)alkylaminocarbonyloxy, aryl (itself optionally substituted), heteroaryl (itself optionally substituted), heterocyclyl (itself optionally substituted with C₁₋₆ alkyl or halogen), aryloxy (where the aryl group is optionally substituted), heteroaryloxy, (where the heteroaryl group is optionally substituted), heterocyclyloxy (where the heterocyclyl group is optionally substituted with C₁₋₆ alkyl or halogen), amino, C₁₋₆ alkylamino, di(C₁₋₆)alkylamino, C₁₋₆ alkylcarbonylamino, N-(C₁₋₆)alkylcarbonyl-N-(C₁₋₆)alkylamino, C₂₋₆ alkenylcarbonyl, C₂₋₆ alkynylcarbonyl, C₃₋₆ alkenyloxycarbonyl, C₃₋₆ alkynyloxycarbonyl, aryloxycarbonyl (where the aryl group is optionally substituted) and arylcarbonyl (where the aryl group is optionally substituted).

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Alkenyl and alkynyl moieties can be in the form of straight or branched chains, and the alkenyl moieties, where appropriate, can be of either the (E)- or (Z)-configuration.

Examples are vinyl, allyl and propargyl.

When present, the optional substituents on alkenyl or alkynyl include those optional substituents given above for an alkyl moiety.

In the context of this specification acyl is optionally substituted C_{1-6} alkylcarbonyl (for example acetyl), optionally substituted C_{2-6} alkenylcarbonyl, optionally substituted C_{2-6} alkynylcarbonyl, optionally substituted arylcarbonyl (for example benzoyl) or optionally substituted heteroarylcarbonyl.

Halogen is fluorine, chlorine, bromine or iodine.

Haloalkyl groups are alkyl groups which are substituted with one or more of the same or different halogen atoms and are, for example, CF₃, CF₂Cl, CF₃CH₂ or CHF₂CH₂.

In the context of the present specification the terms "aryl" and "aromatic ring system" refer to ring systems which may be mono-, bi- or tricyclic. Examples of such rings include phenyl, naphthalenyl, anthracenyl, indenylor phenanthrenyl. A preferred aryl group is phenyl. In addition, the terms "heteroaryl", "heteroaromatic ring" or "heteroaromatic ring system" refer to an aromatic ring system containing at least one heteroatom and consisting either of a single ring or of two or more fused rings. Preferably, single rings will contain up to three and bicyclic systems up to four heteroatoms which will preferably be chosen from nitrogen, oxygen and sulphur. Examples of such groups include furyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,5-thiadiazolyl, pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl, 1,2,3-triazinyl, 1,2,4-triazinyl, 1,3,5-triazinyl, benzofuryl, benzisofuryl, benzothienyl, benzisothienyl, indolyl, isoindolyl, indazolyl, benzothiazolyl, benzisothiazolyl, benzoxazolyl, benzisoxazolyl, benzimidazolyl, quinolinyl, isoquinolinyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, naphthyridinyl, benzotriazinyl, purinyl, pteridinyl and indolizinyl. Preferred examples of heteroaromatic radicals include pyridyl, pyrimidyl, triazinyl, thienyl, furyl, oxazolyl, isoxazolyl, and thiazolyl.

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The terms heterocycle and heterocyclyl refer to a non-aromatic ring containing up to 10 atoms including one or more (preferably one or two) heteroatoms selected from O, S and N. Examples of such rings include 1,3-dioxolane, tetrahydrofuran and morpholine.

When present, the optional substituents on heterocyclyl include C_{1-6} alkyl and C_{1-6} haloalkyl as well as those optional substituents given above for an alkyl moiety.

Cycloalkyl includes cyclopropyl, cyclopentyl and cyclohexyl.

Cycloalkenyl includes cyclopentenyl and cyclohexenyl.

When present, the optional substituents on cycloalkyl or cycloalkenyl include C_{1-3} alkyl as well as those optional substituents given above for an alkyl moiety.

Carbocyclic rings include aryl, cycloalkyl and cycloalkenyl groups.

When present, the optional substituents on aryl or heteroaryl are selected independently, from halogen, nitro, cyano, NCS-, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy-(C₁₋₆)alkyl, C₂₋₆ alkenyl, C₂₋₆ haloalkenyl, C₂₋₆ alkynyl, C₃₋₇ cycloalkyl (itself optionally substituted with C₁₋₆ alkyl or halogen), C₅₋₇ cycloalkenyl (itself optionally substituted with C_{1-6} alkyl or halogen), hydroxy, C_{1-10} alkoxy, C_{1-10} alkoxy(C_{1-10})alkoxy, tri(C_{1-4})alkylsilyl(C_{1-6})alkoxy, C_{1-6} alkoxycarbonyl(C_{1-10})alkoxy, C_{1-10} haloalkoxy, aryl(C_{1-4})alkoxy (where the aryl group is optionally substituted with halogen or C_{1-6} alkyl), C_{3-7} cycloalkyloxy (where the cycloalkyl group is optionally substituted with C_{1-6} alkyl or halogen), C_{1-10} alkenyloxy, C_{1-10} alkynyloxy, SH, C_{1-10} alkylthio, C_{1-10} haloalkylthio, aryl(C_{1-4})alkylthio C_{3-7} cycloalkylthio (where the cycloalkyl group is optionally substituted with C₁₋₆ alkyl or halogen), tri (C_{1-4}) -alkylsilyl (C_{1-6}) alkylthio, arylthio, C_{1-6} alkylsulfonyl, C_{1-6} haloalkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} haloalkylsulfinyl, arylsulfonyl, tri (C_{1-4}) alkylsilyl, aryldi(C_{1-4})-alkylsilyl, (C_{1-4})alkyldiarylsilyl, triarylsilyl, C_{1-10} alkylcarbonyl, HO_2C , C_{1-10} alkoxycarbonyl, aminocarbonyl, C_{1-6} alkylaminocarbonyl, di(C_{1-6} alkyl)-aminocarbonyl, N-(C₁₋₃ alkyl)-N-(C₁₋₃ alkoxy)aminocarbonyl, C₁₋₆ alkylcarbonyloxy, arylcarbonyloxy, $di(C_{1-6})$ alkylamino-carbonyloxy, aryl (itself optionally substituted with C_{1-6} alkyl or halogen), heteroaryl (itself optionally substituted with C₁₋₆ alkyl or halogen), heterocyclyl (itself optionally substituted with C_{1-6} alkyl or halogen), aryloxy (where the aryl group is optionally substituted with C_{1-6} alkyl or halogen), heteroaryloxy (where the heteroaryl group is optionally substituted with C₁₋₆ alkyl or halogen), heterocyclyloxy (where the heterocyclyl group is optionally substituted with C_{1-6} alkyl or halogen), amino, C_{1-6} alkylamino, di(C_{1} . 6)alkylamino, C₁₋₆ alkylcarbonylamino, N-(C₁₋₆)alkylcarbonyl-N-(C₁₋₆)alkylamino,

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arylcarbonyl, (where the aryl group is itself optionally substituted with halogen or C₁₋₆ alkyl) or two adjacent positions on an aryl or heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen or C₁₋₆ alkyl.

For substituted phenyl moieties, heterocyclyl and heteroaryl groups it is preferred that one or more substituents are independently selected from halogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, C₁₋₆ haloalkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ haloalkylsulfinyl, C₁₋₆ alkylsulfonyl, C₁₋₆ haloalkylsulfonyl, C₂₋₆ alkenyl, C₂₋₆ haloalkenyl, C₂₋₆ alkynyl, C₃₋₇ cycloalkyl, nitro, cyano, CO₂H, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxycarbonyl, R³¹R³²N or R³³R³⁴NC(O); wherein R³¹, R³², R³³ and R³⁴ are, independently, hydrogen or C₁₋₆ alkyl.

Haloalkenyl groups are alkenyl groups which are substituted with one or more of the same or different halogen atoms

It is to be understood that dialkylamino substituents include those where the dialkyl groups together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which is optionally substituted by one or two independently selected (C_{1-6}) alkyl groups. When heterocyclic rings are formed by joining two groups on an N atom, the resulting rings are suitably pyrrolidine, piperidine, thiomorpholine and morpholine each of which may be substituted by one or two independently selected (C_{1-6}) alkyl groups.

Preferably the optional substituents on an alkyl moiety include one or more of halogen, nitro, cyano, HO₂C, C₁₋₁₀ alkoxy (itself optionally substituted by C₁₋₁₀ alkoxy), aryl(C₁₋₄)alkoxy, C₁₋₁₀ alkylthio, C₁₋₁₀ alkylcarbonyl, C₁₋₁₀ alkoxycarbonyl, C₁₋₆ alkylaminocarbonyl, di(C₁₋₆ alkyl)aminocarbonyl, (C₁₋₆)alkylcarbonyloxy, optionally substituted phenyl, heteroaryl, aryloxy, arylcarbonyloxy, heteroaryloxy, heterocyclyl, heterocyclyloxy, C₃₋₇ cycloalkyl (itself optionally substituted with (C₁₋₆)alkyl or halogen), C₃₋₇ cycloalkyloxy, C₅₋₇ cycloalkenyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylsulfinyl, tri(C₁₋₄)alkylsilyl, tri(C₁₋₄)alkylsilyl(C₁₋₆)alkoxy, aryldi(C₁₋₄)alkylsilyl, (C₁₋₄)alkyldiarylsilyl and triarylsilyl.

Preferably the optional substituents on alkenyl or alkynyl include one or more of halogen, aryl and C₃₋₇ cycloalkyl.

A preferred optional substituent for heterocyclyl is C_{1-6} alkyl.

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Preferably the optional substituents for cycloalkyl include halogen, cyano and C_{1-3} alkyl.

Preferably the optional substituents for cycloalkenyl include C_{1-3} alkyl, halogen and cyano.

One group of preferred compounds are those of formula (IA) which are compounds of formula (I) wherein Y is a single bond, C=O or S(O)_q where q is 0, 1 or 2; R¹ is hydrogen, C₁₋₈ alkyl, C₁₋₆ haloalkyl, C₁₋₆ cyanoalkyl, C₃₋₇ cycloalkyl(C₁₋₆)alkyl, C₅₋₆ cycloalkenyl(C₁₋₈ 6) alkyl, C_{1-6} alkoxy(C_{1-6}) alkyl, C_{3-6} alkenyloxy(C_{1-6}) alkyl, C_{3-6} alkynyloxy(C_{1-6}) alkyl, aryloxy(C₁₋₆)alkyl, C₁₋₆ carboxyalkyl, C₁₋₆ alkylcarbonyl(C₁₋₆)alkyl, C₂₋₆ alkenylcarbonyl- (C_{1-6}) alkyl, C_{2-6} alkynylcarbonyl (C_{1-6}) alkyl, C_{1-6} alkoxycarbonyl (C_{1-6}) alkyl, C_{3-6} alkenyloxycarbonyl(C_{1-6})alkyl, C_{3-6} alkynyloxycarbonyl(C_{1-6})alkyl, aryloxycarbonyl(C_{1-6})alkyl, C_{1-6} alkylthio(C_{1-6})alkyl, C_{1-6} alkylsulfinyl(C_{1-6})alkyl, C_{1-6} alkylsulfonyl(C_{1-6})alkyl, aminocarbonyl(C_{1-6})alkyl, C_{1-6} alkylaminocarbonyl(C_{1-6})alkyl, di(C_{1-6})alkylaminocarbonyl(C_{1-6})alkyl, phenyl(C_{1-4})alkyl (wherein the phenyl group is optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heteroaryl(C₁₋₄)alkyl (wherein the heteroaryl group may be substituted by halogen, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heterocyclyl(C₁₋₄)alkyl (wherein the heterocyclyl group may be substituted by halogen, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di(C₁₋₆)alkylaminocarbonyl, phenyl (optionally substituted by halogen, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heteroaryl (optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), C_{1-6} alkoxy, C_{1-6} haloalkoxy, aryloxy (wherein the aryl group may be optionally substituted with halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heteroaryloxy (wherein the heteroaryl group may be optionally substituted with halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy),cyano, C₂₋₆ alkenyl, C₂₋₆ haloalkenyl, C₁₋₆ cyanoalkenyl, aminocarbonyl- (C_{2-6}) alkenyl, C_{1-6} alkylaminocarbonyl (C_{1-6}) alkenyl, di (C_{1-6}) alkylaminocarbonyl (C_{1-6}) alkenyl, phenyl(C₂₋₄)alkenyl, (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C₂₋₆ alkynyl, aminocarbonyl(C₂₋₆)alkynyl, C_{1-6} alkylaminocarbonyl(C_{1-6})alkynyl, $di(C_{1-6})$ alkylaminocarbonyl(C_{1-6})alkynyl, C_{3-7} cycloalkyl, C₃₋₇ halocycloalkyl, C₃₋₇ cyanocycloalkyl, C₁₋₃ alkyl(C₃₋₇)cycloalkyl, C₁₋₃ alkyl-

(C₃₋₇)halocycloalkyl, C₅₋₆ cycloalkenyl, formyl, heterocyclyl (optionally substituted by halogen, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), C_{1-8} alkylthio, or R¹³R¹⁴N where R¹³ and R¹⁴ are independently hydrogen, C₁₋₆ alkyl, aryl (optionally substituted by halogen, $C_{1,3}$ alkyl, nitro, cyano, C_{1-3} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy) or 5 heteroaryl (optionally substituted by halogen or C_{1-3} alkyl); R^2 and R^3 are independently hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or cyano; each \mathbb{R}^4 is independently halogen, nitro, cyano, C_{1-8} alkyl, C_{1-6} haloalkyl, C_{1-6} cyanoalkyl, C_{3-7} cycloalkyl(C_{1-6})alkyl, C_{1-6} alkoxy(C₁₋₆)alkyl, C₁₋₆ alkoxycarbonyl(C₁₋₆)alkyl, C₁₋₆ alkylcarbonyl(C₁₋₆)alkyl, C₁₋₆ $alkylaminocarbonyl(C_{1-6})alkyl, \ di(C_{1-6})alkylaminocarbonyl(C_{1-6})alkyl, \ phenyl(C_{1-6})alkyl$ 10 (wherein the phenyl group is optionally substituted by halogen, nitro, cyano, C1-6 alkyl, C1-6 haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heteroaryl(C_{1-6})alkyl (wherein the heteroaryl group is optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C_{1-6} haloalkoxy), C_{2-6} alkenyl, C_{2-6} haloalkenyl, C_{2-6} alkynyl, C_{1-6} alkoxycarbonyl, C_{1-6} alkylcarbonyl, C_{1-6} alkylaminocarbonyl, di(C_{1-6})alkylaminocarbonyl, C_{3-7} cycloalkyl, phenyl 15 (optionally substituted by halogen, nitro, cyano, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy or C1-6 haloalkoxy), heteroaryl (optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C₁₋₈ alkoxy, C₁₋₈ haloalkoxy, aryloxy (where the aryl group is optionally substituted by halogen, nitro, cyano, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy or C₁₋₆ haloalkoxy) or heteroaryloxy (where the heteroaryl group is optionally 20 substituted by halogen, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy); n is 0, 1, 2, 3 or 4; R^8 is C_{1-10} alkyl optionally substituted by C_{1-6} alkoxy, halogen or phenyl (itself optionally substituted by halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy), C₂₋₆ alkenyl optionally substituted by C₁₋₆ alkoxy, halogen or phenyl (itself optionally substituted by halogen, C_{1-4} alkyl or C_{1-4} alkoxy) or C_{2-6} alkynyl optionally substituted by C_{1-6} alkoxy, 25 halogen or phenyl (itself optionally substituted by halogen, C1-4 alkyl or C1-4 alkoxy); R9 and R¹⁰ are independently hydrogen, C₁₋₂ alkyl or halogen; and salts or N-oxides thereof.

Another group of preferred compounds are those of formula (IB), which are compounds of formula (I) wherein Y, R^1 , R^2 , R^3 , R^4 , R^8 and n are as defined for compounds of formula IA; R^9 and R^{10} are independently hydrogen, C_{1-2} alkyl or halogen, and preferably all are hydrogen; and salts or N-oxides thereof.

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Another group of preferred compounds are those of formula (IC), which are compounds of formula (I) wherein Y, R^1 , R^4 , R^8 , R^9 , R^{10} and n are as defined for compounds of formula (IB) and R^2 and R^3 are independently hydrogen, halogen, C_{1-2} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy, cyano, or R^2 and R^3 together are =0, or R^2 and R^3 together with the atoms to which they are attached form a 4, 5, 6, or 7 membered carbocylic or heterocyclic ring; and salts or N-oxides thereof.

Another group of preferred compounds are those of formula (ID), which are compounds of formula (I) wherein Y, R¹, R², R³, R⁸, R⁹, R¹⁰ and n are as defined for compounds of formula (IC) and each R⁴ is independently halogen, nitro, cyano, C₁₋₈ alkyl, C_{1-6} haloalkyl, C_{1-6} cyanoalkyl, C_{3-7} cycloalkyl(C_{1-6})alkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{1-6} alkoxycarbonyl(C_{1-6})alkyl, C_{1-6} alkylcarbonyl(C_{1-6})alkyl, C_{1-6} alkylaminocarbonyl(C_{1-6})alkyl, $di(C_{1-6})alkylaminocarbonyl(C_{1-6})alkyl$, phenyl(C₁₋₆)alkyl (wherein the phenyl group is optionally substituted by halogen, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heteroaryl(C_{1-6})alkyl (wherein the heteroaryl group is optionally substituted by halogen, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), C_{2-6} alkenyl, C₂₋₆ haloalkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkylaminocarbonyl, di(C₁₋₆)alkyl-aminocarbonyl, C₃₋₇ cycloalkyl, phenyl (optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heteroaryl (optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, $C_{1.6}$ alkoxy or $C_{1.6}$ haloalkoxy), $C_{1.8}$ alkoxy, $C_{1.8}$ haloalkoxy, aryloxy (where the aryl group is optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy) or heteroaryloxy (where the heteroaryl group is optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy); and salts or N-oxides thereof.

Another group of preferred compounds are those of formula (IE), which are compounds of formula (I) wherein R², R³, R⁴, R⁸, R⁹, R¹⁰ and n are as defined for compounds of formula (ID) and Y is a single bond or C=O; R¹ is C₁₋₈ alkyl, C₁₋₆ haloalkyl, C₁₋₆ cyanoalkyl, C₃₋₇ cycloalkyl(C₁₋₆)alkyl, C₅₋₆ cycloalkenyl(C₁₋₆)alkyl, C₁₋₆ alkoxy(C₁₋₆)-alkyl, C₃₋₆ alkenyloxy(C₁₋₆)alkyl, C₃₋₆ alkynyloxy(C₁₋₆)alkyl, aryloxy(C₁₋₆)alkyl, C₁₋₆ carboxyalkyl, C₁₋₆ alkylcarbonyl(C₁₋₆)alkyl, C₂₋₆ alkenylcarbonyl(C₁₋₆)alkyl, C₂₋₆ alkenylcarbonyl(C₁₋₆)alkyl, C₃₋₆ alkenyloxycarbonyl(C₁₋₆)-alkyl, C₃₋₆ alkynyl-oxycarbonyl(C₁₋₆)alkyl, aryloxycarbonyl(C₁₋₆)alkyl, C₁₋₆ alkylthio(C₁₋₆)alkyl, aryloxycarbonyl(C₁₋₆)alkyl, C₁₋₆ alkylthio(C₁₋₆)

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6) alkyl, C_{1-6} alkylsulfinyl (C_{1-6}) alkyl, C_{1-6} alkylsulfonyl (C_{1-6}) alkyl, aminocarbonyl (C_{1-6}) alkyl, $C_{1\text{-}6} \ alkylaminocarbonyl(C_{1\text{-}6})alkyl, \ di(C_{1\text{-}6})alkylaminocarbonyl(C_{1\text{-}6})alkyl, \ phenyl(C_{1\text{-}4})alkyl$ (wherein the phenyl group is optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heteroaryl(C₁₋₄)alkyl (wherein the heteroaryl group may be substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heterocyclyl(C₁₋₄)alkyl (wherein the heterocyclyl group may be substituted by halogen, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di(C₁₋₆)alkylaminocarbonyl, phenyl (optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C1-6 alkoxy or C1-6 haloalkoxy), heteroaryl (optionally substituted by halogen, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), C_{1-6} alkoxy, C_{1-6} haloalkoxy, aryloxy (where the aryl group may be optionally substituted with halogen, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), cyano, C_{2-6} alkenyl, C_{2-6} haloalkenyl, C₁₋₆ cyanoalkenyl, aminocarbonyl(C₂₋₆)alkenyl, C₁₋₆ alkylaminocarbonyl(C₁₋₆)alkenyl, $di(C_{1-6})$ alkyl-aminocarbonyl(C_{1-6})alkenyl, phenyl(C_{2-4})alkenyl, (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C_{1-6} haloalkoxy), C_{2-6} alkynyl, aminocarbonyl(C_{2-6})alkynyl, alkylaminocarbonyl(C_{1-6})alkynyl, di(C₁₋₆)alkylamino-carbonyl(C₁₋₆)alkynyl, C₃₋₇ cycloalkyl, C₃₋₇ halocycloalkyl, C₃₋₇ cyanocycloalkyl, C_{1-3} alkyl (C_{3-7}) cycloalkyl, C_{1-3} alkyl (C_{3-7}) halocycloalkyl, C_{5-6} cycloalkenyl, formyl, heterocyclyl (optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), C_{1-8} alkylthio or $R^{13}R^{14}N$ where R^{13} and R^{14} are independently hydrogen, C₁₋₆ alkyl, aryl (optionally substituted by halogen, C_{1,3} alkyl, nitro, cyano, C₁₋₃ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy) or heteroaryl (optionally substituted by halogen or C₁₋₃ alkyl); and salts or N-oxides thereof.

Another group of preferred compounds are those of formula (IF), which are compounds of formula (I) wherein Y, R^1 , R^2 , R^3 , R^4 , R^9 , R^{10} and n are as defined for compounds of formula (IE) and R^8 is C_{1-10} alkyl optionally substituted by C_{1-6} alkoxy, halogen or phenyl (itself optionally substituted by halogen, C_{1-4} alkyl or C_{1-4} alkoxy), C_{2-6} alkenyl optionally substituted by C_{1-6} alkoxy, halogen or phenyl (itself optionally substituted by halogen, C_{1-4} alkyl or C_{1-4} alkoxy) or C_{2-6} alkynyl optionally substituted by C_{1-6} alkoxy, halogen or phenyl (itself optionally substituted by halogen, C_{1-4} alkyl or C_{1-4} alkoxy); and salts or N-oxides thereof.

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Another preferred group of compounds are those of formula (IG), which are compounds of formula (I) wherein Y is a single bond, C=O or S(O)_a where q is 0, 1 or 2; R¹ is C₁₋₈ alkyl, C₁₋₆ haloalkyl, C₁₋₆ cyanoalkyl, C₃₋₇ cycloalkyl(C₁₋₆)alkyl, C₁₋₆ alkoxy(C₁₋₆ ₆)alkyl, C_{3-6} alkenyloxy-(C_{1-6})alkyl, C_{3-6} alkynyloxy(C_{1-6})alkyl, aryloxy(C_{1-6})alkyl, C_{1-6} carboxyalkyl, C₁₋₆ alkylcarbonyl(C₁₋₆)alkyl, C₂₋₆ alkenylcarbonyl(C₁₋₆)alkyl, C₂₋₆ alkynylcarbonyl(C_{1-6})alkyl, C_{1-6} alkoxycarbonyl(C_{1-6})alkyl, C_{3-6} alkenyloxycarbonyl(C_{1-6})alkyl, C_{3-6} alkynyloxycarbonyl(C_{1-6})alkyl, aryloxycarbonyl(C_{1-6})alkyl, C_{1-6} alkylthio(C_{1-6})alkyl, C_{1-6} alkylsulfinyl(C_{1-6})alkyl, C_{1-6} alkylsulfonyl(C_{1-6})alkyl, aminocarbonyl(C_{1-6})alkyl, C_{1-6} alkylaminocarbonyl(C_{1-6})alkyl, di(C_{1-6})alkylaminocarbonyl(C_{1-6})alkyl, phenyl(C_{1-4})alkyl (wherein the phenyl group is optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heteroaryl(C₁₋₄)alkyl (wherein the heteroaryl group may be substituted by halogen, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heterocyclyl(C_{1-4})alkyl (wherein the heterocyclyl group may be substituted by halogen, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), phenyl (optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heteroaryl (optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₂₋₆ alkenyl, C₂₋₆ haloalkenyl, C₁₋₆ cyanoalkenyl, C₂₋₆ alkynyl, C₃₋₇ cycloalkyl, formyl, heterocyclyl (optionally substituted by halogen, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy) or C₁₋₆ alkylthio; R² and R³ are independently hydrogen or C₁₋₄ alkyl; each R⁴ is independently halogen, cyano, C₁₋₁₀ alkyl optionally substituted by C₁₋₆ alkoxy, halogen, phenyl (itself optionally substituted by halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy), C₂₋₆ alkenyl optionally substituted by C₁₋₆ alkoxy, halogen, phenyl (itself optionally substituted by halogen, C_{1-4} alkyl or C_{1-4} alkoxy) or C_{2-6} alkynyl optionally substituted by C_{1-6} alkoxy, halogen, phenyl (itself optionally substituted by halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy); n is 0, 1, 2, 3 or 4; R⁸ is C₁₋₁₀ alkyl optionally substituted by C₁₋₆ alkoxy, halogen or phenyl (itself optionally substituted by halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy), C₂₋₆ alkenyl optionally substituted by C₁₋₆ alkoxy, halogen or phenyl (itself optionally substituted by halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy) or C₂₋₆ alkynyl optionally substituted by C₁₋₆ alkoxy, halogen or phenyl (itself optionally substituted by halogen, $C_{1\text{--}4}$ alkyl or $C_{1\text{--}4}$ alkoxy); R^9 and R^{10} are both hydrogen; and salts or N-oxides thereof.

It is preferred that Y is a single bond, C=O, C=S or $S(O)_q$ where q is 0, 1 or 2.

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More preferably Y is a single bond, C=O or SO₂.

Most preferably Y is a single bond or C=O.

R¹ is preferably hydrogen, C₁₋₆ alkyl, C₁₋₆ cyanoalkyl, C₁₋₆ haloalkyl, C₃₋₇ cycloalkyl(C_{1-6})alkyl, C_{1-6} alkoxy(C_{1-6})alkyl, heteroaryl(C_{1-6})alkyl (wherein the heteroaryl group may be optionally substituted by halo, nitro, cyano, $C_{1\text{-}6}$ alkyl, $C_{1\text{-}6}$ haloalkyl, $C_{1\text{-}6}$ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylthio, C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), aryl(C₁₋₆)alkyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy, C1-6 haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylthio, C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the aryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), C₁₋₆ alkylcarbonylamino(C₁₋₆)alkyl, aryl (which may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylthio, C_{1-6} alkoxycarbonyl, C_{1-6} alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the aryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), heteroaryl (which may be optionally substituted by halo, nitro, cyano, C1-6 alkyl, C1-6 haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylthio, C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, phenoxy (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heteroaryloxy (optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heterocycyloxy (optionally substituted by halo, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), cyano, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, C_{5-7} cycloalkenyl, heterocyclyl (optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C_{1-6} alkylthio, C_{1-6} haloalkylthio or NR 13 R 14 where R 13 and R 14 are independently hydrogen, C₂₋₆ alkyl, C₂₋₆ haloalkyl, phenyl (which may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy, C_{1-4} alkoxycarbonyl) or heteroaryl

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(which may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy, C_{1-4} alkoxycarbonyl).

More preferably R^1 is hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, heteroaryl(C₁₋₆)alkyl (wherein the heteroaryl group may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkylsulfinyl, $C_{1.6}$ alkylthio, $C_{1.6}$ alkoxycarbonyl, $C_{1.6}$ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), phenyl($C_{1.6}$)alkyl (wherein the phenyl group may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylsulfinyl, C_{1-6} alkylthio, C_{1-6} alkoxycarbonyl, C_{1-6} alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), C₁₋₆ alkylcarbonylamino(C_{1-6})alkyl, phenyl (which may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylsulfinyl, $C_{1.6}$ alkylthio, $C_{1.6}$ alkoxycarbonyl, $C_{1.6}$ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), heteroaryl (which may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, C₁₋₆ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, phenoxy (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heteroaryloxy (optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heterocycyloxy (optionally substituted by halo, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), cyano, C₂₋₆ alkenyl, C₃₋₆ cycloalkyl, C₅₋₇ cycloalkenyl, heterocyclyl (optionally substituted by halo, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C₁₋₆ alkylthio, C₁₋₆ haloalkylthio, NR ¹³R ¹⁴ where R¹³ and R¹⁴ are independently hydrogen, C₂₋₆ alkyl, C₂₋₆ haloalkyl, phenyl (which may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6}

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haloalkoxy, C_{1-4} alkoxycarbonyl) or heteroaryl (which may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy, C_{1-4} alkoxycarbonyl).

Even more preferably R^1 is C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, heteroaryl(C₁₋₃)alkyl (wherein the heteroaryl group may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkoxycarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), phenyl(C₁₋₃)alkyl (wherein the phenyl group may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkylsulfonyl, C_{1-6} alkoxycarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), phenyl (which may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkoxycarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), heteroaryl (which may be optionally substituted by halo, nitro, cyano, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy, C1-6 haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkoxycarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₂₋₆ alkenyl, heterocyclyl (optionally substituted by halo, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), C_{1-6} alkylthio, C_{1-6} haloalkylthio or $NR^{13}R^{14}$ where R^{13} and R^{14} are independently hydrogen, C₂₋₆ alkyl or C₂₋₆ haloalkyl.

Yet more preferably R^1 is C_{1-6} alkyl, C_{1-6} haloalkyl, heteroaryl(C_{1-3})alkyl (wherein the heteroaryl group may be optionally substituted by halo, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl) where the heteroaryl group is a pyridine, pyrimidine, pyrazine or pyridazine ring, heteroaryl (optionally substituted by halo, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl) where the heteroaryl group is a pyridine, pyrimidine, pyrazine or pyridazine ring, C_{1-6} alkoxy or heterocyclyl (optionally substituted by halo, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl, or C_{1-3} alkoxy).

Most preferably R^1 is pyridyl (optionally substituted by halo, C_{1-3} alkyl or C_{1-3} haloalkyl) or C_{1-6} alkoxy.

It is preferred that R^2 and R^3 are independently hydrogen or C_{1-4} alkyl. More preferably R^2 and R^3 are independently hydrogen or methyl.

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Even more preferably R^2 is hydrogen and R^3 is hydrogen or methyl; Most preferably R^2 and R^3 are both hydrogen.

Preferably each R⁴ is independently halogen, cyano, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₁₋₆ cyanoalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{3-7} cycloalkyl(C_{1-6})alkyl, C_{5-6} cycloalkenyl(C_{1-6})alkyl, C_{3-6} alkenyloxy(C_{1-6})alkyl, C_{3-6} alkynyloxy(C_{1-6})alkyl, aryloxy(C_{1-6})alkyl, C_{1-6} carboxyalkyl, C_{1-6} alkylcarbonyl(C_{1-6})alkyl, C_{2-6} alkenylcarbonyl(C_{1-6})alkyl, C_{2-6} alkynylcarbonyl(C_{1-6})alkyl, C_{1-6} alkoxycarbonyl(C_{1-6})alkyl, C_{3-6} alkenyloxycarbonyl(C_{1-6})alkyl, C_{3-6} alkynyloxycarbonyl(C_{1-6})alkyl, aryloxycarbonyl(C_{1-6})alkyl, C_{1-6} alkylthio(C_{1-6})alkyl, C_{1-6} alkylsulfinyl(C_{1-6})alkyl, C_{1-6} alkylsulfonyl(C_{1-6})alkyl, aminocarbonyl(C_{1-6})alkyl, C_{1-6} alkylaminocarbonyl(C₁₋₆)alkyl, di(C₁₋₆)alkylaminocarbonyl(C₁₋₆)alkyl, phenyl(C₁₋₄)alkyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heteroaryl (C_{1-4}) alkyl (wherein the heteroaryl group is optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heterocyclyl (C_{1-4}) alkyl (wherein the heterocyclyl group is optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C_{2-6} alkenyl, aminocarbonyl(C_{2-6})alkenyl, C_{1-6} alkylaminocarbonyl(C_{1-6})alkenyl, $di(C_{1-6})$ alkylaminocarbonyl (C_{1-6}) alkenyl, phenyl (C_{2-4}) alkenyl, (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), C₂₋₆ alkynyl, trimethylsilyl(C₂₋₆)alkynyl, aminocarbonyl(C₂₋₆)alkynyl, C₁₋₆ alkylaminocarbonyl(C₁₋₆)alkynyl, di(C₁₋₆)alkylaminocarbonyl(C₁₋₆)alkynyl, C₁₋₆ alkoxycarbonyl, C₃₋₇ cycloalkyl, C₃₋₇ halocycloalkyl, C₃₋₇ cyanocycloalkyl, C₁₋₃ alkyl(C₃₋₇)cycloalkyl, C₁₋₃ alkyl(C₃₋₇)halocycloalkyl, phenyl (optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heteroaryl (optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heterocyclyl (wherein the heterocyclyl group is optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), or 2 adjacent groups R⁴ together with the carbon atoms to which they are attached form a 4, 5, 6, or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen, C₁₋₈ alkoxy, C₁₋₆ haloalkoxy, phenoxy (optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heteroaryloxy (optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C₁₋₈ alkylthio or R¹⁹R²⁰N where R¹⁹ and R²⁰ are, independently, hydrogen, C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, C₂₋₆ haloalkyl,

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 C_{1-6} alkoxycarbonyl or R^{19} and R^{20} together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two C_{1-6} alkyl groups; n is 0, 1, 2, 3 or 4.

More preferably each R⁴ is independently halogen, cyano, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₁₋₈ cyanoalkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, C₂₋₆ alkynyl, trimethylsilyl(C₂₋₆)alkynyl, C₁₋₆ alkoxycarbonyl, C₃₋₇ cycloalkyl, C₁₋₃ alkyl (C₃₋₇) cycloalkyl, phenyl (optionally substituted by halo, nitro, cyano, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heterocyclyl (optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C₁₋₈ alkoxy, C₁₋₆ haloalkoxy, phenoxy (optionally substituted by halo, nitro, cyano, C₁₋₃ alkyl, C₁₋₃ haloalkyl, C₁₋₃ haloalkyl, C₁₋₃ haloalkyl, C₁₋₃ haloalkyl, C₁₋₃ haloalkyl, C₁₋₃ haloalkoxy), di(C₁₋₈)alkylamino, or 2 adjacent groups R⁴ together with the carbon atoms to which they are attached form a 4, 5, 6,or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2, 3 or 4.

Even more preferably each R^4 is independently halogen, cyano, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{1-6} cyanoalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{2-6} alkynyl, heterocyclyl (optionally substituted by C_{1-6} alkyl), C_{1-8} alkoxy, C_{1-6} haloalkoxy, phenoxy (optionally substituted by halo, cyano, C_{1-3} alkyl or C_{1-3} haloalkyl), heteroaryloxy (optionally substituted by halo, cyano, C_{1-3} alkyl or C_{1-3} haloalkyl), di(C_{1-8})alkylamino or 2 adjacent groups R^4 together with the carbon atoms to which they are attached form a 4, 5, 6, or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2, 3 or 4;

Yet more preferably each R^4 is independently fluoro, chloro, bromo, cyano, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} cyanoalkyl or C_{1-3} alkoxy(C_{1-3})alkyl; n is 0, 1 or 2;

Most preferably each R^4 is independently fluoro, chloro, bromo, C_{1-4} alkyl or C_{1-4} haloalkyl; n is 1 or 2.

Preferably R^8 is C_{1-10} alkyl, C_{1-10} haloalkyl, aryl(C_{1-6})alkyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heteroaryl(C_{1-6})alkyl (wherein the heteroaryl group is optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), arylcarbonyl-(C_{1-6})alkyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy or C_{1-6} haloalkoxy and the alkyl group may be optionally

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substituted by aryl), C₂₋₈ alkenyl, C₂₋₈ haloalkenyl, aryl(C₁₋₆)alkenyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkoxy, C₁₋₆ alkoxycarbonyl, C₁₋₆ haloalkoxy, or two adjacent substituents can cyclise to form a 5, 6 or 7 memberedcarbocyclic or heterocyclic ring), C₂₋₆ alkynyl, phenyl(C₁₋₆)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy, C₁₋₄ alkoxycarbonyl or C₁₋₄ haloalkoxy), C₃₋₇ cycloalkyl, C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonyl, C₁₋₆ haloalkylcarbonyl or aryl(C₁₋₆)alkenylcarbonyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy, C₁₋₄ alkoxycarbonyl or C₁₋₄ haloalkoxy).

 R^8 is more preferably C_{1-6} alkyl, C_{1-6} haloalkyl, aryl(C_{1-4})alkyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy or C_{1-3} haloalkoxy), C_{2-6} alkenyl, aryl(C_{1-6})alkenyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} alkoxycarbonyl or C_{1-4} haloalkoxy), C_{2-6} alkynyl, phenyl(C_{1-6})alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} alkoxycarbonyl or C_{1-4} haloalkoxy).

Even more preferably R^8 is phenyl(C_{1-4})alkyl (wherein the phenyl group is optionally substituted by halo, cyano, C_{1-6} alkyl or C_{1-6} haloalkyl), phenyl(C_{1-6})alkenyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy, C_{1-3} alkoxycarbonyl or C_{1-3} haloalkoxy) or phenyl(C_{1-6})alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy, C_{1-3} alkoxycarbonyl or C_{1-3} haloalkoxy); R^9 and R^{10} are both hydrogen; or salts or N-oxides thereof.

Yet more preferably R^8 is phenyl(C_{1-4})alkenyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy, C_{1-3} alkoxycarbonyl or C_{1-3} haloalkoxy) or phenyl(C_{1-4})alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy, C_{1-3} alkoxycarbonyl or C_{1-3} haloalkoxy).

Most preferably R^8 is phenyl(C_{1-4})alkenyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy or C_{1-3} haloalkoxy). It is preferred that R^9 and R^{10} are both hydrogen.

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Certain compounds of formula (I) are novel and as such form a further aspect of the invention. For example there are provided novel compounds of formula (IN)

$$R^9$$
 R^8
 R^{10}
 R^2
 R^3
 $Y-R^1$
 R^1

which are compounds of formula I wherein wherein Y, R¹, R², R³, R⁴, R⁹, R¹⁰ and n are as defined for compounds of formula (I) and R^8 is C_{1-10} alkyl, C_{1-10} haloalkyl, aryl(C_{1-6})alkyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), heteroaryl(C_{1-6})alkyl (wherein the heteroaryl group is optionally substituted by halo, nitro, cyano, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy or C_{1-6} haloalkoxy), arylcarbonyl(C_{1-6})alkyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy and the alkyl group may be optionally substituted by aryl), C2-8 alkenyl, C2-8 haloalkenyl, aryl-(C₁₋₆)alkenyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkoxycarbonyl, C₁₋₆ haloalkoxy, or two adjacent substituents can cyclise to form a 5, 6 or 7 memberedcarbocyclic or heterocyclic ring), C₂₋₆ alkynyl, phenyl(C1-6)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy, C₁₋₄ alkoxycarbonyl or C₁₋₄ haloalkoxy), C_{3-7} cycloalkyl, C_{1-6} alkoxycarbonyl, C_{1-6} alkylcarbonyl, C_{1-6} haloalkylcarbonyl or aryl(C_{1-6})alkenylcarbonyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy, C₁₋₄ alkoxycarbonyl or C₁₋₄ haloalkoxy); preferably R⁸ is C_{1-6} alkyl, C_{1-6} haloalkyl, aryl(C_{1-4})alkyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, C₁₋₃ alkyl, C₁₋₃ haloalkyl, C₁₋₃ alkoxy or C₁₋₃ haloalkoxy), C₂₋₆ alkenyl, aryl(C₁₋₆)alkenyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, C₁₋₄ alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} alkoxycarbonyl or C_{1-4} haloalkoxy), C_{2-6} alkynyl, phenyl(C₁₋₆)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy, C₁₋₄ alkoxycarbonyl or C₁₋₄ haloalkoxy); more preferably R⁸ is phenyl(C₁₋₄)alkyl (wherein the phenyl group is optionally substituted by halo, cyano, C_{1-6} alkyl or C_{1-6} haloalkyl), phenyl(C_{1-6})alkenyl (wherein the phenyl group is

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optionally substituted by halo, nitro, cyano, C₁₋₃ alkyl, C₁₋₃ haloalkyl, C₁₋₃ alkoxy, C₁₋₃ alkoxycarbonyl or C₁₋₃ haloalkoxy) or phenyl(C₁₋₆)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C₁₋₃ alkyl, C₁₋₃ haloalkyl, C₁₋₃ alkoxy, C₁₋₃ alkoxycarbonyl or C₁₋₃ haloalkoxy); R⁹ and R¹⁰ are both hydrogen; or salts or N-oxides thereof.; yet more preferably R⁸ is phenyl(C₁₋₄)alkenyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C₁₋₃ alkyl, C₁₋₃ haloalkyl, C₁₋₃ alkoxy, C₁₋₃ alkoxycarbonyl or C₁₋₃ haloalkoxy) or phenyl(C₁₋₄)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C₁₋₃ alkyl, C₁₋₃ haloalkyl, C₁₋₃ alkoxy, C₁₋₃ alkoxycarbonyl or C₁₋₃ haloalkoxy); and most preferably R⁸ is phenyl(C₁₋₄)alkenyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C₁₋₃ alkyl, C₁₋₃ haloalkyl, C₁₋₃ haloalkyl).

The compounds in Tables I to XXIX below illustrate the compounds of the invention. Table I provides 300 compounds of formula Ia

wherein the values of R⁸, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table 1

Compound	R ⁸	R ⁴	R ^{4b}	R ^{4c}	R ^{4d}
I-1	Cinnamyl	Н	H	Н	Н
I-2	4-chlorocinnamyl	Н	Н	H	H
I-3	4-fluorocinnamyl	H	H	Н	H
I-4	4-nitrocinnamyl	H	Н	H	H
I-5	4-methoxycinnamyl	H	H	H	H
I-6	4-methylcinnamyl	H	H	H	H
I-7	4-trifluoromethylcinnamyl	H	H	H	H
I-8	4-cyanocinnamyl	Н	H	Н	H

I-9	2,4-dichlorocinnamyl	H	H	H	H
I-10	2,4-difluorocinnamyl	H	H	Н	H
I-11	cinnamyl	Cl	H	H	H
I-12	4-chlorocinnamyl	Cl	Н	Н	н
I-13	4-fluorocinnamyl	Cl	Н	Н	H
I-14	4-nitrocinnamyl	Cl	Н	Н	H
I-15	4-methoxycinnamyl	C1	Н	Н	H
I-16	4-methylcinnamyl	Cl	H	H	Н
I-17	4-trifluoromethylcinnamyl	Cl	Н	H	Н
I-18	4-cyanocinnamyl	Cl	H	H	H
I-19	2,4-dichlorocinnamyl	Cl	H	H	H
I-20	2,4-difluorocinnamyl	Cl	H	Н	H
I-21	cinnamyl	Н	Cl	H	H
I-22	4-chlorocinnamyl	H	Cl	H	H
1-23	4-fluorocinnamyl	Н	Cl	Н	H
I-24	4-nitrocinnamyl	H	Cl	Н	H
I-25	4-methoxycinnamyl	H	Cl	H	H
I-26	4-methylcinnamyl	H	Cl	Н	H
I-27	4-trifluoromethylcinnamyl	H	Cl	H	H
I-28	4-cyanocinnamyl	H	Cl	H	H
I-29	2,4-dichlorocinnamyl	Н	Cl	H	H
I-30	2,4-difluorocinnamyl	H	Cl	Н	H
I-31	cinnamyl	H	H	Cl	H
I-32	4-chlorocinnamyl	H	H	Cl	H
I-33	4-fluorocinnamyl	H	Н	Cl	Н
I-34	4-nitrocinnamyl	H	H	Cl	H
I-35	4-methoxycinnamyl	Н	Н	Cl	Н
I-36	4-methylcinnamyl	H	Н	Cl	H
I-37	4-trifluoromethylcinnamyl	H	Н	Cl	H
I-38	4-cyanocinnamyl	Н	Н	Cl	H
I-39	2,4-dichlorocinnamyl	H	Н	Cl	H

I-40	2,4-difluorocinnamyl	Н	Н	Cl	H
I-41	cinnamyl	H	H	H	Cl
I-42	4-chlorocinnamyl	H	Н	H	Cl
I-43	4-fluorocinnamyl	H	Н	H	Cl
I-44	4-nitrocinnamyl	H	H	H	Cl
I-45	4-methoxycinnamyl	H	Н	H	Cl
I-46	4-methylcinnamyl	H	H	H	Cl
I-47	4-trifluoromethylcinnamyl	H	H	H	Cl
I-48	4-cyanocinnamyl	H	H	H	Cl
I-49	2,4-dichlorocinnamyl	Н	H	H	Cl
I-50	2,4-difluorocinnamyl	H	Н	H	Cl
I-51	cinnamyl	F	Н	H	H
I-52	4-chlorocinnamyl	F	Н	Н	H
I-53	4-fluorocinnamyl	F	Н	H	H
I-54	4-nitrocinnamyl	F	Н	H	н
I-55	4-methoxycinnamyl	F	H	H	H
I -56	4-methylcinnamyl	F	Н	Н	H
I-57	4-trifluoromethylcinnamyl	F	H	H	H
I-58	4-cyanocinnamyl	F	Н	H	H
I-59	2,4-dichlorocinnamyl	F	H	H	H
I-60	2,4-difluorocinnamyl	F	Н	Н	H
I-61	cinnamyl	Н	F	Н	Н
I-62	4-chlorocinnamyl	H	F	Н	H
I-63	4-fluorocinnamyl	H	F	Н	H
I-64	4-nitrocinnamyl	H	F	Н	H
I-65	4-methoxycinnamyl	Н	F	H	H
I-66	4-methylcinnamyl	Н	F	H	H
I-67	4-trifluoromethylcinnamyl	H	F	Н	H
I-68	4-cyanocinnamyl	H	F	Н	H
I-69	2,4-dichlorocinnamyl	Н	F	H	H
I-70	2,4-difluorocinnamyl	H	F	H	H

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I-71	cinnamyl	H	H	F	H
I-72	4-chlorocinnamyl	H	H	F	H
I-73	4-fluorocinnamyl	H	H	F	H
I-74	4-nitrocinnamyl	H	Н	F	H
I-75	4-methoxycinnamyl	Н	Н	F	H
I-76	4-methylcinnamyl	H	Н	F	H
I-77	4-trifluoromethylcinnamyl	H	Н	F	H
I-78	4-cyanocinnamyl	H	H	F	H
I-79	2,4-dichlorocinnamyl	H	Н	F	H
I-80	2,4-difluorocinnamyl	H	Н	F	H
I-81	cinnamyl	Н	Н	H	F
I-82	4-chlorocinnamyl	H	Н	H	F
I-83	4-fluorocinnamyl	H	H	Н	F
I-84	4-nitrocinnamyl	Н	Н	Н	F
I-85	4-methoxycinnamyl	H	Н	Н	F
I-86	4-methylcinnamyl	н	Н	H	F
I-87	4-trifluoromethylcinnamyl	H	H	H	F
I-88	4-cyanocinnamyl	H	H	Н	F
I-89	2,4-dichlorocinnamyl	H	H	Н	F
I-90	2,4-difluorocinnamyl	H	H	H	F
I-91	cinnamyl	Br	Н	Н	H
I-92	4-chlorocinnamyl	Br	Н	H	H
I-93	4-fluorocinnamyl	Br	Н	Н	H
I-94	4-nitrocinnamyl	Br	H	H	H
I-95	4-methoxycinnamyl	Br	Н	H	H
I-96	4-methylcinnamyl	Br	Н	Н	H
I-97	4-trifluoromethylcinnamyl	Br	Н	Н	H
I-98	4-cyanocinnamyl	Br	Н	Н	H
I-99	2,4-dichlorocinnamyl	Br	Н	H	H
I-100	2,4-difluorocinnamyl	Br	Н	Н	H
I-101	cinnamyl	H	Br	Н	H

I-102	4-chlorocinnamyl	H	Br	Н	H
I-103	4-fluorocinnamyl	H	Br	H	H
I-104	4-nitrocinnamyl	H	Br	Н	H
I-105	4-methoxycinnamyl	H	Br	Н	H
I-106	4-methylcinnamyl	H	Br	H	H
I-107	4-trifluoromethylcinnamyl	H	Br	Н	H
I-108	4-cyanocinnamyl	H	Br	Н	H
I-109	2,4-dichlorocinnamyl	Н	Br	Н	H
I-110	2,4-difluorocinnamyl	H	Br	Н	H
I-111	cinnamyl	H	H	Br	Н
I-112	4-chlorocinnamyl	H	H	Br	H
I-113	4-fluorocinnamyl	H	Н	Br	H
I-114	4-nitrocinnamyl	H	H	Br	H
I-115	4-methoxycinnamyl	H	H	Br	H
I-116	4-methylcinnamyl	H	H	Br	H
I-117	4-trifluoromethylcinnamyl	H	H	Br	Н
I-118	4-cyanocinnamyl	H	H	Br	Н
I-119	2,4-dichlorocinnamyl	H	H	Br	H
I-120	2,4-difluorocinnamyl	H	Н	Br	H
I-121	cinnamyl	H	Н	H	Br
I-122	4-chlorocinnamyl	H	H	H	Br
I-123	4-fluorocinnamyl	H	H	H	Br
I-124	4-nitrocinnamyl	H	Н	H	Br
I-125	4-methoxycinnamyl	H	H	H	Br
I-126	4-methylcinnamyl	H	H	H	Br
I-127	4-trifluoromethylcinnamyl	H	Н	H	Br
I-128	4-cyanocinnamyl	H	Н	H	Br
I-129	2,4-dichlorocinnamyl	H	H	H	Br
I-130	2,4-difluorocinnamyl	H	Н	H	Br
I-131	cinnamyl	H	Cl	H	Cl
I-132	4-chlorocinnamyl	H	Cl	H	Cl

I-133	4-fluorocinnamyl	H	Cl	Н	Cl
I-134	4-nitrocinnamyl	H	Cl	H	Cl
I-135	4-methoxycinnamyl	H	Cl	Н	Cl
I-136	4-methylcinnamyl	H	Cl	Н	Cl
I-137	4-trifluoromethylcinnamyl	H	Cl	Н	Cl
I-138	4-cyanocinnamyl	H	Cl	H	Cl
I-139	2,4-dichlorocinnamyl	H	Cl	H	Cl
I-140	2,4-difluorocinnamyl	Н	Cl	H	Cl
I-141	cinnamyl	H	F	H	F
I-142	4-chlorocinnamyl	H	F	H	F
I-143	4-fluorocinnamyl	Н	F	H	F
I-144	4-nitrocinnamyl	H	F	Н	F
I-145	4-methoxycinnamyl	H	F	Н	F
I-146	4-methylcinnamyl	н	F	H	F
I-147	4-trifluoromethylcinnamyl	H	F	H	F
I-148	4-ċyanocinnamyl	H	F	H	F
I-149	2,4-dichlorocinnamyl	H	F	H	F
I-150	2,4-difluorocinnamyl	H	F	Н	F
I-151	cinnamyl	Cl	F	H	H
I-152	4-chlorocinnamyl	C1	F	Н	H
I-153	4-fluorocinnamyl	C1	F	Н	H
I-154	4-nitrocinnamyl	Cl	F	Н	H
I-155	4-methoxycinnamyl	Cl	F	Н	H
I-156	4-methylcinnamyl	Cl	F	H	H
I-157	4-trifluoromethylcinnamyl	Cl	F	Н	H
I-158	4-cyanocinnamyl	Cl	F	Н	H
I-159	2,4-dichlorocinnamyl	Cl	F	Н	H
I-160	2,4-difluorocinnamyl	Cl	F	H	H
I-161	cinnamyl	H	·F	Cl	H
I-162	4-chlorocinnamyl	H	F	Cl	H
I-163	4-fluorocinnamyl	Н	F	Cl	H

I-164	4-nitrocinnamyl	H	F	Cl	H
I-165	4-methoxycinnamyl	H	F	Cl	н
I-166	4-methylcinnamyl	H	F	Cl	H
I-167	4-trifluoromethylcinnamyl	H	F	Cl	Н
I-168	4-cyanocinnamyl	H	F	Cl	H
I-169	2,4-dichlorocinnamyl	H	F	Cl	H
I-170	2,4-difluorocinnamyl	H	F	Cl	H
I-171	cinnamyl	H	Cl	Cl	H
I-172	4-chlorocinnamyl	H	Cl	Cl	H
I-173	4-fluorocinnamyl	Н	Cl	Cl	H
I-174	4-nitrocinnamyl	H	Cl	Cl	H
I-175	4-methoxycinnamyl	H	Cl	Cl	H
I-176	4-methylcinnamyl	H	Čl	Cl	Н
I-177	4-trifluoromethylcinnamyl	H	Cl	CI	H
I-178	4-cyanocinnamyl	Н	Cl	Cl	H
I-179	2,4-dichlorocinnamyl	H	Cl	Cl	H
I-180	2,4-difluorocinnamyl	H	Cl	Cl	H
I-181	cinnamyl	H	· I	Н	Н
I-182	4-chlorocinnamyl	H	I	H	Н
I-183	4-fluorocinnamyl	H	I	H	H
I-184	4-nitrocinnamyl	H	I	H	H
I-185	4-methoxycinnamyl	H	I	H	H
I-186	4-methylcinnamyl	H	I	H	H
I-187	4-trifluoromethylcinnamyl	H	Ī	H	H
I-188	4-cyanocinnamyl	H	I	Н	H
I-189	2,4-dichlorocinnamyl	H	I	H	H
I-190	2,4-difluorocinnamyl	H	I	Н	H
I-191	cinnamyl	H	OMe	Н	H
I-192	4-chlorocinnamyl	Н	OMe	H	H
I-193	4-fluorocinnamyl	H	OMe	H	H
I-194	4-nitrocinnamyl	H	OMe	Н	H

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I-195	4-methoxycinnamyl	H	ОМе	H	H
I-196	4-methylcinnamyl	H	OMe	H	H
I-197	4-trifluoromethylcinnamyl	H	OMe	Н	H
I-198	4-cyanocinnamyl	H	ОМе	H	H
I-199	2,4-dichlorocinnamyl	H	OMe	H	H
I-200	2,4-difluorocinnamyl	H	ОМе	Н	H
I-201	cinnamyl	Н	Me	Н	H
I-202	4-chlorocinnamyl	H	Me	Н	H
I-203	4-fluorocinnamyl	H	Me	Н	H
I-204	4-nitrocinnamyl	H	Me	H	H
I-205	4-methoxycinnamyl	Н	Me	H	H
I-206	4-methylcinnamyl	H	Me	H	H
I-207	4-trifluoromethylcinnamyl	H	Me	H	H
I-208	4-cyanocinnamyl	Н	Me	H	H
I-209	2,4-dichlorocinnamyl	H	Me	H	H
I-210	2,4-difluorocinnamyl	H	Me	H	H
I-211	cinnamyl	H	CN	H	H
I-212	4-chlorocinnamyl	H	CN	H	H
I-213	4-fluorocinnamyl	H	CN	H	H
I-214	4-nitrocinnamyl	H	CN	H	H
I-215	4-methoxycinnamyl	H	CN	H	H
I-216	4-methylcinnamyl	H	CN	H	Н
I-217	4-trifluoromethylcinnamyl	H	CN	Н	H
I-218	4-cyanocinnamyl	H	CN	Н	H
I-219	2,4-dichlorocinnamyl	H	CN	Н	H
I-220	2,4-difluorocinnamyl	H	CN	Н	H
I-221	cinnamyl	H	ССН	Н	H
I-222	4-chlorocinnamyl	H	CCH	Н	H
I-223	4-fluorocinnamyl	H	ССН	Н	H
I-224	4-nitrocinnamyl	H	CCH	Н	H
I-225	4-methoxycinnamyl	H	CCH	Н	H
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I-226	4-methylcinnamyl	H	CCH	Н	H.
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I-227	4-trifluoromethylcinnamyl	H	CCH	H	H
I-228	4-cyanocinnamyl	H	CCH	H	H
I-229	2,4-dichlorocinnamyl	Н	CCH	H	H
I-230	2,4-difluorocinnamyl	Н	CCH	H	H
I-231	cinnamyl	H	COOMe	H	H
I-232	4-chlorocinnamyl	Н	СООМе	H	Н
I-233	4-fluorocinnamyl	H	COOMe	H	Н
I-234	4-nitrocinnamyl	H	COOMe	H	Н
I-235	4-methoxycinnamyl	H	COOMe	H	Н
I-236	4-methylcinnamyl	H	COOMe	H	H
I-237	4-trifluoromethylcinnamyl	H	COOMe	H	Н
I-238	4-cyanocinnamyl	H	COOMe	H	Н
I-239	2,4-dichlorocinnamyl	H	COOMe	H	Н
I-240	2,4-difluorocinnamyl	H	СООМе	H	Н
I-241	cinnamyl	H	Me	Cl	H
I-242	4-chlorocinnamyl	H	Me	Cl	H
I-243	4-fluorocinnamyl	H	Me	Cl	Н
I-244	4-nitrocinnamyl	H	Me	Cl	н
I-245	4-methoxycinnamyl	H	Me	Cl	Н
I-246	4-methylcinnamyl	Н	Me	Cl	Н
I-247	4-trifluoromethylcinnamyl	Н	Me	Cl	H
I-248	4-cyanocinnamyl	H	Me	Cl	H
I-249	2,4-dichlorocinnamyl	H	Me	Cl	H
I250	2,4difluorocinnamyl	H	Me	Cl	H
I-251	cinnamyl	Cl	Me	H	H
I-252	4-chlorocinnamyl	Cl	Me	H	H
I-253	4-fluorocinnamyl	Cl	Me	H	H
I-254	4-nitrocinnamyl	Cl	Ме	H	H
I-255	4-methoxycinnamyl	Cl	Me	H	H
I-256	4-methylcinnamyl	C1	Me	H	H

I-257	4-trifluoromethylcinnamyl	Cl	Me	H	Н
I-258	4-cyanocinnamyl	Cl	Me	H	H
I-259	2,4-dichlorocinnamyl	Cl	Me	Н	H
I-260	2,4-difluorocinnamyl	Cl	Me	Н	H
I-261	cinnamyl	H	Cl	H	Me
I-262	4-chlorocinnamyl	H	Cl	Н	Me
I-263	4-fluorocinnamyl	H	Cl	Н	Me
I-264	4-nitrocinnamyl	H	Cl	H	Me
I-265	4-methoxycinnamyl	H	Cl	H	Me
I-266	4-methylcinnamyl	H	Cl	Н	Me
I-267	4-trifluoromethylcinnamyl	H	Cl	Н	Me
I-268	4-cyanocinnamyl	Н	Cl	Н	Me
I-269	2,4-dichlorocinnamyl	H	Cl	H	Me
I-270	2,4-difluorocinnamyl	H	Cl	H	Me
I-271	cinnamyl	H	Н	4-Cl-PhO	H
I-272	4-chlorocinnamyl	H	Н	4-Cl-PhO	H
I-273	4-fluorocinnamyl	H	H	4-Cl-PhO	H
I-274	4-nitrocinnamyl	H	H	4-Cl-PhO	Н
I-275	4-methoxycinnamyl	H	H	4-Cl-PhO	H
I-276	4-methylcinnamyl	Н	H	4-Cl-PhO	H
I-277	4-trifluoromethylcinnamyl	H	H	4-Cl-PhO	H
I-278	4-cyanocinnamyl	H	Н	4-Cl-PhO	H
I-279	2,4-dichlorocinnamyl	H	Н	4-Cl-PhO	H
I-280	2,4-difluorocinnamyl	H	H	4-Cl-PhO	H
I-281	cinnamyl	H	4-F-Ph	H	H
I-282	4-chlorocinnamyl	H	4-F-Ph	Н	H
I-283	4-fluorocinnamyl	H	4-F-Ph	H	H
I-284	4-nitrocinnamyl	Н	4-F-Ph	Н	H
I-285	4-methoxycinnamyl	Н	4-F-Ph	H	H
I-286	4-methylcinnamyl	H	4-F-Ph	Н	H
I-287	4-trifluoromethylcinnamyl	H	4-F-Ph	H	H

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I-288	4-cyanocinnamyl	H	4-F-Ph	H	H
I-289	2,4-dichlorocinnamyl	H	4-F-Ph	H	Н
I-290	2,4-difluorocinnamyl	Н	4-F-Ph	H	Н
I-291	cinnamyl	H	CF₃O	H	H
I-292	4-chlorocinnamyl	H	CF ₃ O	H	H
I-293	4-fluorocinnamyl	H	CF₃O	H	H
I-294	4-nitrocinnamyl	Н	CF₃O	H	H
I-295	4-methoxycinnamyl	H	CF₃O	H	H
I-296	4-methylcinnamyl	H	CF₃O	H	H
1-297	4-trifluoromethylcinnamyl	Н	CF₃O	Н	H
I-298	4-cyanocinnamyl	H	CF₃O	Н	Н
I-299	2,4-dichlorocinnamyl	H	CF₃O	Н	H
I-300	2,4-difluorocinnamyl	H	CF₃O	Н	Н

Table II provides 300 compounds of formula Ib

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table III provides 300 compounds of formula Ic

15

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table IV provides 300 compounds of formula Id

$$R^{4c}$$
 R^{4c}
 R^{4d}
 R^{4d}

wherein the values of R⁸, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table V provides 300 compounds of formula Ie

$$R^{4b}$$
 R^{4c}
 R^{4d}
 R^{4d}

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table VI provides 300 compounds of formula If

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table VII provides 300 compounds of formula Ig

10

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table VIII provides 300 compounds of formula Ih

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table IX provides 300 compounds of formula Ii

wherein the values of R⁸, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table X provides 300 compounds of formula Ij

10

$$R^{4b}$$
 R^{4c}
 R^{4d}
 R^{4d}

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XI provides 300 compounds of formula Ik

wherein the values of R⁸, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table XII provides 300 compounds of formula II

$$R^{4b}$$
 R^{4a}
 R^{4a}

wherein the values of R⁸, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table XIII provides 300 compounds of formula Im

10

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XIV provides 300 compounds of formula In

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XV provides 300 compounds of formula Io

wherein the values of R⁸, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table XVI provides 300 compounds of formula Ip

10

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XVII provides 300 compounds of formula Iq

$$R^{4c}$$
 R^{4c}
 R^{4d}
 R^{4d}

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XVIII provides 300 compounds of formula Ir

wherein the values of R⁸, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table XIX provides 300 compounds of formula Is

$$R^{4a}$$
 R^{4a}
 R^{4a}

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XX provides 300 compounds of formula It

$$R^{4b}$$
 R^{4c}
 R^{4d}
 R^{4d}

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XXI provides 300 compounds of formula Iu

$$R^{4b}$$
 R^{4a}
 R^{4a}

wherein the values of R⁸, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table XXII provides 300 compounds of formula Iv

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XXIII provides 300 compounds of formula Iw

wherein the values of R⁸, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table XXIV provides 300 compounds of formula Ix

wherein the values of R⁸, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table XXV provides 300 compounds of formula Iy

10

$$\mathbb{R}^{4b}$$
 \mathbb{R}^{4a}
 \mathbb{R}^{4a}
 \mathbb{R}^{4a}
 \mathbb{R}^{4b}
 \mathbb{R}^{4d}
 \mathbb{R}^{4d}
 \mathbb{R}^{4d}
 \mathbb{R}^{4d}
 \mathbb{R}^{4d}
 \mathbb{R}^{4d}
 \mathbb{R}^{4d}

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XXVI provides 300 compounds of formula Iz

wherein the values of R⁸, R^{4a}, R^{4b}, R^{4c} and R^{4d} are given in Table 1

Table XXVII provides 300 compounds of formula Iaa

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XXVIII provides 270 compounds of formula Ibb

$$R^{4b}$$
 R^{4a}
 R^{4b}
 R^{4b}

wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} , R^{4d} and $(R^a)_n$ are given in Table 2

Table 2

Compound	\mathbb{R}^8	R ⁴	R ^{4b}	R ⁴	R ^{4d}	(R ^a) _n
		a H	H	H	H	4-SMe
XXVIII-1	cinnamyl				H	4-SMe
XXVIII-2	4-chlorocinnamyl	H	H	H		
XXVIII-3	4-fluorocinnamyl	H	H_	H	H	4-SMe
XXVIII-4	4-trifluoromethylcinnamyl	H	H	H	H	4-SMe
XXVIII-5	4-cyanocinnamyl	H	H	H	H	4-SMe
XXVIII-6	cinnamyl	H	Cl	H	H	4-SMe
XXVIII-7	4-chlorocinnamyl	H	Cl	H	H	4-SMe
XXVIII-8	4-fluorocinnamyl	H	Cl	H	H	4-SMe
XXVIII-9	4-trifluoromethylcinnamyl	H	Cl	H	H	4-SMe
XXVIII-10	4-cyanocinnamyl	H	Cl	H	H	4-SMe
XXVIII-11	cinnamyl	H	F	H	H	4-SMe
XXVIII-12	4-chlorocinnamyl	H	F	H	H	4-SMe
XXVIII-13	4-fluorocinnamyl	H	F	H	H	4-SMe
XXVIII-14	4-trifluoromethylcinnamyl	H	F	H	Н	4-SMe
XXVIII-15	4-cyanocinnamyl	H	F	H	H	4-SMe
XXVIII-16	cinnamyl	H	H	F	H_	4-SMe
XXVIII-17	4-chlorocinnamyl	H	H	F	H	4-SMe
XXVIII-18	4-fluorocinnamyl	H	H	F	H	4-SMe
XXVIII-19	4-trifluoromethylcinnamyl	H	H	F	H	4-SMe
XXVIII-20	4-cyanocinnamyl	H	H	F	H	4-SMe
XXVIII-21	cinnamyl	H	F	H	F	4-SMe
XXVIII-22	4-chlorocinnamyl	H	F	H	F	4-SMe
XXVIII-23	4-fluorocinnamyl	H	F	H	F	4-SMe
XXVIII-24	4-trifluoromethylcinnamyl	H	F	H	F	4-SMe
XXVIII-25	4-cyanocinnamyl	H	F	H	F	4-SMe
XXVIII-26	cinnamyl	H	OMe	H	H	4-SMe
XXVIII-27	4-chlorocinnamyl	H	OMe	H	H	4-SMe
XXVIII-28	4-fluorocinnamyl	H	OMe	H	H	4-SMe
XXVIII-29	4-trifluoromethylcinnamyl	H	OMe	H	H	4-SMe
XXVIII-30	4-cyanocinnamyl	H	OMe	H	H	4-SMe

AXVIII-31 A-chlorocinnamy H H H H A-C(O)Ph	Txxxx 700 21	T ::	1	1	T	155	1 4 6 (6) 51
XXVIII-33	XXVIII-31	cinnamyl	H	H	H	H	4-C(O)Ph
XXVIII-34				-	+		
XXVIII-35							
XXVIII-36 cinnamy					+		
XXVIII-37	<u> </u>				+		4-C(O)Ph
XXVIII-38					_		
XXVIII-39					+		4-C(O)Ph
XXVIII-40						H	4-C(O)Ph
XXVIII-41 cinnamy	<u> </u>		H	Cl	H	H	4-C(O)Ph
XXVIII-42			-		+	H	4-C(O)Ph
XXVIII-43			H		H	H	4-C(O)Ph
XXVIII-44 4-trifluoromethylcinnamyl H F H H 4-C(O)Ph XXVIII-45 4-cyanocinnamyl H F H H 4-C(O)Ph XXVIII-46 cinnamyl H H F H 4-C(O)Ph XXVIII-47 4-chlorocinnamyl H H F H 4-C(O)Ph XXVIII-48 4-fluorocinnamyl H H F H 4-C(O)Ph XXVIII-49 4-trifluoromethylcinnamyl H H F H 4-C(O)Ph XXVIII-50 4-cyanocinnamyl H H F H 4-C(O)Ph XXVIII-51 cinnamyl H F H F H 4-C(O)Ph XXVIII-52 4-chlorocinnamyl H F H F 4-C(O)Ph XXVIII-53 4-fluorocinnamyl H F H F 4-C(O)Ph XXVIII-54 4-trifluoromethylcinnamyl H F H F 4-C(O)Ph XXVIII-55 4-cyanocinnamyl H F H F 4-C(O)Ph XXVIII-55 4-cyanocinnamyl H OMe H H 4-C(O)Ph XXVIII-56 cinnamyl H OMe H H 4-C(O)Ph XXVIII-57 4-chlorocinnamyl H OMe H H 4-C(O)Ph XXVIII-58 4-fluorocinnamyl H OMe H H 4-C(O)Ph XXVIII-60 4-cyanocinnamyl H OMe H H 4-C(O)Ph XXVIII-61 cinnamyl H OMe H H 4-C(O)Ph XXVIII-62 4-chlorocinnamyl H OMe H H 4-F XXVIII-63 4-fluorocinnamyl H H H H H 4-F XXVIII-64 4-trifluoromethylcinnamyl H H H H 4-F XXVIII-65 4-cyanocinnamyl H H H H H 4-F XXVIII-66 4-cyanocinnamyl H H H H H 4-F XXVIII-66 4-cyanocinnamyl H CI H H 4-F XXVIII-66 4-trifluoromethylcinnamyl H CI H H 4-F XXVIII-66 4-trifluoromethylcinnamyl H CI H H 4-F XXVIII-67 4-chlorocinnamyl H CI H H 4-F XXVIII-68 4-fluorocinnamyl H CI H H 4-F XXVIII-69 4-trifluoromethylcinnamyl H CI H H 4-F XXVIII-69 4-trifluoromethylcinnamyl H CI H H 4-F XXVIII-69 4-trifluoromethylcinnamyl H CI H H 4-F XXVIII-71 4-trifluoromethylcinnamyl H F H H 4-F XXVIII-72 4-chlorocinnamyl H F H H 4-F XXVIII-73 4-trifluoromethylcinnamyl H F H H 4-F XXVIII-75 4-cyanocinnamyl H F H H 4-F XXV			H	F.	H	H	4-C(O)Ph
XXVIII-45			H		H	H	4-C(O)Ph
XXVIII-46 cinnamyl			H		H	H	4-C(O)Ph
XXVIII-47 4-chlorocinnamyl	XXVIII-45	4-cyanocinnamyl	H	F		H	4-C(O)Ph
XXVIII-48	XXVIII-46	cinnamyl	H	H	F	H	4-C(O)Ph
XXVIII-49	XXVIII-47		H	H	F	H	4-C(O)Ph
XXVIII-50	XXVIII-48	4-fluorocinnamyl	H	H	F	H	4-C(O)Ph
XXVIII-51 cinnamy	XXVIII-49	4-trifluoromethylcinnamyl	H	H	F	H	4-C(O)Ph
XXVIII-52	XXVIII-50	4-cyanocinnamyl	H	H	F	H	4-C(O)Ph
XXVIII-53	XXVIII-51	cinnamyl	H	F	H	F	4-C(O)Ph
XXVIII-54	XXVIII-52	4-chlorocinnamyl	H	F	H	F	4-C(O)Ph
XXVIII-55	XXVIII-53	4-fluorocinnamyl	H	F	H	F	4-C(O)Ph
XXVIII-56 cinnamyl	XXVIII-54	4-trifluoromethylcinnamyl	H	F	H	F	4-C(O)Ph
XXVIII-57	XXVIII-55	4-cyanocinnamyl	H	F	H	F	4-C(O)Ph
XXVIII-58 4-fluorocinnamyl H OMe H H 4-C(O)Ph XXVIII-59 4-trifluoromethylcinnamyl H OMe H H 4-C(O)Ph XXVIII-60 4-cyanocinnamyl H H H H 4-C(O)Ph XXVIII-61 cinnamyl H H H H H F XXVIII-62 4-chlorocinnamyl H H H H H H F XXVIII-63 4-fluorocinnamyl H <t< td=""><td>XXVIII-56</td><td>cinnamyl</td><td>H</td><td>OMe</td><td>H</td><td>H</td><td>4-C(O)Ph</td></t<>	XXVIII-56	cinnamyl	H	OMe	H	H	4-C(O)Ph
XXVIII-59 4-trifluoromethylcinnamyl H OMe H H 4-C(O)Ph XXVIII-60 4-cyanocinnamyl H OMe H H 4-C(O)Ph XXVIII-61 cinnamyl H H H H H H F XXVIII-62 4-chlorocinnamyl H H H H H H F XXVIII-63 4-fluorocinnamyl H H H H H H H F XXVIII-64 4-trifluoromethylcinnamyl H	XXVIII-57	4-chlorocinnamyl	H	OMe	H	H	4-C(O)Ph
XXVIII-60 4-cyanocinnamyl H OMe H H 4-C(O)Ph XXVIII-61 cinnamyl H H H H H H 4-F XXVIII-62 4-chlorocinnamyl H H H H H H 4-F XXVIII-63 4-fluorocinnamyl H	XXVIII-58	4-fluorocinnamyl	H	OMe	H	H	4-C(O)Ph
XXVIII-61 cinnamyl	XXVIII-59	4-trifluoromethylcinnamyl	H	OMe	H	H	4-C(O)Ph
XXVIII-61 cinnamyl H H H H H 4-F XXVIII-62 4-chlorocinnamyl H	XXVIII-60	4-cyanocinnamyl	H	OMe	H	H	4-C(O)Ph
XXVIII-63 4-fluorocinnamyl H H H H H 4-F	XXVIII-61	cinnamyl	H	H	H	H	
XXVIII-64 4-trifluoromethylcinnamyl H H H H H 4-F XXVIII-65 4-cyanocinnamyl H	XXVIII-62	4-chlorocinnamyl	H	H	H	H	4-F
XXVIII-65 4-cyanocinnamyl H H H H 4-F		4-fluorocinnamyl	H	H	H	H	4-F
XXVIII-66 cinnamyl H Cl H H 4-F XXVIII-67 4-chlorocinnamyl H Cl H H 4-F XXVIII-68 4-fluorocinnamyl H Cl H H 4-F XXVIII-69 4-trifluoromethylcinnamyl H Cl H H 4-F XXVIII-70 4-cyanocinnamyl H F H H 4-F XXVIII-71 cinnamyl H F H H 4-F XXVIII-72 4-chlorocinnamyl H F H H 4-F XXVIII-73 4-fluorocinnamyl H F H H 4-F XXVIII-74 4-trifluoromethylcinnamyl H F H H 4-F XXVIII-75 4-cyanocinnamyl H F H H 4-F	ХХVШ-64	4-trifluoromethylcinnamyl	H	H	H	H	4-F
XXVIII-67 4-chlorocinnamyl H Cl H H 4-F XXVIII-68 4-fluorocinnamyl H Cl H H 4-F XXVIII-69 4-trifluoromethylcinnamyl H Cl H H 4-F XXVIII-70 4-cyanocinnamyl H F H H 4-F XXVIII-71 cinnamyl H F H H 4-F XXVIII-72 4-chlorocinnamyl H F H H 4-F XXVIII-73 4-fluorocinnamyl H F H H 4-F XXVIII-74 4-trifluoromethylcinnamyl H F H H 4-F XXVIII-75 4-cyanocinnamyl H F H H 4-F	XXVIII-65	4-cyanocinnamyl	H	H	H	H	4-F
XXVIII-68 4-fluorocinnamyl H Cl H H 4-F XXVIII-69 4-trifluoromethylcinnamyl H Cl H H 4-F XXVIII-70 4-cyanocinnamyl H Cl H H 4-F XXVIII-71 cinnamyl H F H H 4-F XXVIII-72 4-chlorocinnamyl H F H H 4-F XXVIII-73 4-fluorocinnamyl H F H H 4-F XXVIII-74 4-trifluoromethylcinnamyl H F H H 4-F XXVIII-75 4-cyanocinnamyl H F H H 4-F	XXVIII-66	cinnamyl	H	Cl	H	H	4-F
XXVIII-69 4-trifluoromethylcinnamyl H Cl H H 4-F XXVIII-70 4-cyanocinnamyl H Cl H H 4-F XXVIII-71 cinnamyl H F H H 4-F XXVIII-72 4-chlorocinnamyl H F H H 4-F XXVIII-73 4-fluorocinnamyl H F H H 4-F XXVIII-74 4-trifluoromethylcinnamyl H F H H 4-F XXVIII-75 4-cyanocinnamyl H F H H 4-F	XXVIII-67	4-chlorocinnamyl	H	Cl	H	H	4-F
XXVIII-70 4-cyanocinnamyl H Cl H H 4-F XXVIII-71 cinnamyl H F H H 4-F XXVIII-72 4-chlorocinnamyl H F H H 4-F XXVIII-73 4-fluorocinnamyl H F H H 4-F XXVIII-74 4-trifluoromethylcinnamyl H F H H 4-F XXVIII-75 4-cyanocinnamyl H F H H 4-F	XXVIII-68	4-fluorocinnamyl	H	C1	H	H	4-F
XXVIII-71 cinnamyl H F H H 4-F XXVIII-72 4-chlorocinnamyl H F H H 4-F XXVIII-73 4-fluorocinnamyl H F H H 4-F XXVIII-74 4-trifluoromethylcinnamyl H F H H 4-F XXVIII-75 4-cyanocinnamyl H F H H 4-F	XXVIII-69	4-trifluoromethylcinnamyl	H	Cl	H	H	4-F
XXVIII-71 cinnamyl H F H H 4-F XXVIII-72 4-chlorocinnamyl H F H H 4-F XXVIII-73 4-fluorocinnamyl H F H H 4-F XXVIII-74 4-trifluoromethylcinnamyl H F H H 4-F XXVIII-75 4-cyanocinnamyl H F H H 4-F	XXVIII-70		H	CI	H	H	4-F
XXVIII-72 4-chlorocinnamyl H F H H 4-F XXVIII-73 4-fluorocinnamyl H F H H 4-F XXVIII-74 4-trifluoromethylcinnamyl H F H H 4-F XXVIII-75 4-cyanocinnamyl H F H H 4-F	XXVIII-71	cinnamyl	H				4-F
XXVIII-73 4-fluorocinnamyl H F H H 4-F XXVIII-74 4-trifluoromethylcinnamyl H F H H 4-F XXVIII-75 4-cyanocinnamyl H F H H 4-F	XXVIII-72		H				
XXVIII-74 4-trifluoromethylcinnamyl H F H H 4-F XXVIII-75 4-cyanocinnamyl H F H H 4-F	XXVIII-73	4-fluorocinnamyl	H				
XXVIII-75 4-cyanocinnamyl H F H H 4-F	XXVIII-74						
	XXVIII-75						
	ХХУШ-76						

XXVIII-79							
XXVIII-79	XXVIII-77	4-chlorocinnamyl	H	H	F	H	4-F
XXVIII-90		4-fluorocinnamyl	H				
XXVIII-80		4-trifluoromethylcinnamyl	H	H			
XXVIII-81 cinnamyl		4-cyanocinnamyl	H	H	F		
XXVIII-82			H	F	H		
XXVIII-84			H	F	H		4-F
XXVIII-84			H	F	H	F	
XXVIII-85			H	F	H	F	
XXVIII-86			H	F	H	F	
XXVIII-87			H	OMe	H	H	
XXVIII-88			H	OMe	H	H	4-F
XXVIII-99			H	OMe	H	H	
XXVIII-90			H	OMe	H	H	4-F
XXVIII-91 cinnamyl			H	OMe	H	H	4-F
XXVIII-92			H	H	H	H	3-CN
XXVIII-93			H	H	H	H	
XXVIII-94			H	H	H	H	3-CN
XXVIII-95			H	H	H	H	3-CN
XXVIII-96 cinnamyl			H	H	H	H	3-CN
XXVIII-97 4-chlorocinnamyl			H	Cl	H	H	3-CN
XXVIII-98 4-fluorocinnamyl			H	Cl	H	H	3-CN
XXVIII-99 4-trifluoromethylcinnamyl			H	Cl	H	H	3-CN
XXVIII-100			H	Cl	H	H	3-CN
XXVIII-101 cinnamyl			H	Cl	H	H	3-CN
XXVIII-102			H	F	H	H	
XXVIII-103 4-fluorocinnamyl			H	F	H	H	
XXVIII-104		L	H	F	H	H	
XXVIII-105			H	F	H	H	3-CN
XXVIII-106 cinnamyl			H	F	H	H	
XXVIII-107 4-chlorocinnamyl			H	H	F	H	3-CN
XXVIII-108 4-fluorocinnamyl H H F H 3-CN			H	H			
XXVIII-109 4-trifluoromethylcinnamyl H H F H 3-CN			H	H	F	H	
XXVIII-110 4-cyanocinnamyl H H F H 3-CN		4-trifluoromethylcinnamyl	H	H	F	H	
XXVIII-111 cinnamyl			H	H	F	H	3-CN
XXVIII-112 4-chlorocinnamyl H F H F 3-CN			H	F	H	F	
XXVIII-113 4-fluorocinnamyl H F H F 3-CN			H	F	H	F	
XXVIII-114 4-trifluoromethylcinnamyl H F H F 3-CN			H	F	H	F	3-CN
XXVIII-115 4-cyanocinnamyl H F H F 3-CN			H	F	H	F	3-CN
XXVIII-116 cinnamyl			H	F	H	F	
XXVIII-117 4-chlorocinnamyl H OMe H H 3-CN			H	OMe	H	H	
XXVIII-118 4-fluorocinnamyl H OMe H H 3-CN			H	OMe	H	H	
XXVIII-119 4-trifluoromethylcinnamyl H OMe H H 3-CN XXVIII-120 4-cyanocinnamyl H OMe H H 3-CN XXVIII-121 cinnamyl H H H H H 4-n-Pr			H	OMe	H	H	
XXVIII-120 4-cyanocinnamyl H OMe H H 3-CN XXVIII-121 cinnamyl H H H H 4-n-Pr			H	OMe	H	H	
XXVIII-121 cinnamyl H H H H 4-n-Pr				OMe	H	H	3-CN
Lev LTT LTT LTT LAW Dec			H	H	H	H	
XXVIII-122 4-chlorocinnamyi	XXVIII-122		H	H	H	H	4-n-Pr

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XXVIII-123		H	H	H	H	4-n-Pr
XXVIII-124			H	H	H	4-n-Pr
XXVIII-125		H	H	H	H	4-n-Pr
XXVIII-126	~ 	H	Cl	H	H	4-n-Pr
XXVIII-127	4-chlorocinnamyl	H	Cl	H	H	4-n-Pr
XXVIII-128	4-fluorocinnamyl	H	Cl	H	H	4-n-Pr
XXVIII-129	4-trifluoromethylcinnamyl	H	Cl	H	H	4-n-Pr
XXVIII-130	4-cyanocinnamyl	H	Cl	H	H	4-n-Pr
XXVIII-131	cinnamyl	H	F	H	H	4-n-Pr
XXVIII-132	4-chlorocinnamyl	H	F	H	H	4-n-Pr
XXVIII-133	4-fluorocinnamyl	H	F	H	H	4-n-Pr
XXVIII-134	4-trifluoromethylcinnamyl	H	F	H	H	4-n-Pr
XXVIII-135	4-cyanocinnamyl	H	F	H	H	4-n-Pr
XXVIII-136	cinnamyl	H	H	F	H	4-n-Pr
XXVIII-137	4-chlorocinnamyl	H	H	F	H	4-n-Pr
XXVIII-138	4-fluorocinnamyl	H	H	F	H	4-n-Pr
XXVIII-139	4-trifluoromethylcinnamyl	H	H	F	H	4-n-Pr
XXVIII-140	4-cyanocinnamyl	H	H	F	H	4-n-Pr
XXVIII-141	cinnamyl	H	F	H	F	4-n-Pr
XXVIII-142	4-chlorocinnamyl	H	F	H	F	4-n-Pr
XXVIII-143	4-fluorocinnamyl	H	F	H	F	4-n-Pr
XXVIII-144	4-trifluoromethylcinnamyl	H	F	H	F	4-n-Pr
XXVIII-145	4-cyanocinnamyl	H	F	H	F	4-n-Pr
ХХУШ-146	cinnamyl	H	OMe	H	H	4-n-Pr
XXVIII-147	4-chlorocinnamyl	H	OMe	H	H	4-n-Pr
XXVIII-148	4-fluorocinnamyl	H	OMe	H	H	4-n-Pr
XXVIII-149	4-trifluoromethylcinnamyl	H	OMe	H	H	4-n-Pr
XXVIII-150	4-cyanocinnamyl	H	OMe	H	H	4-n-Pr
XXVIII-151	cinnamyl	H	H	H	H	2-OMe-4-SMe
XXVIII-152	4-chlorocinnamyl	H	H	H	H	2-OMe-4-SMe
XXVIII-153	4-fluorocinnamyl	Н	H	H	H	2-OMe-4-SMe
XXVIII-154	4-trifluoromethylcinnamyl	H	H	H	H	2-OMe-4-SMe
XXVIII-155	4-cyanocinnamyl	H	H	H	H	2-OMe-4-SMe
XXVIII-156	cinnamyl	H	Cl	H	H	2-OMe-4-SMe
XXVIII-157	4-chlorocinnamyl	H	Cl	H	H	2-OMe-4-SMe
XXVIII-158	4-fluorocinnamyl	Н	Cl	H	H	2-OMe-4-SMe
XXVIII-159	4-trifluoromethylcinnamyl	H	C1	H	H	2-OMe-4-SMe
XXVIII-160	4-cyanocinnamyl	H	Cl	H	H	2-OMe-4-SMe
XXVIII-161	cinnamyl	H	F	Н	H	2-OMe-4-SMe
XXVIII-162	4-chlorocinnamyl	H	F	H	H	2-OMe-4-SMe
XXVIII-163	4-fluorocinnamyl	H	F	H	H	2-OMe-4-SMe
XXVIII-164	4-trifluoromethylcinnamyl	H	F	H	H	2-OMe-4-SMe
ХХVШ-165	4-cyanocinnamyl	H	F	H	H	2-OMe-4-SMe
XXVIII-166	cinnamyl	H	H	F	H	2-OMe-4-SMe
XXVIII-167	4-chlorocinnamyl	H	H	F	H	2-OMe-4-SMe
XXVIII-168	4-fluorocinnamyl	H	H	F	H	2-OMe-4-SMe
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XXVIII-169	4-trifluoromethylcinnamyl	H	H	F_	H	2-OMe-4-SMe
XXVIII-170	4-cyanocinnamyl	H	H	F	H	2-OMe-4-SMe
XXVIII-171	cinnamyl	H	F	H	F	2-OMe-4-SMe
XXVIII-172	4-chlorocinnamyl	H_	F	H	F	2-OMe-4-SMe
XXVIII-173	4-fluorocinnamyl	H	F	H	F	2-OMe-4-SMe
XXVIII-174	4-trifluoromethylcinnamyl	H	F	H	F	2-OMe-4-SMe
XXVIII-175	4-cyanocinnamyl	H	F	H	F	2-OMe-4-SMe
XXVIII-176	cinnamyl	H_	OMe	H	H	2-OMe-4-SMe
XXVIII-177	4-chlorocinnamyl	H	OMe	H	H	2-OMe-4-SMe
XXVIII-178	4-fluorocinnamyl	H	OMe	H	H	2-OMe-4-SMe
XXVIII-179	4-trifluoromethylcinnamyl	H	OMe	H	H	2-OMe-4-SMe
XXVIII-180	4-cyanocinnamyl	H	OMe	H	H	2-OMe-4-SMe
XXVIII-181	cinnamyl	H	H	H	H	2-Cl-4-SO ₂ Me
XXVIII-182	4-chlorocinnamyl	H	H	H	H	2-Cl-4-SO ₂ Me
XXVIII-183	4-fluorocinnamyl	H	H	H	H	2-Cl-4-SO ₂ Me
XXVIII-184	4-trifluoromethylcinnamyl	H	H	H	H	2-Cl-4-SO ₂ Me
XXVIII-185	4-cyanocinnamyl	H	H	H_	H	2-Cl-4-SO ₂ Me
XXVIII-186	cinnamyl	H	Cl	H	Н	2-Cl-4-SO ₂ Me
XXVIII-187	4-chlorocinnamyl	H	Cl	H	H	2-Cl-4-SO ₂ Me
XXVIII-188	4-fluorocinnamyl	H	Cl	H	H	2-Cl-4-SO ₂ Me
XXVIII-189	4-trifluoromethylcinnamyl	H	Cl	H	H	2-Cl-4-SO ₂ Me
XXVIII-190	4-cyanocinnamyl	H	Cl	H	H	2-Cl-4-SO ₂ Me
XXVIII-191	cinnamyl	H	F	H	H	2-Cl-4-SO ₂ Me
XXVIII-192	4-chlorocinnamyl	H	F	H	Н	2-Cl-4-SO ₂ Me
XXVIII-193	4-fluorocinnamyl	H	F	H	H	2-Cl-4-SO ₂ Me
XXVIII-194	4-trifluoromethylcinnamyl	H	F	H	H	2-Cl-4-SO ₂ Me
XXVIII-195	4-cyanocinnamyl	H	F	H	H	2-Cl-4-SO ₂ Me
XXVIII-196	cinnamyl	H	H	F	H	2-Cl-4-SO ₂ Me
XXVIII-197	4-chlorocinnamyl	H	H	F	H	2-Cl-4-SO ₂ Me
XXVIII-198	4-fluorocinnamyl	H	H	F	H	2-Cl-4-SO ₂ Me
XXVIII-199	4-trifluoromethylcinnamyl	H	H	F	H	2-Cl-4-SO ₂ Me
XXVIII-200	4-cyanocinnamyl	H	H	F	H	2-Cl-4-SO ₂ Me
XXVIII-201	cinnamyl	H	F	H	F	2-Cl-4-SO ₂ Me
XXVIII-202	4-chlorocinnamyl	H	F	H	F	2-Cl-4-SO ₂ Me
XXVIII-203		H	F	H	F	2-Cl-4-SO ₂ Me
XXVIII-204		H	F	H	F	2-Cl-4-SO ₂ Me
XXVIII-205		H	F	H	F	2-Cl-4-SO ₂ Me
XXVIII-206		H	OMe	H	H	2-Cl-4-SO ₂ Me
XXVIII-207		H	OMe		H	2-Cl-4-SO ₂ Me
XXVIII-208		H	OMe		H	2-Cl-4-SO ₂ Me
XXVIII-208			OMe		H	2-Cl-4-SO ₂ Me
		H	OMe		H	2-Cl-4-SO ₂ Me
XXVIII-210		H	H	H	H	4-n-PrO
XXVIII-211		H	H	H	H	4-n-PrO
XXVIII-212		H	H	H	H	4-n-PrO
XXVIII-213	4-fluorocinnamyl	117				

XXVIII-214	4-trifluoromethylcinnamyl	H	H	H	H	4-n-PrO
XXVIII-215	4-cyanocinnamyl	H	H	H	H	4-n-PrO
ХХVШ-216	cinnamyl	H	Cl	H	H	4-n-PrO
XXVIII-217	4-chlorocinnamyl	H	Cl	H	H	4-n-PrO
XXVIII-218	4-fluorocinnamyl	H	Cl	H	H	4-n-PrO
XXVIII-219	4-trifluoromethylcinnamyl	H	Cl	H	H	4-n-PrO
XXVIII-220	4-cyanocinnamyl	H	Cl	H	H	4-n-PrO
XXVIII-221	cinnamyl	H	F	H	H	4-n-PrO
XXVIII-222	4-chlorocinnamyl	H	F	H	H	4-n-PrO
XXVIII-223	4-fluorocinnamyl	H	F	H	H	4-n-PrO
XXVIII-224	4-trifluoromethylcinnamyl	H	F	H	H	4-n-PrO
XXVIII-225	4-cyanocinnamyl	H	F	H	H	4-n-PrO
XXVIII-226	cinnamyl	H	H	F	H	4-n-PrO
XXVIII-227	4-chlorocinnamyl	H	H	F	H	4-n-PrO
XXVIII-228	4-fluorocinnamyl	H	H	F	H	4-n-PrO
XXVIII-229	4-trifluoromethylcinnamyl	H	H	F	H	4-n-PrO
XXVIII-230	4-cyanocinnamyl	H	H	F	H	4-n-PrO
XXVIII-231	cinnamyl	H	F	H	F	4-n-PrO
XXVIII-232	4-chlorocinnamyl	H	F	H	F	4-n-PrO
XXVIII-233	4-fluorocinnamyl	H	F	H	F	4-n-PrO
XXVIII-234	4-trifluoromethylcinnamyl	H	F	H	F	4-n-PrO
XXVIII-235	4-cyanocinnamyl	H	F	H	F	4-n-PrO
XXVIII-236	cinnamyl	H	OMe	H	H	4-n-PrO
XXVIII-237	4-chlorocinnamyl	H	ОМе	H	H	4-n-PrO
XXVIII-238	4-fluorocinnamyl	H	OMe	H	Н	4-n-PrO
XXVIII-239	4-trifluoromethylcinnamyl	H	OMe	H	H	4-n-PrO
XXVIII-240	4-cyanocinnamyl	H	ОМе	H	H	4-n-PrO
XXVIII-241	cinnamyl	H	H	H	H	2-Me
XXVIII-242	4-chlorocinnamyl	H	H	H	H	2-Me
XXVIII-243	4-fluorocinnamyl	H	H	Н	H	2-Me
XXVIII-244	4-trifluoromethylcinnamyl	H	H	H	H	2-Me
XXVIII-245	4-cyanocinnamyl	Η	H	H	H	2-Me
XXVIII-246	cinnamyl	H	Cl	H	H	2-Me
XXVIII-247	4-chlorocinnamyl	H	Cl	H	H	2-Me
XXVIII-248	4-fluorocinnamyl	H	Cl	H	H	2-Me
XXVIII-249	4-trifluoromethylcinnamyl	H	Cl	H	H	2-Me
XXVIII-250	4-cyanocinnamyl	H	Cl	H	H	2-Me
XXVIII-251	cinnamyl	H	F	H	H	2-Me
XXVIII-252	4-chlorocinnamyl	H	F	H	H	2-Me
XXVIII-253	4-fluorocinnamyl	H	F	H	H	2-Me
XXVIII-254	4-trifluoromethylcinnamyl	H	F	H	H	2-Me
XXVIII-255	4-cyanocinnamyl	H	F	H	H	2-Me
XXVIII-256	cinnamyl	H	H	F	H	2-Me
XXVIII-257	4-chlorocinnamyl	H	H	F	H	2-Me
XXVIII-258	4-fluorocinnamyl	H	H	F	H	2-Me

				=	1	236
ХХVШ-259	4-trifluoromethylcinnamyl	H	H	F	H	2-Me
XXVIII-260	4-cyanocinnamyl	H	H	F	H	2-Me
XXVIII-261	cinnamyl	H	F	H	F	2-Me
XXVIII-262	4-chlorocinnamyl	H	F	H	F	2-Me
XXVIII-263	4-fluorocinnamyl	Н	F	Н	F	2-Me
	4-trifluoromethylcinnamyl	H	F	Н	F	2-Me
XXVIII-264		H	F	Н	F	2-Me
XXVIII-265	4-cyanocinnamyl	H	OMe	H	H	2-Me
XXVIII-266	cinnamyl	+==-				
XXVIII-267	4-chlorocinnamyl	H	OMe	H	H	2-Me
XXVIII-268	4-fluorocinnamyl	H	OMe	H	H	2-Me
XXVIII-269	4-trifluoromethylcinnamyl	H	OMe	H	H	2-Me
XXVIII-270	4-cyanocinnamyl	H	OMe	H	H	2-Me
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Table XXIX provides 214 compounds of formula Icc

wherein the values of R⁸, R^{4a}, R^{4b}, Y and R¹ are given in Table 3

Table 3

		D4-	R4b	Y	R1
	R8	R4a			2-chloropyrid-4-yl
XXIX-1	2-(benzoxazolyl)methyl	H	<u>Cl</u>	C(O)	
XXIX-2	2-(benzoxazolyl)methyl	H	F	C(O)	2-chloropyrid-4-yl
XXIX-3	2-(benzoxazolyl)methyl	H	Cl	bond	carbomethoxy
XXIX-4	2-(benzoxazolyl)methyl	H	F	bond	carbomethoxy
XXIX-5	2-(benzoxazolyl)methyl	H	Cl	bond	acetyl
XXIX-6	2-(benzoxazolyl)methyl	H	F	bond	acetyl
XXIX-7	2-methyl-3-(3',4'- methylenedioxyphenyl)prop- 2-enyl	н	Cl	C(O)	2-chloropyrid-4-yl
XXIX-8	2-methyl-3-(3',4'- methylenedioxyphenyl)prop- 2-enyl	н	F	C(O)	2-chloropyrid-4-yl
XXIX-9	2-methyl-3-(3',4'- methylenedioxyphenyl)prop- 2-enyl	н	CI	bond	carbomethoxy
XXIX-10	2-methyl-3-(3',4'-	H	F	bond	carbomethoxy

	mothylogodiany h 1)	- -			
	methylenedioxyphenyl)prop-	1	ļ		1
	2-enyl	 -			
XXIX-11	2-methyl-3-(3',4'-				_
AAIA-II	methylenedioxyphenyl)prop-	H	Cl	bond	acetyl
	2-enyl	-	-		
XXIX-12	2-methyl-3-(3',4'-		_		
AAIA-12	methylenedioxyphenyl)prop-	H	F	bond	acetyl
XXIX-13	2-enyl		 		
XXIX-13	3-phenylprop-2-ynyl	H	Cl	C(0)	2-chloropyrid-4-yl
XXIX-14	3-phenylprop-2-ynyl	H	F	<u>C(0)</u>	2-chloropyrid-4-yl
XXIX-13	3-phenylprop-2-ynyl	H	Cl	bond	carbomethoxy
XXIX-10	3-phenylprop-2-ynyl	H	F	bond	carbomethoxy
	3-phenylprop-2-ynyl	H	Cl	bond	acetyl
XXIX-18 XXIX-19	3-phenylprop-2-ynyl	H	F	bond	acetyl
	trifluoroacetamido	H	Cl	C(O)	2-chloropyrid-4-yl
XXIX-20	trifluoroacetamido	H	F	C(O)	2-chloropyrid-4-yl
XXIX-21	trifluoroacetamido	H	Cl	bond	carbomethoxy
XXIX-22	trifluoroacetamido	H	F	bond	carbomethoxy
XXIX-23	trifluoroacetamido	H	Cl	bond	acetyl
XXIX-24	trifluoroacetamido	H	F	bond	acetyl
XXIX-25	4-chlorocinnamate	H	Cl	C(O)	2-chloropyrid-4-yl
XXIX-26	4-chlorocinnamate	H	F	C(O)	2-chloropyrid-4-yl
XXIX-27	4-chlorocinnamate	H	Cl	bond	carbomethoxy
XXIX-28	4-chlorocinnamate	H	F	bond	carbomethoxy
XXIX-29	4-chlorocinnamate	H	Cl	bond	acetyl
XXIX-30	4-chlorocinnamate	H	F	bond	acetyl
XXIX-31	2-oxo-2-(2'-chloro-4'-	н	Cl	C(0)	2-chloropyrid-4-yl
	methylphenyl)ethyl		L	100)	z-cinoropyrid-4-yr
XXIX-32	2-oxo-2-(2'-chloro-4'-	Н	F	C(O)	2-chloropyrid-4-yl
	methylphenyl)ethyl	-		(0)	z-emoropyrid-4-yr
XXIX-33	2-oxo-2-(2'-chloro-4'-	Н	Cl	bond	carbomethoxy
	methylphenyl)ethyl		C.	DOM	carbomentoxy
XXIX-34	2-oxo-2-(2'-chloro-4'-	H	F	bond	carbomethoxy
	methylphenyl)ethyl			Jone	——————————————————————————————————————
XXIX-35	2-oxo-2-(2'-chloro-4'-	Н	Cl	bond	acetyl
	methylphenyl)ethyl			100110	acciyi
XXIX-36	2-oxo-2-(2'-chloro-4'-	H	F	bond	acetyl
3/3/03/ 07	methylphenyl)ethyl				
XXIX-37	2-oxo-1,2-diphenylethyl	H	Cl	C(O)	2-chloropyrid-4-yl
XXIX-38	2-oxo-1,2-diphenylethyl	H	F	C(O)	2-chloropyrid-4-yl
XXIX-39	2-oxo-1,2-diphenylethyl	H	Cl	bond	carbomethoxy
XXIX-40	2-oxo-1,2-diphenylethyl	H	F	bond	carbomethoxy
XXIX-41	2-oxo-1,2-diphenylethyl	H	Cl	bond	acetyl
XXIX-42	2-oxo-1,2-diphenylethyl	H	F	bond	acetyl
XXIX-43	3,3-dichloroallyl	H	Cl	C(O)	2-chloropyrid-4-yl
XXIX-44	3,3-dichloroallyl	H	F	C(O)	2-chloropyrid-4-yl
XXIX-45	3,3-dichloroallyl	H	Cl	bond	carbomethoxy

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XXIX-46	3,3-dichloroallyl	H	F	bond	carbomethoxy
XXIX-47	3,3-dichloroallyl	H	<u>Cl</u>	bond	acetyl
XXIX-48	3,3-dichloroallyl	H	F	bond	acetyl
XXIX-49	t-butyloxycarbonyl	H	F	bond	<u>H</u>
XXIX-50	t-butyloxycarbonyl	H	Cl	bond	H
XXIX-51	t-butyloxycarbonyl	H	Cl	C(O)	2-chloropyrid-4-yl
XXIX-52	t-butyloxycarbonyl	H	F	C(O)	2-chloropyrid-4-yl
XXIX-53	t-butyloxycarbonyl	H	Cl	bond	carbomethoxy
XXIX-54	t-butyloxycarbonyl	H	F	bond	carbomethoxy
XXIX-55	t-butyloxycarbonyl	H	Cl	bond	acetyl
XXIX-56	t-butyloxycarbonyl	H	F	bond	acetyl
XXIX-57	4-chlorocinnamyl	н	Cl	bond	5- trifluoromethylpyrid- 2-yl 5-
XXIX-58	4-chlorocinnamyl	н	F	bond	5- trifluoromethylpyrid- 2-yl 5-
XXIX-59	4-chlorocinnamyl	Br	н	bond	5- trifluoromethylpyrid- 2-yl
XXIX-60	4-fluorocinnamyl	Н	Cl	bond	5- trifluoromethylpyrid- 2-yl 5-
XXIX-61	4-fluorocinnamyl	Н	F	bond	5- trifluoromethylpyrid- 2-yl
XXIX-62	4-fluorocinnamyl	Br	Н	bond	5- trifluoromethylpyrid- 2-yl
XXIX-63	4-chlorocinnamyl	H	Cl	bond	pyrimidin-2-yl
XXIX-64	4-chlorocinnamyl	H	F	bond	pyrimidin-2-yl
XXIX-65	4-chlorocinnamyl	Br	Н	bond	pyrimidin-2-yl
XXIX-66	4-fluorocinnamyl	H	Cl	bond	pyrimidin-2-yl
XXIX-67	4-fluorocinnamyl	H	F	bond	pyrimidin-2-yl
XXIX-68	4-fluorocinnamyl	Br	H	bond	pyrimidin-2-yl
XXIX-69	4-chlorocinnamyl	H	Cl	C(O)	pyrazinyl
XXIX-70	4-chlorocinnamyl	H	F	C(O)	pyrazinyl
XXIX-71	4-chlorocinnamyl	Br	H	C(O)	pyrazinyl
XXIX-72	4-fluorocinnamyl	H	Cl	C(O)	pyrazinyl
XXIX-73	4-fluorocinnamyl	H	F	C(O)	pyrazinyl
XXIX-74	4-fluorocinnamyl	Br	H	C(O)	pyrazinyl
XXIX-75	4-chlorocinnamyl	Н	Cl	C(O)	2-chloropyrid-5-yl
XXIX-76	4-chlorocinnamyl	H	F	C(O)	2-chloropyrid-5-yl
XXIX-77	4-chlorocinnamyl	Br	Н	C(O)	2-chloropyrid-5-yl
XXIX-78	4-fluorocinnamyl	H	Cl	C(O)	2-chloropyrid-5-yl
XXIX-79	4-fluorocinnamyl	H	F	C(O)	2-chloropyrid-5-yl
XXIX-80	4-fluorocinnamyl	Br	H	(C(O)	2-chloropyrid-5-yl

C			,		
XXIX-81	4-chlorocinnamyl	H	Cl	C(O)	1,2,3-thiadiazol-4-yl
XXIX-82	4-chlorocinnamyl	H	F	C(0)	1,2,3-thiadiazol-4-yl
XXIX-83	4-chlorocinnamyl	Br	H	C(0)	1,2,3-thiadiazol-4-yl
XXIX-84	4-fluorocinnamyl	H	Cl	C(0)	1,2,3-thiadiazol-4-yl
XXIX-85	4-fluorocinnamyl	H	F	C(O)	1,2,3-thiadiazol-4-yl
XXIX-86	4-fluorocinnamyl	Br	H	C(O)	1,2,3-thiadiazol-4-yl
XXIX-87	4-chlorocinnamyl	н	Cl	C(O)	1-methyl-5-nitro-
1212107	4 cinorecimianty	11	Cı	C(O)	[1H]-pyrazol-4-yl
XXIX-88	4-chlorocinnamyl	н	F	C(O)	1-methyl-5-nitro-
122100	· Gineroonmaniyi	1.1	1	(0)	[1H]-pyrazol-4-yl
XXIX-89	4-chlorocinnamyl	Br	н	C(O)	1-methyl-5-nitro-
	, discretification		11	(0)	[1H]-pyrazol-4-yl
XXIX-90	4-fluorocinnamyl	H	Cl	C(O)	1-methyl-5-nitro-
	- Indologianianiyi		Ci	(0)	[1H]-pyrazol-4-yl
XXIX-91	4-fluorocinnamyl	н	F	C(O)	1-methyl-5-nitro-
		<u> </u>		(0)	[1H]-pyrazol-4-yl
XXIX-92	4-fluorocinnamyl	Br	н	C(0)	1-methyl-5-nitro-
		121		(0)	[1H]-pyrazol-4-yl
XXIX-93	4-chlorocinnamyl	н	· C 1	C(O)	5-carbomethoxypyrid-
	,			0(0)	2-yl
XXIX-94	4-chlorocinnamyl	H	F	C(O)	5-carbomethoxypyrid-
 	<u> </u>	 		0(0)	2-yl
XXIX-95	4-chlorocinnamyl	Br	H	C(O)	5-carbomethoxypyrid-
 				10(0)	2-yl
XXIX-96	4-fluorocinnamyl	H	Cl	C(O)	5-carbomethoxypyrid-
1		-		-(-/	2-yl
XXIX-97	4-fluorocinnamyl	H	F	C(O)	5-carbomethoxypyrid-
				 ` ´ 	2-yl
XXIX-98	4-fluorocinnamyl	Br	H	C(0)	5-carbomethoxypyrid-
XXIX-99	4-chlorocinnamyl	H	<u></u>		2-yl
XXIX-100	4-chlorocinnamyl	H	<u>Cl</u>	C(0)	4-chloropyrid-2-yl
XXIX-101	4-chlorocinnamyl		F	C(O)	4-chloropyrid-2-yl
XXIX-101		Br	H	(C(O)	4-chloropyrid-2-yl
XXIX-102	4-fluorocinnamyl 4-fluorocinnamyl	H	<u>Cl</u>	C(O)	4-chloropyrid-2-yl
XXIX-103	4-fluorocinnamyl	H	F	C(O)	4-chloropyrid-2-yl
XXIX-104	4-Huorochmamyi	Br	H	C(O)	4-chloropyrid-2-yl
XXIX-105	4 oblogosium survi	++	C1	0(0)	2-methyl-6-
222222-103	4-chlorocinnamyl	H	Cl	C(0)	trifluoromethylpyrid-
				-	3-yl
XXIX-106	4 oblogosion annul	-	~		2-methyl-6-
22222-100	4-chlorocinnamyl	H	F	C(0)	trifluoromethylpyrid-
		╂		+-+	3-yl
XXIX-107	4 chlomosina	p_	**		2-methyl-6-
277277-10/	4-chlorocinnamyl	Br	H	C(O)	trifluoromethylpyrid-
		 			3-yl
XXIX-108	4-fluorocinnamyl	H	Cl	C(0)	2-methyl-6-
			<u> </u>		trifluoromethylpyrid-

		 		1	3-yl
		 		 	2-methyl-6-
			177	CO	trifluoromethylpyrid-
XXIX-109	4-fluorocinnamyl	H	F	C(O)	
		╂╌╌┤		 	3-yl 2-methyl-6-
			TT	CO	z-memyi-o- trifluoromethylpyrid-
XXIX-110	4-fluorocinnamyl	Br	H	C(O)	3-yl
		 	<u> </u>	1000	
XXIX-111	4-chlorocinnamyl	H	<u>Cl</u>	C(O)	5-methylisoxazol-3-yl
XXIX-112	4-chlorocinnamyl	H	F	C(O)	5-methylisoxazol-3-yl
XXIX-113	4-chlorocinnamyl	Br	H	C(O)	5-methylisoxazol-3-yl
XXIX-114	4-fluorocinnamyl	H	<u>Cl</u>	C(O)	5-methylisoxazol-3-yl
XXIX-115	4-fluorocinnamyl	H	F	C(O)	5-methylisoxazol-3-yl
XXIX-116	4-fluorocinnamyl	Br	H	C(O)	5-methylisoxazol-3-yl
XXIX-117	4-chlorocinnamyl	H	Cl	C(O)	(pyrid-4-yl)methyl
XXIX-118	4-chlorocinnamyl	H	F	C(O)	(pyrid-4-yl)methyl
XXIX-119	4-chlorocinnamyl	Br	H	C(O)	(pyrid-4-yl)methyl
XXIX-120	4-fluorocinnamyl	H	<u>C1</u>	C(O)	(pyrid-4-yl)methyl
XXIX-121	4-fluorocinnamyl	H	F	C(O)	(pyrid-4-yl)methyl
XXIX-122	4-fluorocinnamyl	Br	H	C(O)	(pyrid-4-yl)methyl
XXIX-123	4-chlorocinnamyl	H	Cl	C(O)	(thiophen-2-yl)methyl
XXIX-124	4-chlorocinnamyl	H	F	C(O)	(thiophen-2-yl)methyl
XXIX-125	4-chlorocinnamyl	Br	H	C(O)	(thiophen-2-yl)methyl
XXIX-126	4-fluorocinnamyl	H	Cl	C(O)	(thiophen-2-yl)methyl
XXIX-127	4-fluorocinnamyl	H	F.	C(O)	(thiophen-2-yl)methyl
XXIX-128	4-fluorocinnamyl	Br	H	C(O)	(thiophen-2-yl)methyl
XXIX-129	4-chlorocinnamyl	H	Cl	C(O)	cyclopentyl
XXIX-130	4-chlorocinnamyl	H	F	C(O)	cyclopentyl
XXIX-131	4-chlorocinnamyl	Br	H	C(O)	cyclopentyl
XXIX-132	4-fluorocinnamyl	H	Cl	C(O)	cyclopentyl
XXIX-133	4-fluorocinnamyl	H	F	C(O)	cyclopentyl
XXIX-134	4-fluorocinnamyl	Br	H	(O)	cyclopentyl
XXIX-135	4-chlorocinnamyl	H	Cl	C(O)	acetylaminomethyl
XXIX-136	4-chlorocinnamyl	H	F	C(O)	acetylaminomethyl
XXIX-137	4-chlorocinnamyl	Br	H	C(0)	acetylaminomethyl
XXIX-138	4-fluorocinnamyl	H	Cl	C(O)	acetylaminomethyl
XXIX-139	4-fluorocinnamyl	H	F	C(O)	acetylaminomethyl
XXIX-140	4-fluorocinnamyl	Br	H	C(O)	acetylaminomethyl
XXIX-141	4-chlorocinnamyl	H	Cl	SO ₂	4-acetylaminophenyl
XXIX-142	4-chlorocinnamyl	H	F	SO ₂	4-acetylaminophenyl
XXIX-143	4-chlorocinnamyl	Br	H	SO ₂	4-acetylaminophenyl
XXIX-144	4-fluorocinnamyl	H	C1	SO ₂	4-acetylaminophenyl
XXIX-145	4-fluorocinnamyl	H	F	SO ₂	4-acetylaminophenyl
XXIX-146	4-fluorocinnamyl	Br	H	SO ₂	4-acetylaminophenyl
					3,5-dimethylisoxazol-
XXIX-147	4-chlorocinnamyl	H	CI	SO ₂	4-yl
XXIX-148	4-chlorocinnamyl	H	F	SO ₂	3,5-dimethylisoxazol-

					
					4-yl
XXIX-149	4-chlorocinnamyl	Br	н	SO ₂	3,5-dimethylisoxazol- 4-yl
XXIX-150	4-fluorocinnamyl	н	Cl	SO ₂	3.5. dimethyliagraped
XXIX-151	4-fluorocinnamyl	н	F	SO ₂	25 dim -41 3: 1
XXIX-152	4-fluorocinnamyl	Br	Н	SO ₂	3,5-dimethylisoxazol- 4-yl
XXIX-153	4-chlorocinnamyl	Н	Cl	C(O)	(2
XXIX-154	4-chlorocinnamyl	Н	F	C(O)	(2)
XXIX-155	4-chlorocinnamyl	Br	н	C(O)	(2
XXIX-156	4-fluorocinnamyl	н	Cl	C(O)	(2- methoxyphenyl)amino
XXIX-157	4-fluorocinnamyl	н	F	C(O)	(2- methoxyphenyl)amino
XXIX-158	4-fluorocinnamyl	Br	Н	C(O)	(2- methoxyphenyl)amino
XXIX-159	4-chlorocinnamyl	H	F	C(0)	cyclohexen-1-yl
XXIX-160	4-chlorocinnamyl	·H	Cì	C(O)	cyclohexen-1-yl
XXIX-161	4-chlorocinnamyl	Br	H	C(O)	cyclohexen-1-yl
XXIX-162	4-fluorocinnamyl	H	Cl	C(0)	cyclohexen-1-yl
XXIX-163	4-fluorocinnamyl	H	F	C(O)	cyclohexen-1-yl
XXIX-164	4-fluorocinnamyl	Br	H	C(0)	cyclohexen-1-yl
XXIX-165	4-chlorocinnamyl	H	F	· C(O)	quinolin-3-yl
XXIX-166	4-chlorocinnamyl	H	Cl	C(0)	quinolin-3-yl
XXIX-167	4-chlorocinnamyl	Br	H	C(O)	quinolin-3-yl
XXIX-168	4-fluorocinnamyl	H	C1	C(0)	quinolin-3-yl
XXIX-169	4-fluorocinnamyl	H	F	C(O)	quinolin-3-yl
XXIX-170	4-fluorocinnamyl	Br	H	C(0)	quinolin-3-yl
XXIX-171	4-chlorocinnamyl	H	F	C(O)	benzothiophen-2-yl
XXIX-172	4-chlorocinnamyl	H	Cl	C(0)	benzothiophen-2-yl
XXIX-173	4-chlorocinnamyl	Br	H	C(0)	benzothiophen-2-yl
XXIX-174	4-fluorocinnamyl	H	C1	C(0)	benzothiophen-2-yl
XXIX-175	4-fluorocinnamyl	H	F	C(0)	benzothiophen-2-yl
XXIX-176	4-fluorocinnamyl	Вг	H	C(0)	benzothiophen-2-yl
XXIX-177	4-chlorocinnamyl	н	F	C(O)	5-nitro-[1H]-pyrazol- 3-yl
XXIX-178	4-chlorocinnamyl	н	Cl	C(O)	5-nitro-[1H]-pyrazol- 3-yl
XXIX-179	4-chlorocinnamyl	Br	Н	C(O)	5-nitro-[1H]-pyrazol- 3-yl
XXIX-180	4-fluorocinnamyl	н	Cl	C(O)	5-nitro-[1H]-pyrazol- 3-yl

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4-fluorocinnamyl	н	F	C(O)	5-nitro-[1H]-pyrazol- 3-yl
4-fluorocinnamyl	Br	н	C(O)	5-nitro-[1H]-pyrazol- 3-yl
4-chlorocinnamyl	н	F	C(O)	([1H]-tetrazol-1- yl)methyl
4-chlorocinnamyl	н	Cl	C(O)	([1H]-tetrazol-1- yl)methyl
4-chlorocinnamyl	Br	Н	C(O)	([1H]-tetrazol-1- yl)methyl
4-fluorocinnamyl	Н	Cl	C(O)	([1H]-tetrazol-1- yl)methyl
4-fluorocinnamyl	н	F	C(O)	([1H]-tetrazol-1- yl)methyl
4-fluorocinnamyl	Br	Н	C(O)	([1H]-tetrazol-1- yl)methyl
4-chlorocinnamyl	H	Cl	bond	benzyl
4-chlorocinnamyl	H	F	bond	benzyl
4-chlorocinnamyl	Br	H	bond	benzyl
	H	CI	bond	benzyl
	H	F	bond	benzyl
	Br	H	bond	benzyl
	H	F	C(O)	(4-cyanophenyl)amino
	H	Cl		(4-cyanophenyl)amino
	Br	H		(4-cyanophenyl)amino
	Н	Cl	C(O)	(4-cyanophenyl)amino
	H	F		(4-cyanophenyl)amino
	Br	H		(4-cyanophenyl)amino
4-chlorocinnamyl	Н	Me₃SiC C	C(O)	2-chloropyrid-4-yl
4-fluorocinnamyl	Н	Me₃SiC C	C(O)	2-chloropyrid-4-yl
4-chlorocinnamyl	н	Me₃SiC C	bond	carbomethoxy
4-fluorocinnamyl	н	Me₃SiC C	bond	carbomethoxy
4-chlorocinnamyl	н	Me₃SiC C	bond	acetyl
4-fluorocinnamyl	Н	Me₃SiC C	bond	acetyl
4-chlorocinnamyl	H	OMe	SO ₂	n-butyl
4-chlorocinnamyl	H	F	SO ₂	n-butyl
4-chlorocinnamyl	H	Cl	SO ₂	n-butyl
4-chlorocinnamyl	Br	H	SO ₂	n-butyl
4-fluorocinnamyl	H	OMe	SO ₂	n-butyl
4-fluorocinnamyl	H	Cl	SO ₂	n-butyl
4-fluorocinnamyl	H	F	SO ₂	n-butyl
	4-fluorocinnamyl 4-chlorocinnamyl 4-chlorocinnamyl 4-fluorocinnamyl 4-fluorocinnamyl 4-fluorocinnamyl 4-chlorocinnamyl 4-chlorocinnamyl 4-fluorocinnamyl 4-chlorocinnamyl 4-chlorocinnamyl 4-chlorocinnamyl 4-fluorocinnamyl 4-fluorocinnamyl 4-fluorocinnamyl 4-chlorocinnamyl 4-chlorocinnamyl 4-chlorocinnamyl 4-chlorocinnamyl 4-chlorocinnamyl 4-fluorocinnamyl 4-fluorocinnamyl 4-fluorocinnamyl 4-fluorocinnamyl 4-fluorocinnamyl 4-fluorocinnamyl	4-fluorocinnamyl H 4-chlorocinnamyl H 4-chlorocinnamyl H 4-chlorocinnamyl H 4-fluorocinnamyl H 4-fluorocinnamyl H 4-fluorocinnamyl H 4-chlorocinnamyl H 4-chlorocinnamyl H 4-chlorocinnamyl H 4-fluorocinnamyl H 4-fluorocinnamyl H 4-fluorocinnamyl H 4-fluorocinnamyl H 4-fluorocinnamyl H 4-fluorocinnamyl H 4-chlorocinnamyl H 4-chlorocinnamyl H 4-chlorocinnamyl H 4-fluorocinnamyl H 4-fluorocinnamyl H 4-fluorocinnamyl H 4-fluorocinnamyl H 4-fluorocinnamyl H 4-fluorocinnamyl H 4-chlorocinnamyl H	4-fluorocinnamyl Br H 4-chlorocinnamyl H Cl 4-chlorocinnamyl Br H 4-fluorocinnamyl Br H 4-fluorocinnamyl H Cl 4-fluorocinnamyl H Cl 4-fluorocinnamyl H Cl 4-chlorocinnamyl H Cl 4-chlorocinnamyl H F 4-chlorocinnamyl H Cl 4-chlorocinnamyl H Cl 4-fluorocinnamyl H Cl 4-fluorocinnamyl H F 4-chlorocinnamyl H F 4-chlorocinnamyl H F 4-chlorocinnamyl H Cl 4-chlorocinnamyl H F 4-fluorocinnamyl H Me ₃ SiC C C C C C C C C C C C C C C C C C C C	4-fluorocinnamyl Br H C(O) 4-chlorocinnamyl H F C(O) 4-chlorocinnamyl Br H C(O) 4-fluorocinnamyl Br H C(O) 4-fluorocinnamyl H F C(O) 4-fluorocinnamyl Br H C(O) 4-fluorocinnamyl Br H C(O) 4-fluorocinnamyl Br H CI bond 4-chlorocinnamyl H F bond 4-chlorocinnamyl H CI bond 4-fluorocinnamyl H CI bond 4-fluorocinnamyl H F bond 4-fluorocinnamyl H F C(O) 4-fluorocinnamyl Br H bond 4-fluorocinnamyl Br H C(O) 4-fluorocinnamyl Br H C(O) 4-fluorocinnamyl Br H C(O) 4-fluorocinnamyl Br H C(O) 4-chlorocinnamyl H CI C(O) 4-fluorocinnamyl H CI C(O) 4-fluorocinnamyl H F C(O) 4-chlorocinnamyl H Me ₃ SiC C(O) 4-chlorocinnamyl H Me ₃ SiC bond C C bond 4-chlorocinnamyl H Me ₃ SiC bond 4-chlorocinnamyl H SO ₂ 4-chlorocinnamyl H SO ₂ 4-chlorocinnamyl H SO ₂ 4-fluorocinnamyl H CI SO ₂ 4-fluorocinnamyl H CI SO ₂

XXIX-214 4-fluorocinnamyl Br H SO ₂ n-butyl	
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Mass spectra data were obtained for selected compounds of Tables I to XXIX on Micromass Platform 2 machines. The data are shown in Table 3.

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Table 3				
Compound No	MS data			
I-1	444 (95%), 446 (100%)			
l-2	478 (100%), 480 (70%), 482 (15%)			
I-3	462 (100%), 464 (95%)			
1-4	489 (100%), 491 (70%)			
I-5	147 (100%), 474 (30%), 476 (80%)			
I-12	512 (95%), 514 (100%), 516 (35%), 518 (5%)			
l-21	478 (100%), 480 (70%), 482 (15%)			

i-22	512 (100%), 514 (98%), 516 (35%), 518 (5%)
I-23	496 (100%), 498 (75%), 500 (15%)
I-32	512 (90%), 514 (100%), 516 (35%), 518 (5%)
I-52	496 (100%), 498 (70%), 500 (15%)
I-61	462 (100%), 464 (30%)
I-62	496 (100%), 498 (80%), 500 (20%)
I-72	496 (100%), 498 (70%), 500 (15%)

496 (100%), 498 (75%), 500 (15%
556 (55%), 558 (100%), 560 (40%), 562 (8%)
556 (55%), 558 (100%), 560 (40%), 562 (8%)
546 (75%), 548 (100%), 550 (40%), 552 (10%)
514 (100%), 516 (70%), 518 (15%)
530 (97%), 532 (100%), 534 (40%), 536 (5%)

I-162	530 (100%), 532 (97%), 534 (40%), 536 (5%)
I-171	512 (98%), 514 (100%), 516 (35%), 518 (5%)
I-182	604 (100%), 606 (70%), 608 (15%)
I-192	508 (100%), 510 (80%), 512 (20%)
I-202	492 (100%), 494 (70%), 496 (15%)
I-212	503 (100%), 505 (70%), 507 (15%)
I-222	502 (100%), 504 (70%), 506 (15%)
I-232	536 (100%), 538 (70%), 540 (15%)

I-242	526 (100%), 528 (99%), 530 (35%), 532 (5%)
I-252	526 (100%), 528 (90%), 530 (35%), 532 (5%)
I-262	526 (95%), 528 (100%), 530 (35%), 532 (5%)
I-282	572 (100%), 574 (80%), 576 (20%)
I-292	562 (100%), 564 (70%), 566 (15%)
II-22	431 (100%), 433 (60%), 435 (15%)
II-62	415 (100%), 417 (35%)
V-21	381 (100%), 383 (35%)

V-22	415 (100%), 417 (70%), 419 (15%)
V-62	399 (100%), 401 (40%)
V-192	411 (100%), 413 (60%)
V-202	395 (100%), 397 (80%)
VI-1	410 (100%)
VI-22	478 (100%), 480 (70%), 482 (15%)
VI-62	462 (100%), 464 (30%)
VI-101	488 (100%), 490 (100%)
VI-202	458 (100%), 460 (30%)
IX-62	435 (100%), 437 (40%)
X-22	459 (100%), 461 (75%), 463 (15%)
X-62	443 (100%), 445 (40%)

XI-62		467 (100%), 469 (40%	,
XII-22		478 (100%), 480 (75%), 482 (35%), 484 (5%)	
XIII-22	4	471 (100%), 473 (70%), 175 (15%))
XIII-62	4	455 (100%), 57 (35%)	
XIV-22		451 (100%), 453 (70%), 55 (15%)	
XV-22		528 (100%), 530 (70%), 32 (10%)	
XVII-62	(53	533 100%), 5 (40%)	
XVIII-22	(555 100%), 557 80%), 9 (20%)	
XVIII-202		535 00%), 7 (40%)	

	XIX-22		502 (100%) 504 (70%), 506 (10%	
	XIX-202		482 (100%), 484 (40%	,
	XX-22		521 (100%), 523 (75%), 525 (15%	
	XX-62		505 (100%), 507 (40%))
	XXI-22		557 (100%), 559 (70%), 61 (15%)	
	XXI-62	5	541 (100%), 43 (40%)	
	XXII-22		526 (100%), 528 (97%), 530 (30%), 32 (5%)	
	XXV-62		357 100%), 59 (55%)	
	XXV-222	(† 36	363 100%), 5 (30%)	
_	XXVI-1		460 100%), 462 100%)	

	XXVI-2		494 (100%), 496 (100%), 498 (20%
	XXVI-22		528 (100%), 530 (97%), 532 (30%), 534 (5%)
	XXVIII-7	5	523 (100%), 525 (80%), 527 (20%)
	XXVIII-27	5	519 (100%), 21 (40%)
	XXVIII-42	5	565 (100%), 67 (40%)
	XXVIII-67		495 (100%), 497 (70%), 99 (10%)
	XXVIII-97	١,	502 100%), 504 (70%), 6 (10%)
XXVIII-132			503 100%), 5 (40%)
>	XVIII-162		537 (00%), 9 (40%)

XXVIII-187	589 (95%), 591 (100%), 593 (40%), 595 (5%)
XXVIII-217	535 (100%), 537 (70%), 539 (10%)
XXVIII-252	475 (100%), 477 (40%)
XXIX-1	492 (100%), 494 (70%), 496 (15%)
XXIX-7	536 (100%), 538 (70%), 540 (15%)
XXIX-13	476 (100%), 478 (80%), 480 (20%)
XXIX-19	458 (100%), 460 (85%), 462 (15%)
XXIX-31	528 (100%), 530 (97%), 532 (30%), 534 (5%)

XXIX-37	556 (100%), 558 (70%), 560 (15%)
XXIX-43	470 (100%), 472 (100%), 474 (100%), 476 (30%)
XXIX-49	251 (100%), 307 (70%)
XXIX-69	479 (100%), 481 (70%), 483 (15%)
XXIX-75	512 (95%), 514 (100%), 516 (40%), 518 (5%)
XXIX-81	485 (100%), 487 (75%), 489 (20%)
XXIX-87	526 (100%), 528 (70%), 530 (10%)
XXIX-93	536 (100%), 538 (70%), 540 (15%)

XXIX-99	512 (95%), 514 (100%), 516 (30%), 518 (5%)
XXIX-105	560 (100%), 562 (70%), 564 (15%)
XXIX-111	482 (100%), 484 (70%), 486 (15%)
XXIX-117	373 (100%), 375 (70%), 377 (15%) 492 (20%), 494 (15%)
XXIX-123	497 (100%), 499 (75%), 501 (15%)
XXIX-129	469 (100%), 471 (75%), 473 (15%)
XXIX-135	472 (100%), 474 (70%), 476 (15%)

XXIX-141	570 (100%), 572 (75%), 574 (15%)
XXIX-147	532 (100%), 534 (80%), 536 (20%)
XXIX-153	522 (100%), 524 (75%), 526 (15%)
XXIX-159	465 (100%), 467 (40%)
XXIX-165	512 (100%), 514 (40%)

XXIX-171	517 (100%), 519 (40%)
XXIX-177	427 (100%), 496 (80%), 498 (30%)
XXIX-183	467 (100%), 469 (35%)
XXIX-189	463 (100%), 465 (55%), 467 (15%)
XXIX-195	501 (100%), 503 (40%)

XXIX-196	517 (100%), 519 (70%), 521 (15%)
XXIX-201	574 (100%), 576 (80%), 578 (20%)
XXIX-207	489 (100%), 491 (40%)

The compounds of the invention may be made in a variety of ways. For example they may be made by the reactions summarised in Scheme I.

Thus a compound of formula 1 may be synthesised from compounds of formula 2a or 2b by reaction with an alkylating agent of the formula R8-L, where L is chloride, bromide,

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iodide or a sulfonate (e.g. mesylate or tosylate) or similar leaving group at a temperature of between ambient temperature and 100°C, typically 65°C, in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine and optionally catalysed by halide salts such as sodium iodide, potassium iodide or tetrabutylammonium iodide.

Alternatively, a compound of formula 2a or 2b may be reacted with an aldehyde of the formula RCHO at a temperature between ambient temperature and 100°C in an organic solvent such as tetrahydrofuran or ethanol or mixtures of solvents in the presence of a reducing agent such as borane-pyridine complex, sodium borohydride, sodium (triacetoxy)borohydride, sodium cyanoborohydride or such like, to produce a compound of formula 1 where R8 is CH₂-R.

Alternatively, a compound of formula 2a or 2b may be reacted with paraformaldehyde and a boronic acid of the formula R-B(OH)₂ at a temperature between ambient temperature and 100°C in an organic solvent such as ethanol, 1,4-dioxane or water to produce a compound of formula 1 where R8 is CH₂-R.

A compound of formula 2a may be obtained from a compound of formula 3 by reaction with an acid such as trifluoroacetic acid at ambient temperature in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane followed by neutralisation of the reaction mixture with an aqueous solution of an inorganic base such as sodium carbonate, sodium bicarbonate or similar compound.

Similarly a compound of formula 2b may be formed by reaction of a compound of formula 3 with an acid such as trifluoroacetic acid at ambient temperature in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane followed by evaporation of the solvents and trituration with organic solvents such as ether or hexane.

Compounds of formula 3 may be obtained from compounds of formula 4 by reaction with a suitable electrophilic species. Compounds of formula 3 where Y is a carbonyl group may be formed by the reaction of compounds of formula 4 with a carboxylic acid derivative of formula R1-C(O)-Z where Z is chloride, hydroxy, alkoxy or acyloxy at a temperature between 0°C and 150°C optionally in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane, optionally in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine and optionally in the presence of a coupling agent such as dicyclohexylcarbodiimide. Compounds of formula 3 where Y is a carbonyl group and

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R1 is an amino substituent of formula R'-NH- may be formed by the reaction of compounds of formula 4 with an isocyanate of formula R'-N=C=O under similar conditions. Compounds of formula 3 where Y is a group of formula S(O)_q may be formed from compounds of formula 4 by treatment with compounds of formula of R1-S(O)_q-Cl under similar conditions. Compounds of formula 3 where Y is a thiocarbonyl group and R1 is an amino substituent of formula R'-NH- may be formed by the reaction of compounds of formula 3 with an isothiocyanate of formula R'-N=C=S under similar conditions. Alternatively compounds of formula 3 where Y is a thiocarbonyl group and R1 is a carbon substituent may be formed by treatment of compounds of formula 3 where Y is a carbonyl group and R1 is a carbon substituent with a suitable thionating agent such as Lawesson's reagent.

In the above procedures, acid derivatives of the formula R1-C(O)-Z, isocyanates of formula R'-N=C=O, isothiocyanates of formula R'-N=C=S and sulfur electrophiles of formula R1-S(O)_q-Cl are either known compounds or may be formed from known compounds by known methods by a person skilled in the art.

Compounds of formula 4 may be obtained by reacting compounds of formula 5 with compounds of formula 6 at a temperature of between 0°C and 100°C in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of an acid such as hydrochloric acid or trifluoroacetic acid and a co-solvent such as water, methanol or ethanol, or in the presence of a Lewis acidic metal salt such as a zinc(II) dihalide. The intermediates formed are subsequently treated with a nucleophile R3-M (where M is a metallic species. R3-M is for example a Grignard reagent) or, when R3 is hydrogen, a reducing agent such as sodium borohydride, sodium (triacetoxy)borohydride, sodium cyanoborohydride or similar at ambient temperature in organic solvent such as ethanol or chloroform. The basic procedure is described in Tetrahedron (1997), 53, 10983-10992.

Compounds of formula 6 may be obtained from compounds of formula 7 by reaction with a 1-alkoxy substituted phosphonium salt such as methoxymethyl(triphenyl)phosphonium chloride and a base such as potassium *tert*-butoxide at a temperature of 0°C to room temperature in tetrahydrofuran.

Compounds of formula 5 and 7 are either known compounds or may be obtained from known compounds by known techniques.

Certain compounds of formula 2, 3, 4 and 6 are novel and as such form a further aspect of the invention.

Further procedures for making compounds of formula 1' (compounds of formula I where R²,

 R^3 , R^9 and R^{10} are all hydrogen) are illustrated in scheme II below

SCHEME II

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Thus a compound of formula 1' may be obtained from a compound of formula 8 by reaction with an acid chloride or chloroformate of the formula R1COCl at a temperature between 0 °C and ambient in organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine.

Alternatively, a compound of formula 1' may be obtained from a compound of formula 8 by reaction with a carboxylic acid of the formula R1COOH and a standard coupling agent such as 2-chloro-1,3-dimethyl-2-imidazolium hexafluorophosphate, or carbodiimide reagents such as dicyclohexylcarbodiimide or 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride at a temperature between 0 °C and ambient in organic solvent such as dichloromethane or tetrahydrofuran in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine.

A compound of formula 1' may alternatively be obtained from a compound of formula 8 by reaction with a isocyanate or isothiocyanate of the formula RNCO or RNCS respectively at a temperature between 0 °C and ambient in organic solvent such as dichloromethane or tetrahydrofuran, optionally in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine.

A compound of formula 1' may also be obtained from a compound of formula 8 by reaction with a sulfonyl chloride of the formula R1SO₂Cl at a temperature between 0 °C and ambient in organic solvent such as dichloromethane or tetrahydrofuran, in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine.

Alternatively, a compound of formula 1' may be obtained from a compound of formula 8 by reaction with an aryl or heteroaryl compound of formula Ar-L where L is a leaving group such as halide (especially fluoride), such as a 2-halopyridine, a 2-halopyrimidine, a 4-halopyridine, a 2-halopyrazine or such like at a temperature between 50 °C and 150 °C in a solvent such as dimethylsulfoxide in the presence of a strong base such as sodium hydride.

Compounds of formula 8 may be obtained by reacting compounds of formula 9 with compounds of formula 5 (in scheme I) at a temperature of between ambient and 100 °C in organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of an acid such as trifluoroacetic acid for typically 4 to 12 hours, followed by addition of a

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reducing agent such as triethylsilane and reaction at a temperature of ambient to 100 °C until the reaction is complete.

Alternatively, Compounds of formula 8 may be obtained by reacting compounds of formula 9 with compounds of formula 5 at a temperature of between 0 °C and 100 °C in organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of an acid such as hydrochloric acid, or trifluoroacetic acid and a co-solvent of either water or methanol or ethanol, or in the presence of a Lewis acidic metal salt such as zinc(II) dihalide. The intermediates formed are subsequently treated with a reducing agent such as sodium borohydride, sodium (triacetoxy)borohydride, sodium cyanoborohydride or such like at ambient temperature in organic solvent such as ethanol or chloroform.

Compounds of formula 8 may also be obtained by the hydrolysis of compounds of formula 1a (which are also a sub-set of compounds of formula 1) preferably with an aqueous acid, typically 6 N hydrochloric acid at reflux temperature.

Compounds of formula 9 may be obtained from compounds of formula 10 by reaction with methoxymethyl(triphenyl)phosphonium chloride or the corresponding bromide salt and a base such as potassium *tert*-butoxide at a temperature of 0 °C to ambient in tetrahydrofuran.

Compounds of formula 10 may be obtained by reacting compounds of formula 11 with an aqueous solution of acid, typically 6 N hydrochloric acid at reflux temperature.

Compounds of formula 11 may be obtained from compounds of formula 12 by reaction with an electrophile of the formula R8-L, where L is chloride, bromide, iodide or a sulfonate (e.g. mesylate or tosylate) or similar leaving group at between ambient temperature and 100 °C, typically around 60 °C in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of an excess of a tertiary amine base such as triethylamine or diisopropylethylamine and optionally catalysed by halide salts such as sodium iodide, potassium iodide or tetrabutylammonium iodide.

Compounds of formula 12 are known compounds or may be obtained from known compounds by known techniques.

Certain compounds of formula 8, 9, 10 and 11 are novel and as such form a further aspect of the invention.

The skilled person will readily recognise that it is possible to interconvert one compound of formula I to other compounds of formula I and examples of such procedures are given in schemes III, IV, V and VI below.

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SCHEME IV

SCHEME V

SCHEME VI

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The compounds of formula (I) can be used to combat and control infestations of insect pests such as Lepidoptera, Diptera, Hemiptera, Thysanoptera, Orthoptera, Dictyoptera, Coleoptera, Siphonaptera, Hymenoptera and Isoptera and also other invertebrate pests, for example, acarine, nematode and mollusc pests. Insects, acarines, nematodes and molluscs are hereinafter collectively referred to as pests. The pests which may be combated and controlled by the use of the invention compounds include those pests associated with agriculture (which term includes the growing of crops for food and fibre products), horticulture and animal husbandry, companion animals, forestry and the storage of products of vegetable origin (such as fruit, grain and timber); those pests associated with the damage of man-made structures and the transmission of diseases of man and animals; and also nuisance pests (such as flies).

Examples of pest species which may be controlled by the compounds of formula (I) include: Myzus persicae (aphid), Aphis gossypii (aphid), Aphis fabae (aphid), Lygus spp. (capsids), Dysdercus spp. (capsids), Nilaparvata lugens (planthopper), Nephotettixc incticeps (leafhopper), Nezara spp. (stinkbugs), Euschistus spp. (stinkbugs), Leptocorisa spp. (stinkbugs), Frankliniella occidentalis (thrip), Thrips spp. (thrips), Leptinotarsa decemlineata (Colorado potato beetle), Anthonomus grandis (boll weevil), Aonidiella spp. (scale insects), Trialeurodes spp. (white flies), Bemisia tabaci (white fly), Ostrinia nubilalis (European com borer), Spodoptera littoralis (cotton leafworm), Heliothis virescens (tobacco budworm), Helicoverpa armigera (cotton bollworm), Helicoverpa zea (cotton bollworm), Sylepta derogata (cotton leaf roller), Pieris brassicae (white butterfly), Plutella xylostella (diamond back moth), Agrotis spp. (cutworms), Chilo suppressalis (rice stem borer), Locusta migratoria (locust), Chortiocetes terminifera (locust), Diabrotica spp. (rootworms), Panonychus ulmi (European red mite), Panonychus citri (citrus red mite), Tetranychus urticae (two-spotted spider mite), Tetranychus cinnabarinus (carmine spider mite), Phyllocoptruta oleivora (citrus rust mite), Polyphagotarsonemus latus (broad mite), Brevipalpus spp. (flat mites), Boophilus microplus (cattle tick), Dermacentor variabilis (American dog tick), Ctenocephalides felis (cat flea), Liriomyza spp. (leafminer), Musca domestica (housefly), Aedes aegypti (mosquito), Anopheles spp. (mosquitoes), Culex spp. (mosquitoes), Lucillia spp. (blowflies), Blattella germanica (cockroach), Periplaneta americana (cockroach), Blatta orientalis (cockroach), termites of the Mastotermitidae (for

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example Mastotermes spp.), the Kalotermitidae (for example Neotermes spp.), the Rhinotermitidae (for example Coptotermes formosanus, Reticulitermes flavipes, R. speratu, R. virginicus, R. hesperus, and R. santonensis) and the Termitidae (for example Globitermes sulphureus), Solenopsis geminata (fire ant), Monomorium pharaonis (pharaoh's ant), Damalinia spp. and Linognathus spp. (biting and sucking lice), Meloidogyne spp. (root knot nematodes), Globodera spp. and Heterodera spp. (cyst nematodes), Pratylenchus spp. (lesion nematodes), Rhodopholus spp. (banana burrowing nematodes), Tylenchulus spp.(citrus nematodes), Haemonchus contortus (barber pole worm), Caenorhabditis elegans_(vinegar eelworm), Trichostrongylus spp. (gastro intestinal nematodes) and Deroceras reticulatum (slug).

The invention therefore provides a method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I), or a composition containing a compound of formula (I), to a pest, a locus of pest, or to a plant susceptible to attack by a pest, The compounds of formula (I) are preferably used against insects, acarines or nematodes.

The term "plant" as used herein includes seedlings, bushes and trees.

In order to apply a compound of formula (I) as an insecticide, acaricide, nematicide or molluscicide to a pest, a locus of pest, or to a plant susceptible to attack by a pest, a compound of formula (I) is usually formulated into a composition which includes, in addition to the compound of formula (I), a suitable inert diluent or carrier and, optionally, a surface active agent (SFA). SFAs are chemicals which are able to modify the properties of an interface (for example, liquid/solid, liquid/air or liquid/liquid interfaces) by lowering the interfacial tension and thereby leading to changes in other properties (for example dispersion, emulsification and wetting). It is preferred that all compositions (both solid and liquid formulations) comprise, by weight, 0.0001 to 95%, more preferably 1 to 85%, for example 5 to 60%, of a compound of formula (I). The composition is generally used for the control of pests such that a compound of formula (I) is applied at a rate of from 0.1g to 10kg per hectare, preferably from 1g to 6kg per hectare, more preferably from 1g to 1kg per hectare.

When used in a seed dressing, a compound of formula (I) is used at a rate of 0.0001g to 10g (for example 0.001g or 0.05g), preferably 0.005g to 10g, more preferably 0.005g to 4g, per kilogram of seed.

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In another aspect the present invention provides an insecticidal, acaricidal, nematicidal or molluscicidal composition comprising an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I) and a suitable carrier or diluent therefor. The composition is preferably an insecticidal, acaricidal, nematicidal or molluscicidal composition.

In a still further aspect the invention provides a method of combating and controlling pests at a locus which comprises treating the pests or the locus of the pests with an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a composition comprising a compound of formula (I). The compounds of formula (I) are preferably used against insects, acarines or nematodes.

The compositions can be chosen from a number of formulation types, including dustable powders (DP), soluble powders (SP), water soluble granules (SG), water dispersible granules (WG), wettable powders (WP), granules (GR) (slow or fast release), soluble concentrates (SL), oil miscible liquids (OL), ultra low volume liquids (UL), emulsifiable concentrates (EC), dispersible concentrates (DC), emulsions (both oil in water (EW) and water in oil (EO)), micro-emulsions (ME), suspension concentrates (SC), aerosols, fogging/smoke formulations, capsule suspensions (CS) and seed treatment formulations. The formulation type chosen in any instance will depend upon the particular purpose envisaged and the physical, chemical and biological properties of the compound of formula (I).

Dustable powders (DP) may be prepared by mixing a compound of formula (I) with one or more solid diluents (for example natural clays, kaolin, pyrophyllite, bentonite, alumina, montmorillonite, kieselguhr, chalk, diatomaceous earths, calcium phosphates, calcium and magnesium carbonates, sulphur, lime, flours, talc and other organic and inorganic solid carriers) and mechanically grinding the mixture to a fine powder.

Soluble powders (SP) may be prepared by mixing a compound of formula (I) with one or more water-soluble inorganic salts (such as sodium bicarbonate, sodium carbonate or magnesium sulphate) or one or more water-soluble organic solids (such as a polysaccharide) and, optionally, one or more wetting agents, one or more dispersing agents or a mixture of said agents to improve water dispersibility/solubility. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water soluble granules (SG).

Wettable powders (WP) may be prepared by mixing a compound of formula (I) with one or more solid diluents or carriers, one or more wetting agents and, preferably, one or

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more dispersing agents and, optionally, one or more suspending agents to facilitate the dispersion in liquids. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water dispersible granules (WG).

Granules (GR) may be formed either by granulating a mixture of a compound of formula (I) and one or more powdered solid diluents or carriers, or from pre-formed blank granules by absorbing a compound of formula (I) (or a solution thereof, in a suitable agent) in a porous granular material (such as pumice, attapulgite clays, fuller's earth, kieselguhr, diatomaceous earths or ground corn cobs) or by adsorbing a compound of formula (I) (or a solution thereof, in a suitable agent) on to a hard core material (such as sands, silicates, mineral carbonates, sulphates or phosphates) and drying if necessary. Agents which are commonly used to aid absorption or adsorption include solvents (such as aliphatic and aromatic petroleum solvents, alcohols, ethers, ketones and esters) and sticking agents (such as polyvinyl acetates, polyvinyl alcohols, dextrins, sugars and vegetable oils). One or more other additives may also be included in granules (for example an emulsifying agent, wetting agent or dispersing agent).

Dispersible Concentrates (DC) may be prepared by dissolving a compound of formula (I) in water or an organic solvent, such as a ketone, alcohol or glycol ether. These solutions may contain a surface active agent (for example to improve water dilution or prevent crystallisation in a spray tank).

Emulsifiable concentrates (EC) or oil-in-water emulsions (EW) may be prepared by dissolving a compound of formula (I) in an organic solvent (optionally containing one or more wetting agents, one or more emulsifying agents or a mixture of said agents). Suitable organic solvents for use in ECs include aromatic hydrocarbons (such as alkylbenzenes or alkylnaphthalenes, exemplified by SOLVESSO 100, SOLVESSO 150 and SOLVESSO 200; SOLVESSO is a Registered Trade Mark), ketones (such as cyclohexanone or methylcyclohexanone) and alcohols (such as benzyl alcohol, furfuryl alcohol or butanol), N-alkylpyrrolidones (such as N-methylpyrrolidone or N-octylpyrrolidone), dimethyl amides of fatty acids (such as C₈-C₁₀ fatty acid dimethylamide) and chlorinated hydrocarbons. An EC product may spontaneously emulsify on addition to water, to produce an emulsion with sufficient stability to allow spray application through appropriate equipment. Preparation of an EW involves obtaining a compound of formula (I) either as a liquid (if it is not a liquid at room temperature, it may be melted at a reasonable temperature, typically below 70°C) or in

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solution (by dissolving it in an appropriate solvent) and then emulsifying the resultant liquid or solution into water containing one or more SFAs, under high shear, to produce an emulsion. Suitable solvents for use in EWs include vegetable oils, chlorinated hydrocarbons (such as chlorobenzenes), aromatic solvents (such as alkylbenzenes or alkylnaphthalenes) and other appropriate organic solvents which have a low solubility in water.

Microemulsions (ME) may be prepared by mixing water with a blend of one or more solvents with one or more SFAs, to produce spontaneously a thermodynamically stable isotropic liquid formulation. A compound of formula (I) is present initially in either the water or the solvent/SFA blend. Suitable solvents for use in MEs include those hereinbefore described for use in in ECs or in EWs. An ME may be either an oil-in-water or a water-in-oil system (which system is present may be determined by conductivity measurements) and may be suitable for mixing water-soluble and oil-soluble pesticides in the same formulation. An ME is suitable for dilution into water, either remaining as a microemulsion or forming a conventional oil-in-water emulsion.

Suspension concentrates (SC) may comprise aqueous or non-aqueous suspensions of finely divided insoluble solid particles of a compound of formula (I). SCs may be prepared by ball or bead milling the solid compound of formula (I) in a suitable medium, optionally with one or more dispersing agents, to produce a fine particle suspension of the compound. One or more wetting agents may be included in the composition and a suspending agent may be included to reduce the rate at which the particles settle. Alternatively, a compound of formula (I) may be dry milled and added to water, containing agents hereinbefore described, to produce the desired end product.

Aerosol formulations comprise a compound of formula (I) and a suitable propellant (for example *n*-butane). A compound of formula (I) may also be dissolved or dispersed in a suitable medium (for example water or a water miscible liquid, such as *n*-propanol) to provide compositions for use in non-pressurised, hand-actuated spray pumps.

A compound of formula (I) may be mixed in the dry state with a pyrotechnic mixture to form a composition suitable for generating, in an enclosed space, a smoke containing the compound.

Capsule suspensions (CS) may be prepared in a manner similar to the preparation of EW formulations but with an additional polymerisation stage such that an aqueous dispersion of oil droplets is obtained, in which each oil droplet is encapsulated by a polymeric shell and

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contains a compound of formula (I) and, optionally, a carrier or diluent therefor. The polymeric shell may be produced by either an interfacial polycondensation reaction or by a coacervation procedure. The compositions may provide for controlled release of the compound of formula (I) and they may be used for seed treatment. A compound of formula (I) may also be formulated in a biodegradable polymeric matrix to provide a slow, controlled release of the compound.

A composition may include one or more additives to improve the biological performance of the composition (for example by improving wetting, retention or distribution on surfaces; resistance to rain on treated surfaces; or uptake or mobility of a compound of formula (I)). Such additives include surface active agents, spray additives based on oils, for example certain mineral oils or natural plant oils (such as soy bean and rape seed oil), and blends of these with other bio-enhancing adjuvants (ingredients which may aid or modify the action of a compound of formula (I)).

A compound of formula (I) may also be formulated for use as a seed treatment, for example as a powder composition, including a powder for dry seed treatment (DS), a water soluble powder (SS) or a water dispersible powder for slurry treatment (WS), or as a liquid composition, including a flowable concentrate (FS), a solution (LS) or a capsule suspension (CS). The preparations of DS, SS, WS, FS and LS compositions are very similar to those of, respectively, DP, SP, WP, SC and DC compositions described above. Compositions for treating seed may include an agent for assisting the adhesion of the composition to the seed (for example a mineral oil or a film-forming barrier).

Wetting agents, dispersing agents and emulsifying agents may be surface SFAs of the cationic, anionic, amphoteric or non-ionic type.

Suitable SFAs of the cationic type include quaternary ammonium compounds (for example cetyltrimethyl ammonium bromide), imidazolines and amine salts.

Suitable anionic SFAs include alkali metals salts of fatty acids, salts of aliphatic monoesters of sulphuric acid (for example sodium lauryl sulphate), salts of sulphonated aromatic compounds (for example sodium dodecylbenzenesulphonate, calcium dodecylbenzenesulphonate, butylnaphthalene sulphonate and mixtures of sodium diisopropyl- and tri-isopropyl-naphthalene sulphonates), ether sulphates, alcohol ether sulphates (for example sodium laureth-3-sulphate), ether carboxylates (for example sodium laureth-3-carboxylate), phosphate esters (products from the reaction between one or more

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fatty alcohols and phosphoric acid (predominately mono-esters) or phosphorus pentoxide (predominately di-esters), for example the reaction between lauryl alcohol and tetraphosphoric acid; additionally these products may be ethoxylated), sulphosuccinamates, paraffin or olefine sulphonates, taurates and lignosulphonates.

Suitable SFAs of the amphoteric type include betaines, propionates and glycinates.

Suitable SFAs of the non-ionic type include condensation products of alkylene oxides, such as ethylene oxide, propylene oxide, butylene oxide or mixtures thereof, with fatty alcohols (such as oleyl alcohol or cetyl alcohol) or with alkylphenols (such as octylphenol, nonylphenol or octylcresol); partial esters derived from long chain fatty acids or hexitol anhydrides; condensation products of said partial esters with ethylene oxide; block polymers (comprising ethylene oxide and propylene oxide); alkanolamides; simple esters (for example fatty acid polyethylene glycol esters); amine oxides (for example lauryl dimethyl amine oxide); and lecithins.

Suitable suspending agents include hydrophilic colloids (such as polysaccharides, polyvinylpyrrolidone or sodium carboxymethylcellulose) and swelling clays (such as bentonite or attapulgite).

A compound of formula (I) may be applied by any of the known means of applying pesticidal compounds. For example, it may be applied, formulated or unformulated, to the pests or to a locus of the pests (such as a habitat of the pests, or a growing plant liable to infestation by the pests) or to any part of the plant, including the foliage, stems, branches or roots, to the seed before it is planted or to other media in which plants are growing or are to be planted (such as soil surrounding the roots, the soil generally, paddy water or hydroponic culture systems), directly or it may be sprayed on, dusted on, applied by dipping, applied as a cream or paste formulation, applied as a vapour or applied through distribution or incorporation of a composition (such as a granular composition or a composition packed in a water-soluble bag) in soil or an aqueous environment.

A compound of formula (I) may also be injected into plants or sprayed onto vegetation using electrodynamic spraying techniques or other low volume methods, or applied by land or aerial irrigation systems.

Compositions for use as aqueous preparations (aqueous solutions or dispersions) are generally supplied in the form of a concentrate containing a high proportion of the active ingredient, the concentrate being added to water before use. These concentrates, which may

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include DCs, SCs, ECs, EWs, MEs SGs, SPs, WPs, WGs and CSs, are often required to withstand storage for prolonged periods and, after such storage, to be capable of addition to water to form aqueous preparations which remain homogeneous for a sufficient time to enable them to be applied by conventional spray equipment. Such aqueous preparations may contain varying amounts of a compound of formula (I) (for example 0.0001 to 10%, by weight) depending upon the purpose for which they are to be used.

A compound of formula (I) may be used in mixtures with fertilisers (for example nitrogen-, potassium- or phosphorus-containing fertilisers). Suitable formulation types include granules of fertiliser. The mixtures suitably contain up to 25% by weight of the compound of formula (I).

The invention therefore also provides a fertiliser composition comprising a fertiliser and a compound of formula (I).

The compositions of this invention may contain other compounds having biological activity, for example micronutrients or compounds having fungicidal activity or which possess plant growth regulating, herbicidal, insecticidal, nematicidal or acaricidal activity.

The compound of formula (I) may be the sole active ingredient of the composition or it may be admixed with one or more additional active ingredients such as a pesticide, fungicide, synergist, herbicide or plant growth regulator where appropriate. An additional active ingredient may: provide a composition having a broader spectrum of activity or increased persistence at a locus; synergise the activity or complement the activity (for example by increasing the speed of effect or overcoming repellency) of the compound of formula (I); or help to overcome or prevent the development of resistance to individual components. The particular additional active ingredient will depend upon the intended utility of the composition. Examples of suitable pesticides include the following:

- a) Pyrethroids, such as permethrin, cypermethrin, fenvalerate, esfenvalerate, deltamethrin, cyhalothrin (in particular lambda-cyhalothrin), bifenthrin, fenpropathrin, cyfluthrin, tefluthrin, fish safe pyrethroids (for example ethofenprox), natural pyrethrin, tetramethrin, s-bioallethrin, fenfluthrin, prallethrin or 5-benzyl-3-furylmethyl-(E)-(1R,3S)-2,2-dimethyl-3-(2-oxothiolan-3-ylidenemethyl)cyclopropane carboxylate;
- b) Organophosphates, such as, profenofos, sulprofos, acephate, methyl parathion, azinphos-methyl, demeton-s-methyl, heptenophos, thiometon, fenamiphos, monocrotophos, profenofos, triazophos, methamidophos, dimethoate, phosphamidon, malathion, chlorpyrifos,

phosalone, terbufos, fensulfothion, fonofos, phorate, phoxim, pirimiphos-methyl, pirimiphos-ethyl, fenitrothion, fosthiazate or diazinon;

- c) Carbamates (including aryl carbamates), such as pirimicarb, triazamate, cloethocarb, carbofuran, furathiocarb, ethiofencarb, aldicarb, thiofurox, carbosulfan, bendiocarb,
- fenobucarb, propoxur, methomyl or oxamyl;
 - d) Benzoyl ureas, such as diflubenzuron, triflumuron, hexaflumuron, flufenoxuron or chlorfluazuron;
 - e) Organic tin compounds, such as cyhexatin, fenbutatin oxide or azocyclotin;
 - f) Pyrazoles, such as tebufenpyrad and fenpyroximate;
- g) Macrolides, such as avermectins or milbemycins, for example abamectin, emamectin benzoate, ivermectin, milbemycin, spinosad or azadirachtin;
 - h) Hormones or pheromones;
 - i) Organochlorine compounds such as endosulfan, benzene hexachloride, DDT, chlordane or dieldrin;
- j) Amidines, such as chlordimeform or amitraz;
 - k) Furnigant agents, such as chloropicrin, dichloropropane, methyl bromide or metam;
 - l) Chloronicotinyl compounds such as imidacloprid, thiacloprid, acetamiprid, nitenpyram or thiamethoxam;
 - m) Diacylhydrazines, such as tebufenozide, chromafenozide or methoxyfenozide;
- 20 n) Diphenyl ethers, such as diofenolan or pyriproxifen;
 - o) Indoxacarb;
 - p) Chlorfenapyr; or
 - q) Pymetrozine.

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In addition to the major chemical classes of pesticide listed above, other pesticides having particular targets may be employed in the composition, if appropriate for the intended utility of the composition. For instance, selective insecticides for particular crops, for example stemborer specific insecticides (such as cartap) or hopper specific insecticides (such as buprofezin) for use in rice may be employed. Alternatively insecticides or acaricides specific for particular insect species/stages may also be included in the compositions (for example acaricidal ovo-larvicides, such as clofentezine, flubenzimine, hexythiazox or tetradifon; acaricidal motilicides, such as dicofol or propargite; acaricides, such as

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bromopropylate or chlorobenzilate; or growth regulators, such as hydramethylnon, cyromazine, methoprene, chlorfluazuron or diflubenzuron).

Examples of fungicidal compounds which may be included in the composition of the invention are (E)-N-methyl-2-[2-(2,5-dimethylphenoxymethyl)phenyl]-2-methoxyiminoacetamide (SSF-129), 4-bromo-2-cyano-N,N-dimethyl-6-trifluoromethylbenzimidazole-1-sulphonamide, α-[N-(3-chloro-2,6-xylyl)-2-methoxyacetamido]-γ-butyrolactone, 4-chloro-2-cyano-N.N-dimethyl-5-p-tolylimidazole-1-sulfonamide (IKF-916, cyamidazosulfamid), 3-5-dichloro-N-(3-chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH-7281, zoxamide), N-allyl-4,5,-dimethyl-2-trimethylsilylthiophene-3-carboxamide (MON65500). N-(1-cyano-1,2-dimethylpropyl)-2-(2,4-dichlorophenoxy)propionamide (AC382042), N-(2-methoxy-5-pyridyl)-cyclopropane carboxamide, acibenzolar (CGA245704), alanycarb, aldimorph, anilazine, azaconazole, azoxystrobin, benalaxyl, benomyl, biloxazol, bitertanol, blasticidin S, bromuconazole, bupirimate, captafol, captan, carbendazim, carbendazim chlorhydrate, carboxin, carpropamid, carvone, CGA41396, CGA41397, chinomethionate, chlorothalonil, chlorozolinate, clozylacon, copper containing compounds such as copper oxychloride, copper oxyquinolate, copper sulphate, copper tallate and Bordeaux mixture, cymoxanil, cyproconazole, cyprodinil, debacarb, di-2-pyridyl disulphide 1,1'-dioxide, dichlofluanid, diclomezine, dicloran, diethofencarb, difenoconazole, difenzoquat, diflumetorim, O,O-di-iso-propyl-S-benzyl thiophosphate, dimefluazole, dimetconazole, dimethomorph, dimethirimol, diniconazole, dinocap, dithianon, dodecyl dimethyl ammonium chloride, dodemorph, dodine, doguadine, edifenphos, epoxiconazole, ethirimol, ethyl(Z)-N-benzyl-N([methyl(methyl-thioethylideneaminooxycarbonyl)amino]thio)- β -alaninate, etridiazole, famoxadone, fenamidone (RPA407213), fenarimol, fenbuconazole, fenfuram, fenhexamid (KBR2738), fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferimzone, fluazinam, fludioxonil, flumetover, fluoroimide, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fuberidazole, furalaxyl, furametpyr, guazatine, hexaconazole, hydroxyisoxazole, hymexazole, imazalil, imibenconazole, iminoctadine, iminoctadine triacetate, ipconazole, iprobenfos, iprodione, iprovalicarb (SZX0722), isopropanyl butyl carbamate, isoprothiolane, kasugamycin, kresoxim-methyl. LY186054, LY211795, LY248908, mancozeb, maneb, mefenoxam, mepanipyrim, mepronil, metalaxyl, metconazole, metiram, metiram-zinc, metominostrobin, myclobutanil, neoasozin, nickel dimethyldithiocarbamate, nitrothal-isopropyl, nuarimol, ofurace, organomercury

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compounds, oxadixyl, oxasulfuron, oxolinic acid, oxpoconazole, oxycarboxin, pefurazoate, penconazole, pencycuron, phenazin oxide, phosetyl-Al, phosphorus acids, phthalide, picoxystrobin (ZA1963), polyoxin D, polyram, probenazole, prochloraz, procymidone, propamocarb, propiconazole, propineb, propionic acid, pyrazophos, pyrifenox, pyrimethanil, pyroquilon, pyroxyfur, pyrrolnitrin, quaternary ammonium compounds, quinomethionate, quinoxyfen, quintozene, sipconazole (F-155), sodium pentachlorophenate, spiroxamine, streptomycin, sulphur, tebuconazole, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamid, 2-(thiocyanomethylthio)benzothiazole, thiophanate-methyl, thiram, timibenconazole, tolclofos-methyl, tolylfluanid, triadimefon, triadimenol, triazbutil, triazoxide, tricyclazole, tridemorph, trifloxystrobin (CGA279202), triforine, triflumizole, triticonazole, validamycin A, vapam, vinclozolin, zineb and ziram.

The compounds of formula (I) may be mixed with soil, peat or other rooting media for the protection of plants against seed-borne, soil-borne or foliar fungal diseases.

Examples of suitable synergists for use in the compositions include piperonyl butoxide, sesamex, safroxan and dodecyl imidazole.

Suitable herbicides and plant-growth regulators for inclusion in the compositions will depend upon the intended target and the effect required.

An example of a rice selective herbicide which may be included is propanil. An example of a plant growth regulator for use in cotton is PIXTM.

Some mixtures may comprise active ingredients which have significantly different physical, chemical or biological properties such that they do not easily lend themselves to the same conventional formulation type. In these circumstances other formulation types may be prepared. For example, where one active ingredient is a water insoluble solid and the other a water insoluble liquid, it may nevertheless be possible to disperse each active ingredient in the same continuous aqueous phase by dispersing the solid active ingredient as a suspension (using a preparation analogous to that of an SC) but dispersing the liquid active ingredient as an emulsion (using a preparation analogous to that of an EW). The resultant composition is a suspoemulsion (SE) formulation.

The invention is illustrated by the following Examples:

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EXAMPLE 1

This Example illustrates the preparation of compound V-22, 1-Acetyl-5-chloro-1'[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

Step 1: Preparation of 4-methoxymethylenepiperidine-1-carboxylic acid tert-butyl ester

Potassium tert-butoxide (21.3 g) was added in portions to a stirred solution of methoxymethyltriphenylphosphonium chloride (65.3 g) in anhydrous THF (500 ml) under an atmosphere of nitrogen at 4 °C. A vivid orange colour was noted and the reaction was left as such for 1 h. 4-Oxopiperidine-1-carboxylic acid tert-butyl ester 1 (25 g) was added slowly not letting the temperature rise above 10 °C and the mixture was then allowed to warm to room temperature overnight.

The reaction mixture was poured onto water (150 ml), extracted three times with ethyl acetate (100ml) and the combined organics were washed with brine (300ml), dried over anhydrous sodium sulphate and concentrated *in vacuo* to yield a brown oil (50g). Flash

chromatography [SiO₂; hexane, then ethyl acetate-hexane (10:90)] yielded 26.4g (77%) of the desired enol ether. ¹H NMR (400 MHz, CDCl₃) 1.5 (9H, m), 2.0-2.2 (m, 4H), 3.4 (m, 4H), 3.5 (s, 3H), 5.9 (s, 1H). MS (ES+) 228 (M+H⁺), 172 (M-ⁱbutene+H⁺)

5 Step 2: Preparation of 5-chlorospiro[indoline-3,4'-piperidine]-1'-carboxylic acid tert-butyl ester

Trifluoroacetic acid (12 ml) was added to a stirred solution of 4-methoxymethylene-piperidine-1-carboxylic acid *tert*-butyl ester (12.5 g), 4-chlorophenylhydrazine hydrochloide (9.75g) and ethanol (1 ml) in chloroform (1200 ml) at 4 °C under an atmosphere of nitrogen. The mixture was then stirred at 50 °C overnight, turning a dark green colour. The reaction was quenched with concentrated ammonia solution (200 ml) in ice water (500 ml), the organic layer turning orange. The organic layer was separated and the aqueous was further extracted twice with dichloromethane. The combined organics were washed with brine (300 ml), dried over anhydrous sodium sulphate and concentrated *in vacuo* to yield 13 g of the crude imine 5-chlorospiro[3*H*-indole-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester (purity approximately 80% by NMR). ¹H NMR (400 MHz, CDCl₃) 1.5 (9H, m), 1.70 (m, 2H), 1.85 (m, 2H), 3.50 (m, 2H), 4.05 (m, 2H), 7.35 (m, 2H), 7.60 (s, 1H), 8.35 (s, 1H). MS (ES+) 321/323 (M+H⁺), 265/267 (M-¹butene+H⁺), 221/223 (M-Boc+H⁺).

Sodium borohydride (6.0g) was added to a stirred solution of crude imine (12g) in absolute ethanol (500 ml) under an atmosphere of nitrogen. The reaction was stirred for 15 min and left to stand overnight. The mixture was concentrated *in vacuo* and the residue re-dissolved in dichloromethane (100 ml). The organics were washed with water (100 ml) and brine (100 ml), dried over anhydrous sodium sulphate and concentrated *in vacuo* to yield a brown solid. Flash chromatography [SiO₂: ethyl acetate-hexane-triethylamine (25:75:1)] yielded 9.8 g (56%, over both steps) of the desired indoline. M.p. 165-166 °C. ¹H NMR (400 MHz, CDCl₃) 1.5 (9H, s), 1.70 (m, 4H), 2.9 (m, 2H), 3.50 (s, 2H), 3.75 (br s, 1H), 4.05 (m, 2H), 6.55 (d, J = 6Hz, 1H), 7.00 (m, 2H). MS (ES+) 323/325 (M+H⁺), 267/269 (M-ⁱbutene+H⁺), 223/225 (M-Boc+H⁺).

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Step 3: Preparation of 1-acetyl-5-chlorospiro[indoline-3,4'-piperidine]-1'-carboxylic acid tert-butyl ester

Acetyl chloride (2.8 ml) was added dropwise to a stirred solution of 5-chlorospiro[indoline-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester (9.8 g) and triethylamine (15 ml) in anhydrous dichloromethane (400 ml) under an atmosphere of nitrogen. The reaction was stirred for 1 h and was then quenched with saturated sodium bicarbonate solution (200 ml). The organic layer was dried over anhydrous sodium sulphate and concentrated *in vacuo* to yield 9.8 g (87%) of the desired amide as an off-white solid. M.p. 64-66 °C. ¹H NMR (400 MHz, CDCl₃) a 6:1 mixture of rotamers. Major rotamer 1.5 (9H, s), 1.70 (m, 2H), 1.85 (m, 2H), 2.25 (s, 3H), 2.85 (m, 2H), 3.90 (s, 2H), 4.2 (m, 2H), 6.97 (d, J = 1Hz, 1H), 7.20 (dd, J = 7 & 1Hz, 1H), 8.15 (d, J = 7 Hz, 1H). Minor rotamer 1.5 (9H, s), 1.70 (m, 2H), 1.85 (m, 2H), 2.45 (s, 3H), 2.85 (m, 2H), 4.05 (s, 2H), 4.2 (m, 2H), 7.2 (d, J = 1 Hz, 1H), 7.25 (dd, J = 7 & 1 Hz, 1H), 7.48 (d, J = 7 Hz, 1H).

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Step 4: Preparation of 1-acetyl-5-chlorospiro[indoline-3,4'-piperidine] Trifluoroacetic acid (25 ml) was added to a stirred solution of 1-acetyl-5-chlorospiro[indoline-3,4'-piperidine]-1'-carboxylic acid tert-butyl ester (8 g) in anhydrous dichloromethane (250 ml) under an atmosphere of nitrogen. The reaction was left as such for 3 h. The reaction was washed with saturated bicarbonate solution (200 ml) and dried over sodium sulphate and concentrated in vacuo to yield an off white solid. Flash chromatography [SiO₂: methanol-dichloromethane-triethylamine (90:5:5)] yielded 5.6 g (61%) of the desired 1-Acetyl-5-chlorospiro[indoline-3,4'-piperidine]. ¹H NMR (400 MHz, CDCl₃) a 6:1 mixture of rotamers. Major rotamer 1.70 (m, 2H), 1.80 (m, 2H), 2.27 (s, 3H), 2.75 (t, J = 12Hz, 2H), 3.15 (m, 2H), 3.90 (s, 2H), 7.12 (d, J = 1Hz, 1H), 7.18 (dd, J = 7 & 1Hz, 1H), 8.15 (d, J = 7Hz, 1H). Minor rotamer (partial data) 2.44 (s, 3H), 2.86 (m, 2H), 3.10 (m, 2H), 4.05 (s, 2H).

Step 5: Preparation of 1-acetyl-5-chloro-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-

30 <u>3,4'-piperidine</u>]

MS (ES+) 265/267 (M+H⁺).

A solution of 4-chlorocinnamyl chloride (4.0 g) in chloroform (120 ml) was added slowly to a stirred mixture of 1-acetyl-5-chlorospiro[indoline-3,4'-piperidine] (5.3 g) and

diisopropylethylamine (6.7 ml) in chloroform (120 ml) under an atmosphere of nitrogen at room. The reaction was heated to 50 °C for 30 h. The reaction mixture was concentrated *in vacuo* to yield a red oil. Flash chromatography [SiO₂; ethyl acetate-hexane-triethylamine (50:50:1)] yielded 5.1 g (68%) of the desired compound. 1 H NMR (400 MHz, CDCl₃) a 5:1 mixture of rotamers. Major rotamer 1.70 (d, J = 12Hz, 2H), 2.0 (td, J = 12 & 2 Hz), 2.08 (t, J = 12 Hz, 2H), 2.25 (s, 3H), 3.03 (d, J = 12Hz, 2H), 3.20 (d, J = 7Hz, 2H), 3.96 (s, 2H), 6.28 (dt, J = 12 & 5Hz, 1H), 6.50 (d, J = 12Hz, 1H), 7.13 (d, J = 1Hz, 1H), 7.18 (dd, J = 7 & 1Hz, 1H), 7.3 (m, 4H), 8.15 (d, J = 7Hz, 1H). Minor rotamer (partial data) 2.42 (s, 3H), 4.00 (s, 2H). MS (ES+) 415/417/419 (M+H⁺).

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Compounds V-21, XXIX-49, V-192, V-62 and V-202 were prepared according to procedures analogous to those described in Example 1.

EXAMPLE 2

This Example illustrates the preparation of compound I-1, 1-(2-Chloropyridin-4-yl)carbonyl-1'-[trans-3-phenylallyl]spiro[indoline-3,4'-piperidine]

Spiro[indoline-3,4'-piperidine]-1'-carboxylic acid tert-butyl ester was prepared according to a procedure analogous to that described in steps 1 and 2 of Example 1.

Step 1: 1-(2-chloropyridin-4-yl)carbonylspiro[indoline-3,4'-piperidine]-1'-carboxylic acid tert-butyl ester

Thionyl chloride (20 ml) was added to 2-chloroisonicotinic acid (1.2 g) at room temperature. DMF (2 drops) was added and the mixture was heated to reflux for 1 hour. The excess thionyl chloride was evaporated and the residue was dissolved in dichloromethane (50 ml).

Triethylamine (2 ml) was added followed by dropwise addition of a solution of spiro[indoline-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester (1.7 g) dissolved in dichloromethane (20 ml). The mixture was stirred for 48 hours. The reaction mixture was washed with pH 9.4 buffer (100 ml) and the aqueous layer was extracted with dichloromethane. The combined organic layers were dried (magnesium sulfate), filtered and evaporated. The crude product was purified by chromatography [SiO₂; ethyl acetate-hexane-triethylamine (50:50:1), increasing polarity to (100:0:1)] to give 2.4 g (94%) of the desired amide. M.p. 212 °C; ¹H NMR (400 MHz, d₆-DMSO) 1.50 (s, 9H), 1.6-1.8 (m, 4H), 2.8 (br s, 2H), 3.9 (br s, 2H), 4.08 (d, 2H), 7.0-7.2 (m, 3H), 7.30 (d, J = 6Hz, 1H), 8.43 (d, J = 6Hz, 1H), 7.40 (s, 1H), 8.0-8.2 (br m, 1H); MS (ES+) 428/430 (M+H⁺), 372/374 (M+H⁺-isobutene).

20 Step 2: preparation of 1-(2-chloropyridin-4-yl)carbonylspiro[indoline-3,4'-piperidine] trifluoroacetic acid salt

Trifluoroacetic acid (30 ml) was added to a solution of solution of 1-(2-chloropyridin-4-yl)carbonylspiro[indoline-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester (2.3 g) in anhydrous dichloromethane (50 ml), the solution darkening upon addition. The reaction was left as such for 15 min. The reaction mixture was evaporated *in vacuo* and the dark residue resuspended in dry ether (100 ml). The residue was triturated until it became a free-flowing beige precipitate. The precipitate was collected by filtration and dried in a stream of nitrogen to give 2.28 g (96 %) of the desired amine salt. M.p. 245 °C (decomposition). ¹H NMR (400 MHz, d₆-DMSO) 1.8 (m, 2H), 1.9 (m, 2H), 2.9 (m, 2H), 3.25 (m, 2H), 3.98 (s, 2H), 7.15-7.3 (m, 2H), 7.24 (d, J = 8Hz, 1H), 7.56 (d, J = 7Hz, 1H), 7.62 (s, 1H), 8.1 (br s, 1H), 8.56 (d, J = 7Hz, 1H), 8.8 (br s, 2H). MS (ES+) 328/330 (M+H⁺).

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Step 3: Preparation of 1-(2-chloropyridin-4-yl)carbonyl-1'-[trans-3-phenylallyl]spiro[indoline-3,4'-piperidine]

1-(2-Chloropyridin-4-yl)carbonylspiro[indoline-3,4'-piperidine] trifluoroacetic acid salt (0.44 g) and *trans*-cinnamaldehyde (0.29 g) were suspended in tetrahydrofuran (8 ml) and ethanol (6 ml). Borane-pyridine complex (0.26 ml) was added and the reaction stirred vigorously overnight at room temperature. The mixture was evaporated and partitioned between dichloromethane and water. The organics were dried over anhydrous magnesium sulfate and evaporated *in vacuo*. Flash chromatography [SiO₂; ethyl acetate-hexane-triethylamine (25:75:1), increasing polarity to (50:50:1)] yielded 0.42 g (94%) of the desired product.

 1 H NMR (400 MHz, CDCl₃) a 3:1 mixture of rotamers. Major rotamer 1.70 (m, 2H), 1.8-2.1 (m, 4H), 3.0 (m, 2H), 3.20 (m, 2H), 3.75 (m, 2H), 6.3 (m, 1H), 6.52 (d, J = 12Hz, 1H), 7.1-7.4 (m, 9H), 7.46 (d, J = 2Hz, 1H), 8.2 (br m, 1H), 8.6 (m, 1H). MS (ES+) 444/446 (M+H $^{+}$).

Compounds I-5, I-4, XXIX-7, XXIX-13, I-182, I-142, I-132, XXII-22, VI-1, VI-101, I-22, XXIX-31 (with an alkylation as the final step), XXIX-37 (with an alkylation as the final step), XXIX-43 (with an alkylation as the final step), XXVII-1 (followed by treatment with HCl in ether), XXVII-2 (followed by treatment with HCl in ether), XXVII-22 (followed by treatment with hydrogen peroxide in methanol) and XXIX-25 (with an acylation as the final step) were prepared according to procedures analogous to those described in Example 2.

EXAMPLE 3

This Example illustrates the preparation of compound VI-22, 1-(Pyridin-4-yl)-carbonyl-5-chloro-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

1-Acetyl-5-chloro-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] was prepared according to the procedures described in Example 1.

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<u>Step 1: Preparation of 5-chloro-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]</u>

1-Acetyl-5-chloro-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] (5.0 g) was dissolved in 6 N hydrochloric acid (100 ml) and heated to reflux for 3 hours. The mixture was cooled and the aqueous layer was basified with solid NaOH pellets (CARE! Exotherm) to pH 12 and triethylamine (20 ml) was added. The mixture was extracted three times with chloroform. The organic layers were dried over anhydrous sodium sulfate, filtered and evaporated in vacuo to give a crude brown oil which was purified by column chromatography (SiO2, ethyl acetate:hexane: triethylamine, 1:1:0.01) to give 3.94 g (88%) of the desired indoline. MS (ES+) 373/375/377 (M+H⁺).

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Step 2: Preparation of 1-(pyridin-4-yl)carbonyl-5-chloro-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

Isonicotinic acid (0.022 g) and DMF (1 drop) were dissolved in thionyl chloride (2 ml) and the mixture was heated to reflux for 1 hour. The mixture was allowed to cool and the excess thionyl chloride was evaporated *in vacuo*. The residue was dissolved in chloroform (4 ml) and triethylamine (0.1 ml) was added. A solution of 5-chloro-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] (0.055 g) in chloroform (1 ml) was added and the reaction was allowed to stir at room temperature for 18 hours. Aqueous sodium carbonate solution (1M, 20 ml) was added and the mixture was extracted into chloroform (3 x 20 ml). The combined organic layers were dried (magnesium sulfate), filtered and evaporated *in vacuo* to give a crude brown oil which was purified by chromatography (SiO2, ethyl acetate:hexane:triethylamine 0:1:0.01 to 1:0:0.01) to give 0.034 g (49%) of the desired amide. MS (ES+) 478/480/482 (M+H⁺).

Compounds XXV-62, I-192, I-202, XXIX-189, VI-202 and VI-62 were prepared according to procedures analogous to those described in Example 3.

EXAMPLE 4

This Example illustrates the preparation of compound XIX-202, 1-(4-cyanobenzoyl)-5-methyl-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

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Step 1: Preparation of 8-[trans-3-(4-chlorophenyl)allyl]-1,4-dioxa-8-azaspiro[4.5]decane 1,4-Dioxa-8-azaspiro[4.5]decane (0.88 g) was dissolved in chloroform (5 ml) and diisopropylethylamine (2.1 ml) was added. A solution of 4-chlorocinnamyl chloride (1.2 g) dissolved in chloroform (2 ml) was added and mixture was heated to 70 °C overnight. The solvents were evaporated in vacuo and flash chromatography [SiO₂; ethyl acetate-hexane-triethylamine (50:50:2)] yielded 1.38 g (76%) of the desired ketal as a yellow oil. ¹H NMR (400 MHz, CDCl₃) 1.78 (t, J = 4 Hz, 4H), 2.60 (br s, 4H), 3.18 (d, J = 5 Hz, 2H), 3.96 (s, 4H), 6.27 (dt, J = 12 & 5 Hz, 1H) 6.47 (d, J = 12 Hz, 2H), 7.28, m, 4H). MS (ES+) 294/296 M+H⁺.

Step 2: Preparation of 1-[trans-3-(4-chlorophenyl)allyl]-4-oxopiperidine

8-[trans-3-(4-Chlorophenyl)allyl]-1,4-dioxa-8-azaspiro[4.5]decane (1.38 g) was dissolved in methanol (40 ml) and 6 N hydrochloric acid (120 ml) was added. The mixture was heated to reflux for 4 h. The mixture cooled and was basified to pH 14 with solid sodium hydroxide pellets (CARE! Exotherm), the solution becoming opaque. The aqueous was extracted three times with ether. The organics were washed with brine, dried over anhydrous MgSO₄ and evaporated to give 1.17g (100%) of the desired ketone 1 H NMR (400 MHz, CDCl₃) 2.38 (m, 4H), 2.70 (m, 4H), 3.15 (d, J = 5 Hz, 2H), 3.96 (s, 4H), 6.17 (dt, J = 12 & 5 Hz, 1H), 6.40 (d, J = 12 Hz, 1H), 7.20 (m, 4H). MS (ES+) 250/252 M+H⁺.

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Step 3: Preparation of 1-[trans-3-(4-chlorophenyl)allyl]-4-methoxymethylenepiperidine Methoxymethyltriphenylphosphonium chloride (2.4 g) was dissolved in tetrahydrofuran (20 ml) and was cooled to 4 °C. Potassium tert-butoxide (0.78 g) was added, turning the solution a bright orange colour. The reaction was left as such for 30 min. A solution of 1-[trans-3-(4-chlorophenyl)allyl]-4-oxopiperidine (0.85 g) dissolved in tetrahydrofuran (10 ml) was added and the mixture was stirred for 10 min. The solvents were evaporated in vacuo and the residue re-suspended in ether. The organics were washed with water and dried over anhydrous magnesium sulfate. Flash chromatography [SiO₂; ethyl acetate-hexane-triethylamine (50:50:2)] gave 0.85 g (89%) of the desired enol ether. ¹H NMR (400 MHz, CDCl₃) 2.10 (t, J = 6 Hz, 2H), 2.35 (t, J = 6 Hz, 2H), 2.4 (m, 4H), 3.13 (d, J = 5 Hz, 2H), 3.55 (s, 3H), 5.80 (s, 1H), 6.30 (dt, J = 11 & 5 Hz, 1H), 6.45 (d, J = 11 Hz, 1H), 7.28 (m, 4H). MS (ES+) 278/280 (M+H⁺).

Step 4: Preparation of 5-methyl-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

Trifluoroacetic acid (0.75 ml) was added to a stirred solution of 1-[trans-3-(4-chlorophenyl)allyl]-4-methoxymethylenepiperidine-and 4-tolylhydrazine hydrochloride (28 mg) in chloroform (5 ml) and the reaction was heated to 50° C for 5 h. Triethylsilane (2 ml) was added and the reaction was heated at 50° C for a further 5 h. The mixture was allowed to cool and was quenched in concentrated ammonia solution / ice chips (20 ml). The aqueous phase was extracted twice with chloroform and the combined organics were dried over anhydrous magnesium sulphate and concentrated in vacuo to yield 0.04 g (63%) of the

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desired indoline. ^{1}H NMR (400 MHz, CDCl₃) 1.75 (d, J = 9 Hz, 2H), 1.96 (td, J = 8 & 2, 2H), 2.13 (t, J = 9 Hz, 2H), 2.25 (s, 3H), 2.95 (d, J = 10 Hz, 2H), 3.19 (d, J = 5 Hz, 2H), 3.42 (s, 2H), 6.30 (dt, J = 11 & 5 Hz, 1H), 6.48 (d, J = 11 Hz, 1H), 6.58 (d, J = 7 Hz, 1H), 6.9 (s, 1H), 7.30 (m, 4H). MS (ES+) 353/355 (M+H⁺), 203 (M-4-chlorocinnamyl+H⁺).

Step 5: Preparation of 1-(4-cyanobenzoyl)-5-methyl-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

This step was achieved using a Zymark XP2 synthetic chemistry robot. A solution of 5-methyl-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] (2 ml of a solution derived from dissolving 1.43 g in 100 ml of THF) was added to a robot tube and the solvent was removed in vacuo. 4-Cyanobenzoic acid (28 mg) was weighed into a different robot tube. A solution of 2-chloro-1,3-dimethyl-2-imidazolinium hexafluorophosphate (2 ml of a solution derived from dissolving 4.80 g in 180 ml of chloroform) and a solution of triethylamine (2 ml of a solution derived from dissolving 8.68 ml in 250 ml of chloroform) were added to the acid and the tube was agitated and allowed to stand for 30 minutes. A 2 ml aliquot of the acid solution was added to the tube containing the dry amine. This tube was agitated and allowed to stand overnight. The reaction mixture was washed with 1M aqueous sodium carbonate solution and the solvents were evaporated. The crude mixture was purified by MS directed liquid chromatography to give the desired amide, 2.9 mg. MS (ES+) 482/484 (M+H⁺).

Compounds I-61, I-171, XXVIII-97, XIX-22, XXVIII-67, XXVIII-7, XX-22, XXIX-69, XXIX-75, XVIII-22, XXVIII-217, XXIX-81, XXIX-87, XV-22, XXIX-93, XXIX-99, XXVIII-187, XXI-22, XXIX-105, XXIX-111, XXIX-117, XXIX-123, XIII-22, XXIX-129, X-22, XXIX-135, XXIX-141, XXIX-147, XXIX-153, XII-22, XXIX-196, II-22, XXIX-159, XXVIII-252, XXVIII-27, XXVIII-42, XVIII-202, XX-62, XXIX-165, XXVIII-162, XXVIII-132, XXIX-171, XXIX-177, XXI-62, XVIII-62, XIII-62, X-62, XXIX-183, XI-62, IX-62, XXIX-207, XXIX-195, II-62, I-92, I-112, I-12, I-32, I-52, I-72, I-152, I-162, I-82, I-252, I-242, I-262, I-292 and I-62 were prepared according to procedures analogous to those described in Example 4.

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EXAMPLE 5

This example illustrates the preparation of compound XIV-22, 1-(2-Pyrazinyl)-5-chloro-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

5-Chloro-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] was prepared according to the procedures described in Example 3.

Sodium hydride (50 mg) was added to a stirred solution of 5-chloro-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] (35 mg) and 2-chloropyrazine (43 mg) in anhydrous DMSO (5 ml) under an atmosphere of nitrogen. The reaction was heated to 60 °C overnight. The reaction mixture was diluted with brine (20 ml) and extracted four times with dichloromethane (20 ml). The combined organics were dried over magnesium sulphate and concentrated *in vacuo* (1 mmHg) to yield a brown oil. Flash chromatography [SiO₂, ethyl acetate-hexane-triethylamine gradient (0:98:2) to (98:0:2)] yielded 25 mg (55%) of the desired product. ¹H NMR (400 MHz, CDCl₃) 1.75 (m, 2H), 2.05 (td, J = 8 & 2, 2H), 2.18 (t, J = 9 Hz, 2H), 3.05 (d, J = 9 Hz, 2H), 3.22 (d, J = 5 Hz, 2H), 3.94 (s, 2H), 6.30 (dt, J = 11 & 5 Hz, 1H), 6.51 (d, J = 11 Hz, 1H), 7.18 (m, 2H), 7.30 (m, 4H), 8.05 (d, J = 1Hz, 1H), 8.17 (d, J = 6 Hz, 1H), 8.25 (m, 2H). MS (ES+) 451/453/455 M+H⁺.

Compounds XXIX-57 and XXIX-63 were prepared according to procedures analogous to those described in Example 5.

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EXAMPLE 6

This Example illustrates the preparation of compound XXII-3, 1-(2-Chloropyridin-4-yl)carbonyl-1'-[trans-3-(4-fluorophenyl)allyl]spiro[indoline-3,4'-piperidine]

1-(2-Chloropyridin-4-yl)carbonylspiro[indoline-3,4'-piperidine] trifluoroacetic acid salt was prepared according to the procedures described in example 2 of this patent.

1-(2-Chloropyridin-4-yl)carbonylspiro[indoline-3,4'-piperidine] trifluoroacetic acid salt (0.25 g) was suspended in dioxane (2 ml) and paraformaldehyde (0.08 g) was added. The mixture was stirred and heated to 90°C for 20 minutes. 2-(4-fluorophenyl)vinylboronic acid (0.10 g) was dissolved in dioxane (2 ml) and the resulting solution was added to the salt/paraformaldehyde mixture and the resulting mixture was heated to 90°C for 24 hours.

The mixture was allowed to cool and evaporated to dryness *in vacuo*. The residue was partitioned between dichloromethane and water, and the organic layer was washed with aqueous sodium carbonate solution (1M) and evaporated. The crude product was purified by column chormatography (SiO₂, first column in dichloromethane:triethylamine 95:5, then a second column starting with neat dichloromethane, then a gradient from ethyl acetate:hexane: triethylamine 25:75:1 to 95:0:5) to give 0.20 g (76%) of the desired product. MS (ES+) 462/464 M+H⁺.

Compounds I-23, XXIX-1, I-21, I-2, XXVI-2 (followed by treatment with hydrogen peroxide in methanol) and XXVI-22 (followed by treatment with hydrogen peroxide in methanol), were prepared according to procedures analogous to those described in Example 6.

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EXAMPLE 7

This Example illustrates the preparation of compound I-212, 5-Cyano-1-(2-chloropyridin-4-yl)carbonyl-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

5-Iodo-1-(2-chloropyridin-4-yl)carbonyl-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] was prepared by procedures analogous to those described in Example 2.

5-Iodo-1-(2-chloropyridin-4-yl)carbonyl-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] (0.05 g) was dissolved in anhydrous THF (5 ml) under an atmosphere of dry nitrogen. Potassium cyanide (0.011 g) and copper (I) iodide (0.016 g) were added and the mixture was degassed for 15 minutes. Tetrakis(triphenylphosphine) palladium (0.005 g) was added and the mixture was heated to reflux for 28 hours. The reaction mixture was diluted with dichloromethane (50 ml) and washed with water (30 ml). The aqueous layer was extracted with dichloromethane (2 x 40 ml) and the combined organic layers were dried (magnesium sulfate), filtered and evaporated *in vacuo* to give a colourless oil that was purified by prep. TLC (SiO₂, EtOAc:Hexane:Et₃N 1:1:0.01) to give 0.041 g (95%) of the desired product. MS (ES+) 503/505/507 M+H⁺.

Compounds XXIX-201, I-282, I-232 were prepared according to standard procedures analogous to those described in Example 7. Compound XXV-222 was prepared by treating compound XXIX-201 with potassium carbonate in methanol. Compound I-222 was prepared by re-acylation of compound XXV-222 under standard conditions.

EXAMPLE 8

This Example illustrates the pesticidal/insecticidal properties of compounds of formula (I). Test against were performed as follows:

Spodoptera littoralis (Egyptian cotton leafworm)

- Cotton leaf discs were placed on agar in a 24-well microtiter plate and sprayed with test solutions at an application rate of 200 ppm. After drying, the leaf discs were infested with 5 L₁ larvae. The samples were checked for mortality, repellent effect, feeding behaviour, and growth regulation 3 days after treatment (DAT). The following compounds gave at least 80% control of *Spodoptera littoralis:*
- I-2, I-12, I-21, I-22, I-23, I-32, I-52, I-61, I-62, I-72, I-82, I-92, I-112, I-132, I-142,
 I-152, I-162, I-182, I-192, I-202, I-212, I-222, I-232, I-242, I-252, I-262, I-282, II-62, V-22,
 VI-22, VI-62, VI-202, X-22, X-62, XI-62, XII-22, XIII-62, XIV-22, XV-22, XVII-62, XVIII-22, XIX-22, XIX-202, XX-22, XX-62, XXI-22, XXI-62, XXII-22, XXVI-2, XXVI-22,
 XXVII-2, XXVII-22, XXIX-43, XXIX-93, XXIX-195,
- 15 XXIX-196 and XXIX-201

Heliothis virescens (Tobacco budworm):

Eggs (0-24 h old) were placed in 24-well microtiter plate on artificial diet and treated with test solutions at an application rate of 200 ppm by pipetting. After an incubation period of 4 days, samples were checked for egg mortality, larval mortality, and growth regulation. The

- 20 following compounds gave at least 80% control of Heliothis virescen:
 - I-1, I-2, I-3, I-4, I-5, I-12, I-21, I-22, I-23, I-32, I-52, I-61, I-62, I-72, I-82, I-92, I-112, I-132, I-142, I-152, I-162, I-171, I-182, I-192, I-202, I-212, I-222, I-232, I-242, I-252, I-262, I-282, I-292, II-22, II-62, V-21, V-22, V-62, V-192, V-202, VI-1, VI-22,
 - VI-62, VI-101, VI-202, IX-62, X-22, X-62, XI-62, XII-22, XIII-62, XIV-22, XV-22,
- 25 XVII-62, XVIII-22, XVIII-202, XIX-22, XIX-202, XX-22, XX-62, XXI-22, XXI-62, XXII-22, XXVII-22, XXVII-22, XXVIII-22, XXVIII-27, XXVIII-42, XXVIII-67, XXVIII-97, XXVIII-132, XXVIII-187,
 - XXVIII-217, XXVIII-252, XXIX-1, XXIX-7, XXIX-13, XXIX-57, XXIX-63,
 - XXIX-75, XXIX-81, XXIX-87, XXIX-93, XXIX-111, XXIX-117, XXIX-123, XXIX-129,
- 30 XXIX-141, XXIX-147, XXIX-153, XXIX-159, XXIX-165, XXIX-171, XXIX-183, XXIX-195, XXIX-196 and XXIX-201

Plutella xylostella (Diamond back moth):

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24-well microtiter plate (MTP) with artificial diet was treated with test solutions at an application rate of 18.2 ppm by pipetting. After drying, the MTP's were infested with larvae (L2)(10-15 per well). After an incubation period of 5 days, samples were checked for larval mortality, antifeedant and growth regulation. The following compounds gave at least 80% control of *Plutella xylostella*:

I-1, I-2, I-3, I-4, I-5, I-12, I-21, I-22, I-23, I-32, I-52, I-61, I-62, I-72, I-82, I-92, I-112, I-132, I-142, I-152, I-162, I-171, I-192, I-202, I-212, I-222, I-242, I-252, I-262, I-282, I-292, II-22, II-62, V-22, V-62, V-202, VI-22, VI-62, IX-62, X-22, X-62, XI-62, XII-22, XIII-62, XIV-22, XV-22, XVII-62, XX-22, XXI-62, XXII-22, XXV-62, XXVI-2,

10 XXVI-22, XXVII-1, XXVII-2, XXVII-22, XXVIII-97, XXVIII-187, XXIX-129, XXIX-135, XXIX-159, XXIX-177, XXIX-189, XXIX-195 and XXIX-196

Myzus persicae (Green peach aphid):

Sunflower leaf discs were placed on agar in a 24-well microtiter plate and sprayed with test solutions at an application rate of 200 ppm. After drying, the leaf discs were infested with an aphid population of mixed ages. After an incubation period of 6 DAT, samples were checked for mortality. The following compounds gave at least 80% control of *Myzus persicae*:

1-2, I-21, II-62, XI-62, XXVII-2, XXVIII-162 and XXIX-49

Tetranychus urticae (Two-spotted spider mite):

- Bean leaf discs on agar in 24-well microtiter plates wer sprayed with test solutions at an application rate of 200 ppm. After drying, the leaf discs are infested with mite populations of mixed ages. 8 days later, discs are checked for egg mortality, larval mortality, and adult mortality. The following compounds gave at least 80% control of *Tetranychus urticae*: I-202, XIII-22, XIX-202, XXVI-1, XXVIII-162 and XXIX-207.
- Aedes aegypti (Yellow fever mosquito):
 10-15 Aedes larvae (L2) together with a nutrition mixture are placed in 96-well microtiter
 plates. Test solutions at an application rate of 2ppm are pipetted into the wells. 2 days later,
 insects were checked for mortality and growth inhibition. The following compounds gave at
 least 80% control of Aedes aegypti
- I-4, I-5, I-12, I-21, I-22, I-23, I-32, I-52, I-61, I-62, I-72, I-82, I-92, I-112, I-132,
 I-142, I-152, I-162, I-202, I-212, I-222, I-232, I-242, I-252, I-262, I-292, II-22, II-62, V-22,
 VI-22, VI-62, VI-202, XIV-22, XV-22, XVII-62, XVIII-22, XIX-22, XXI-22, XXI-22, XXI-

62, XXII-22, XXVI-2, XXVII-22, XXVIII-22, XXVIII-7, XXVIII-27, XXVIII-67, XXVIII-97, XXVIII-187, XXIX-13, XXIX-19, XXIX-25, XXIX-31, XXIX-37, XXIX-69, XXIX-75, XXIX-93, XXIX-99, XXIX-105, XXIX-117, XXIX-123, XXIX-129, XXIX-135, XXIX-159 and XXIX-183.

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CLAIMS

1. A method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying to a pest, to a locus of a pest, or to a plant susceptible to attack by a pest an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I):

$$(R^{4})_{n}$$

wherein Y is a single bond, C=O, C=S or S(O)q where q is 0, 1 or 2; R¹ is hydrogen, optionally substituted alkyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, aminocarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted heterocyclyloxy, cyano, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, formyl, optionally substituted heterocyclyl, optionally substituted alkylthio or $NR^{13}R^{14}$ where R^{13} and R^{14} are independently hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or optionally substituted heterocyclyl; R2 and R3 are independently hydrogen, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryl or C(O)NR¹⁵R¹⁶ where R¹⁵ and R¹⁶ are independently hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or optionally substituted heterocyclyl, or R2 and R3 together are =0, or R² and R³ together with the atoms to which they are attached form a 4, 5, 6, or 7 membered carbocylic or heterocyclic ring; each R4 is independently halogen, nitro, cyano, optionally substituted C₁₋₈ alkyl, optionally

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substituted C₂₋₆ alkenyl, optionally substituted C₂₋₆ alkynyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted C₃₋₇ cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted alkoxy. optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted alkylthio or R¹⁹R²⁰N where R¹⁹ and R²⁰ are, independently, hydrogen, C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, C₃₋₇ cycloalkyl(C₁₋₄)alkyl, C₂₋₆ haloalkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, C₁₋₆ alkoxycarbonyl or R¹⁹ and R²⁰ together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two C₁₋₆ alkyl groups, or 2 adjacent groups R⁴ together with the carbon atoms to which they are attached form a 4, 5, 6, or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2, 3 or 4; R⁸ is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl or optionally substituted alkenylcarbonyl; R⁹ and R¹⁰ are independently hydrogen, halogen, optionally substituted alkyl, optionally substituted aryl or R⁹ and R¹⁰ together form a group -CH₂-, -CH=CH- or -CH₂CH₂-; or salts or N-oxides thereof..

- An insecticidal acaricidal and nematicidal composition comprising an insecticidally, acaricidally or nematicidally effective amount of a compound of formula I as defined in claim 1.
 - 3. A compound of formula IN

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wherein wherein Y, R¹, R², R³, R⁴, R⁹, R¹⁰ and n are as defined for compounds of formula (I) in claim 1 and R⁸ is C₁₋₁₀ alkyl, C₁₋₁₀ haloalkyl, aryl(C₁₋₆)alkyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy or C₁₋₆ haloalkoxy), heteroaryl(C₁₋₆)alkyl (wherein the heteroaryl group is optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), arylcarbonyl(C1-6)alkyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy or C1-6 haloalkoxy and the alkyl group may be optionally substituted by aryl), C2-8 alkenyl, C2-8 haloalkenyl, aryl(C₁₋₆)alkenyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, $C_{1\text{-}6}$ alkyl, $C_{1\text{-}6}$ haloalkyl, $C_{1\text{-}6}$ alkoxy, $C_{1\text{-}6}$ alkoxycarbonyl, $C_{1\text{-}6}$ haloalkoxy, or two adjacent substituents can cyclise to form a 5, 6 or 7 memberedcarbocyclic or heterocyclic ring), C2-6 alkynyl, phenyl(C1-6)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy, C₁₋₄ alkoxycarbonyl or C₁₋₄ haloalkoxy), C₃₋₇ cycloalkyl, C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonyl, C₁₋₆ haloalkylcarbonyl or aryl(C₁₋₆)alkenylcarbonyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, C1-4 alkyl, C1-4 haloalkyl, C1-4 alkoxy, C_{1-4} alkoxycarbonyl or C_{1-4} haloalkoxy).

ABSTRACT

CHEMICAL COMPOUNDS

Insecticidal, acaricidal, nematicidal or molluscicidal compounds of formula (I)

$$R^{9}$$
 R^{8}
 R^{10}
 R^{2}
 R^{2}
 R^{3}
 R^{3}
 R^{3}
 R^{4}

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wherein Y is a single bond, C=O, C=S or S(O)q where q is 0, 1 or 2; R¹ is hydrogen, cyano, formyl, aminocarbonyl, or an optionally substituted group selected from alkyl, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aryl, heteroaryl, alkoxy, aryloxy, heteroaryloxy, heterocyclyloxy, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, alkylthio or NR¹³R¹⁴; R² and R³ are independently hydrogen, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryl or C(O)NR¹⁵R¹⁶ or together are =0, or form a 4, 5, 6, or 7 membered; each R⁴ is independently halogen, nitro, cyano, an optionally substituted group selected from C_{1-8} alkyl, C_{2-6} alkenyl, C₂₋₆ alkynyl, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, ialkylaminocarbonyl, C₃₋₇ cycloalkyl, aryl, heteroaryl, heterocyclyl, alkoxy, aryloxy, heteroaryloxy, alkylthio or R¹⁹R²⁰N or 2 adjacent groups R⁴ form a 4, 5, 6,or 7 membered ring which may be optionally substituted n is 0, 1, 2, 3 or 4; R⁸ is an optionally substituted group selected from alkyl, alkenyl, alkynyl, cycloalkyl, aryl, alkoxy, aryloxy, alkoxycarbonyl, alkylcarbonyl or alkenylcarbonyl; R⁹ and R¹⁰ are independently hydrogen, halogen, optionally substituted alkyl, optionally substituted aryl or R⁹ and R¹⁰ together form a group -CH₂-, -CH=CH- or -CH₂CH₂-; or salts or N-oxides thereof.